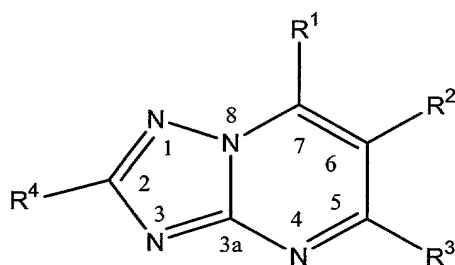


We claim:

1. A method of treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof which comprises
5 administering to said mammal an effective amount of a substituted triazolopyrimidine derivative or a pharmaceutically acceptable salt thereof.
2. The method according to Claim 1 wherein the substituted triazolopyrimidine derivative is a compound selected from those of the formula:

10



(I)

wherein:

- 15 R¹ is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy,
20 halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1

to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-\text{S-aryl}$ of 6, 10 or 14 carbon atoms, $-\text{S-alkyl}$ of 1 to 12 carbon atoms, $-\text{S-cycloalkyl}$ of 3 to 8 carbon atoms, $-\text{S-alkenyl}$ of 2 to 12 carbon atoms, $-\text{SO}_2\text{aryl}$ of 6, 10 or 14 carbon atoms, $-\text{SO}_2\text{cycloalkyl}$ of 3 to 8 carbon atoms, $-\text{SO}_2\text{alkyl}$ of 1 to 12 carbon atoms, $-\text{O-aryl}$ of 6, 10 or 14 carbon atoms, and the moiety $-\text{NR}^a\text{R}^b$;

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-\text{CH}_2-$ may also

be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl, -SO₂alkyl, -O-aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one -CH₂- may optionally be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

R² is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;

R³ is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR^cR^d, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N₃;

R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally

substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted
cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be
replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon
atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which
5 one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an
alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to
10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally
substituted benzyl, or heterocyclyl;

- 10 R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl
of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon
atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally
substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted
cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be
15 replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon
atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which
one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an
alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to
10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally
20 substituted benzyl, or heterocyclyl;

- R^cR^d together with the nitrogen atom to which each is attached represent an
optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally
substituted in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$
25 where R' is H or alkyl of 1 to 12 carbon atoms;

- R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally
substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12
carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12
30 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon

atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or -CF₃;

provided that when: a) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-

5 methoxyphenyl; b) R¹ is diethylamino, R³ is bromo, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl; c) R¹ is isopropylamino, R³ is chloro, R⁴ is hydrogen, R² is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R¹ is

cyclopentylamino, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R¹ is 2-amino-

10 bicyclo(2.2.1.)heptyl, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-

trimethoxyphenyl and f) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl and g) R¹ is 1,1,1-trifluoroethoxy, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl h) R¹ is -SO₂ethyl or

-SO₂cyclopentyl, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-

15 fluorophenyl; i) R⁴ is hydrogen, R² is 2-chloro-6-fluorophenyl, R¹ and R³ are not 1,2,4-triazole; j) R¹ is cyclohexyl, R⁴ is hydrogen, R² is 2,4,6-

trifluorophenyl, and R³ is not -OCH₂O₂C(CH₃)₃; k) R¹ is 2-thienyl, R⁴

is ethyl, R³ is hydrogen and R² is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R² is phenyl, R³ is chloro, R⁴ is hydrogen R¹ is not

20 (2E)-3,7-dimethyl-2,6-octadienyl

or a pharmaceutically acceptable salt thereof.

3. The method according to claim 2 wherein

R¹ is selected from the group consisting of an optionally substituted alkyl of 1

25 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms,

optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted

alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14

carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms,

optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂-

30 may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1

to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon

atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-\text{S-aryl}$ of 6, 10 or 14 carbon atoms, $-\text{S-alkyl}$ of 1 to 12 carbon atoms, $-\text{S-alkenyl}$ of 2 to 12 carbon atoms, $-\text{SO}_2\text{aryl}$ of 6, 10 or 14 carbon atoms, $-\text{SO}_2\text{cycloalkyl}$ of 3 to 8 carbon atoms, $-\text{SO}_2\text{alkyl}$ of 1 to 12 carbon atoms, $-\text{O-aryl}$ of 6, 10 or 14 carbon atoms, and the moiety $-\text{NR}^a\text{R}^b$ or a pharmaceutically acceptable salt thereof is administered.

4. The method according to claim 2 wherein R^a and R^b each independently represent the moiety $-\text{C}^*\text{H}(\text{R}^e)(\text{R}^f)$ where R^e and R^f independently represent an optionally halo-substituted alkyl group of 1 to 12 carbon atoms where C^* represents the (R) or (S) isomer or a pharmaceutically acceptable salt thereof is administered.

5. The method according to claim 2 wherein R^2 is optionally substituted aryl of 6, 10 or 14 carbon atoms, aryloxy, thienyl, benzyloxy, heterocyclyl or halogen or a pharmaceutically acceptable salt thereof is administered.

6. The method according to claim 2 wherein R^3 is halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-\text{NR}^c\text{R}^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, hydroxy, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or $-\text{N}_3$ or a pharmaceutically acceptable salt thereof is administered.

7. The method according to claim 2 wherein R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, $-\text{CF}_3$ or a pharmaceutically acceptable salt thereof is administered.

8. The method according to claim 2 wherein R^1 is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-S$ -aryl of 6, 10 or 14 carbon atoms, $-S$ -alkyl of 1 to 12 carbon atoms, $-S$ -alkenyl of 2 to 12 carbon atoms, $-SO_2$ aryl of 6, 10 or 14 carbon atoms, $-SO_2$ cycloalkyl of 3 to 8 carbon atoms, $-SO_2$ alkyl of 1 to 12 carbon atoms, $-O$ -aryl of 6, 10 or 14 carbon atoms, and the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.

9. The method according to claim 2 wherein R^2 is optionally substituted aryl of 6, 10 or 14 carbon atoms or heterocyclyl or a pharmaceutically acceptable salt thereof is administered.

10. The method according to claim 2 wherein R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or $-N_3$ or a pharmaceutically acceptable salt thereof is administered.

11. The method according to claim 2 wherein R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, $-CF_3$ or a pharmaceutically acceptable salt thereof is administered.

12. The method according to claim 2 wherein R^1 is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-S$ -aryl of 6, 10 or 14 carbon atoms, $-S$ -alkyl of 1 to 12 carbon atoms, $-S$ -alkenyl of 2 to 12 carbon atoms, $-SO_2$ aryl of 6, 10 or 14 carbon atoms, $-SO_2$ cycloalkyl of 5 to 10 carbon atoms, $-SO_2$ alkyl of 1 to 12 carbon atoms, and the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.
13. The method according to claim 2 wherein R^2 is optionally substituted aryl of 6, 10 or 14 carbon atoms or a pharmaceutically acceptable salt thereof is administered.
14. The method according to claim 2 wherein R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$ or a pharmaceutically acceptable salt thereof is administered.
15. The method according to claim 2 wherein R^4 is H or a pharmaceutically acceptable salt thereof is administered.
16. The method according to claim 2 wherein R^1 is selected from the group consisting of an optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$,

or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, and the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R² is optionally substituted phenyl; R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃; R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

10

17. The method according to claim 2 wherein R¹ is the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R² is optionally substituted phenyl; R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃; R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

18. The method according to claim 2 wherein R¹ is the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;

R² is optionally substituted phenyl;

R³ is halogen, alkoxy, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;

R⁴ is H;

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12

carbon atoms, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl; R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-S$ -aryl of 6, 10 or 14 carbon atoms, $-S$ -alkyl of 1 to 12 carbon atoms, $-S$ -alkenyl of 2 to 12 carbon atoms, $-SO_2$ aryl of 6, 10 or 14 carbon atoms, $-SO_2$ cycloalkyl of 3 to 8 carbon atoms, $-SO_2$ alkyl of 1 to 12 carbon atoms, $-O$ -aryl of 6, 10 or 14 carbon atoms; $R^a R^b$ together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 2 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

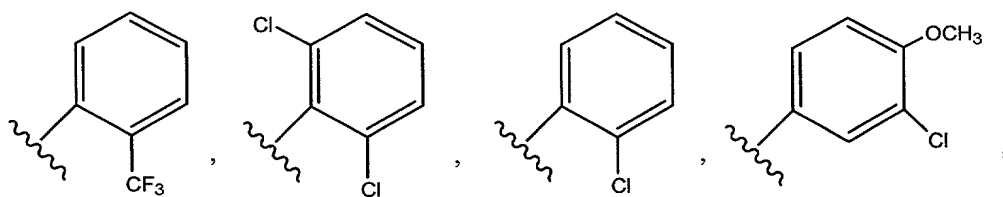
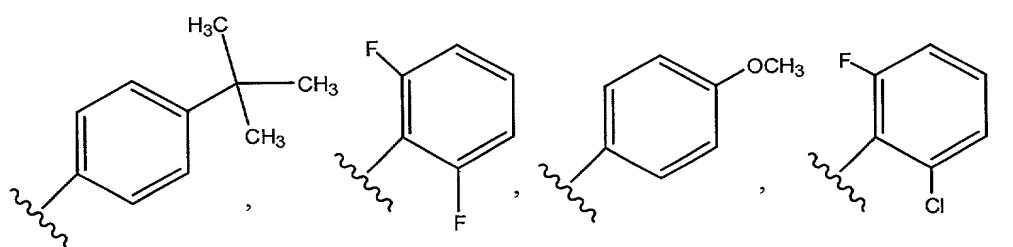
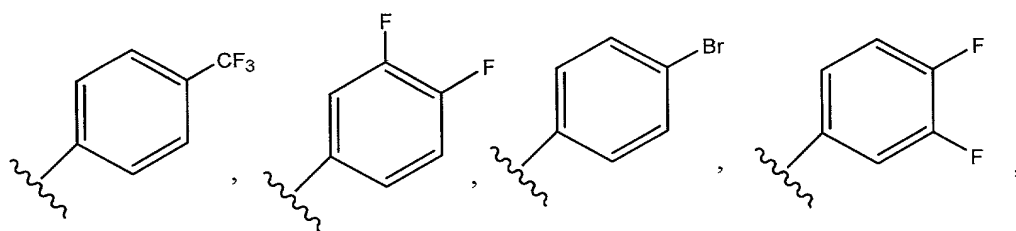
R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also
 5 be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally
 10 substituted benzyl, heterocyclyl;
 R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or alkyl of 2 to 20 carbon atoms or a pharmaceutically
 15 acceptable salt thereof is administered.

19. The method according to claim 2 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;

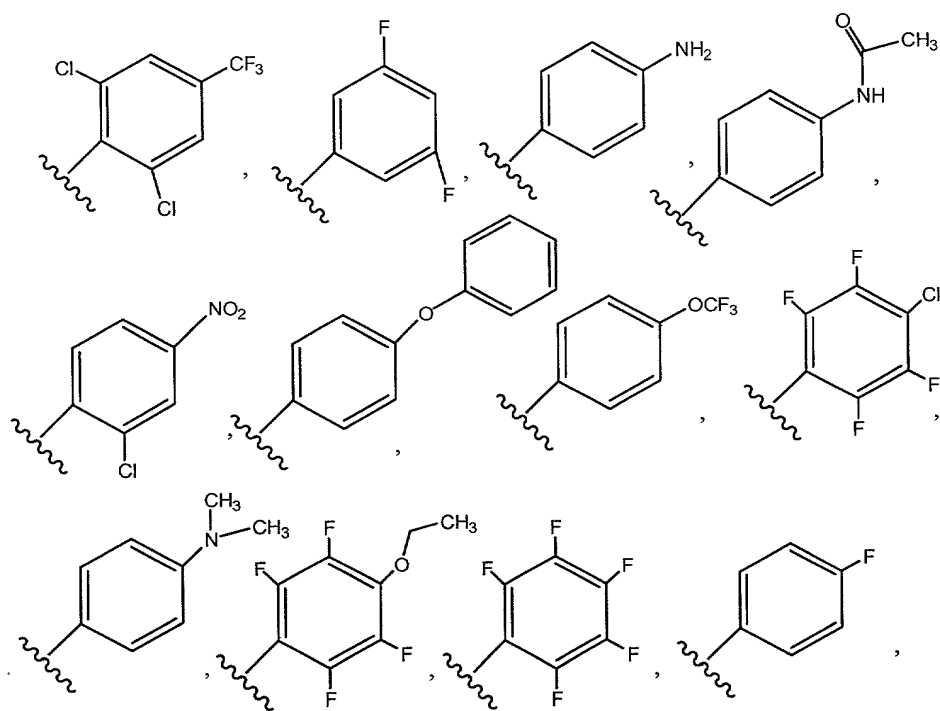
20

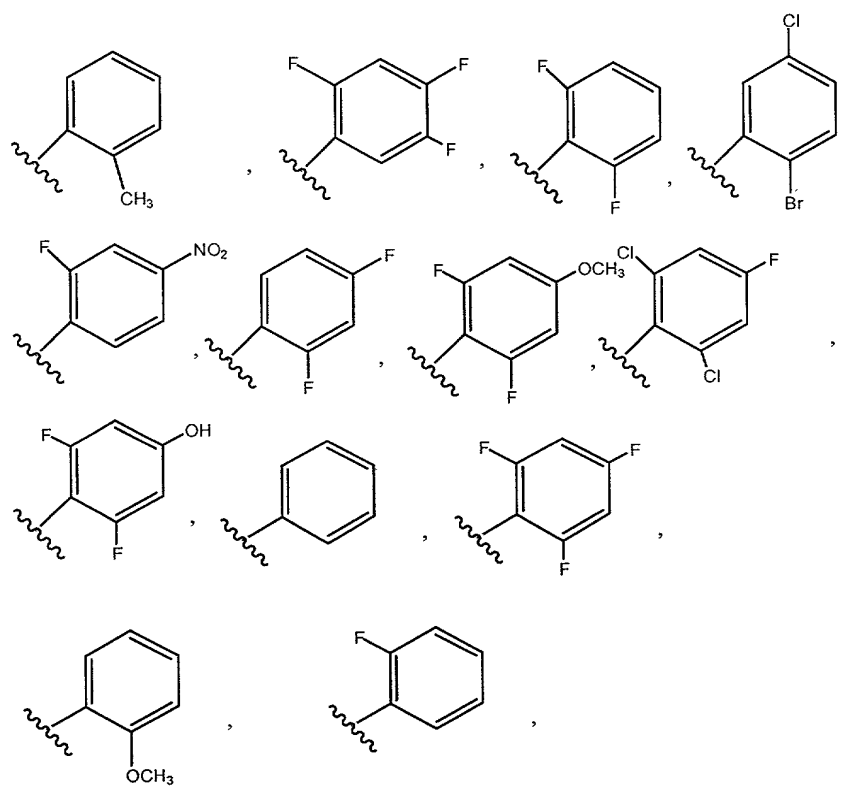
R^2 is selected from

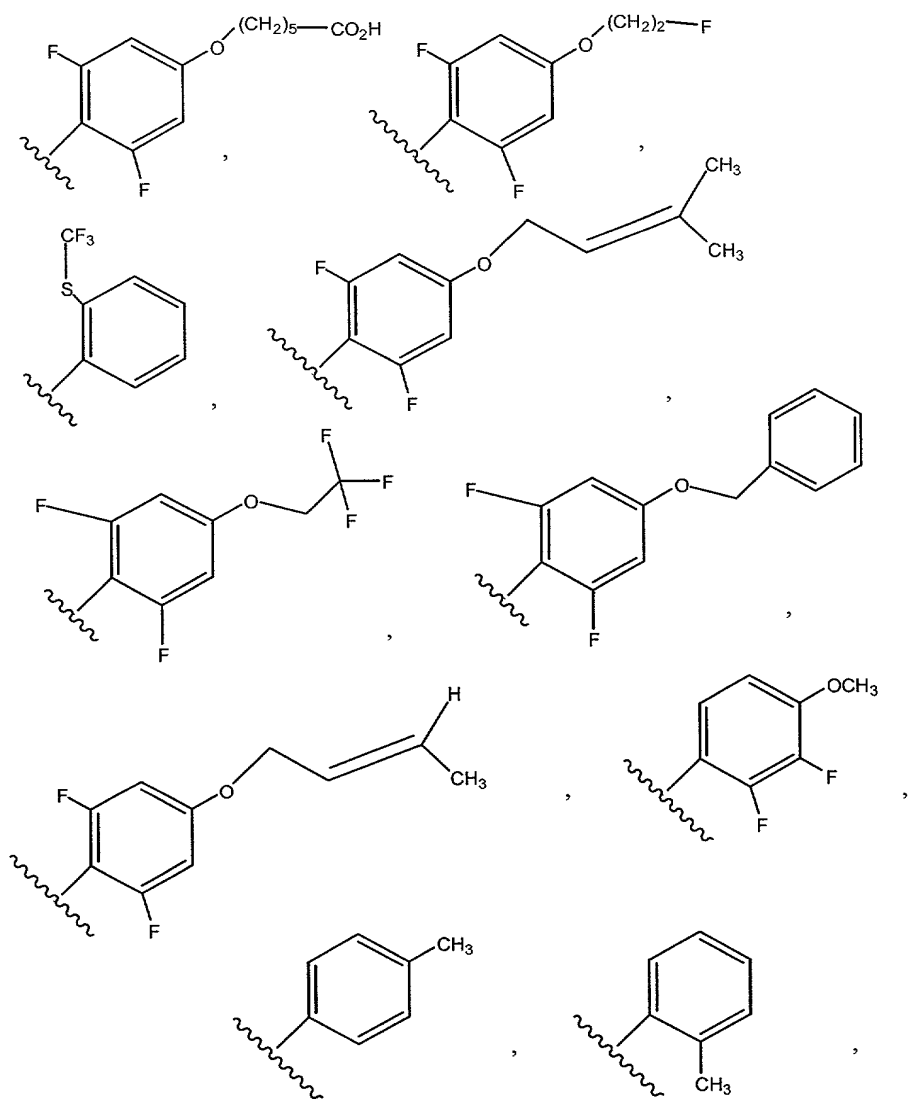


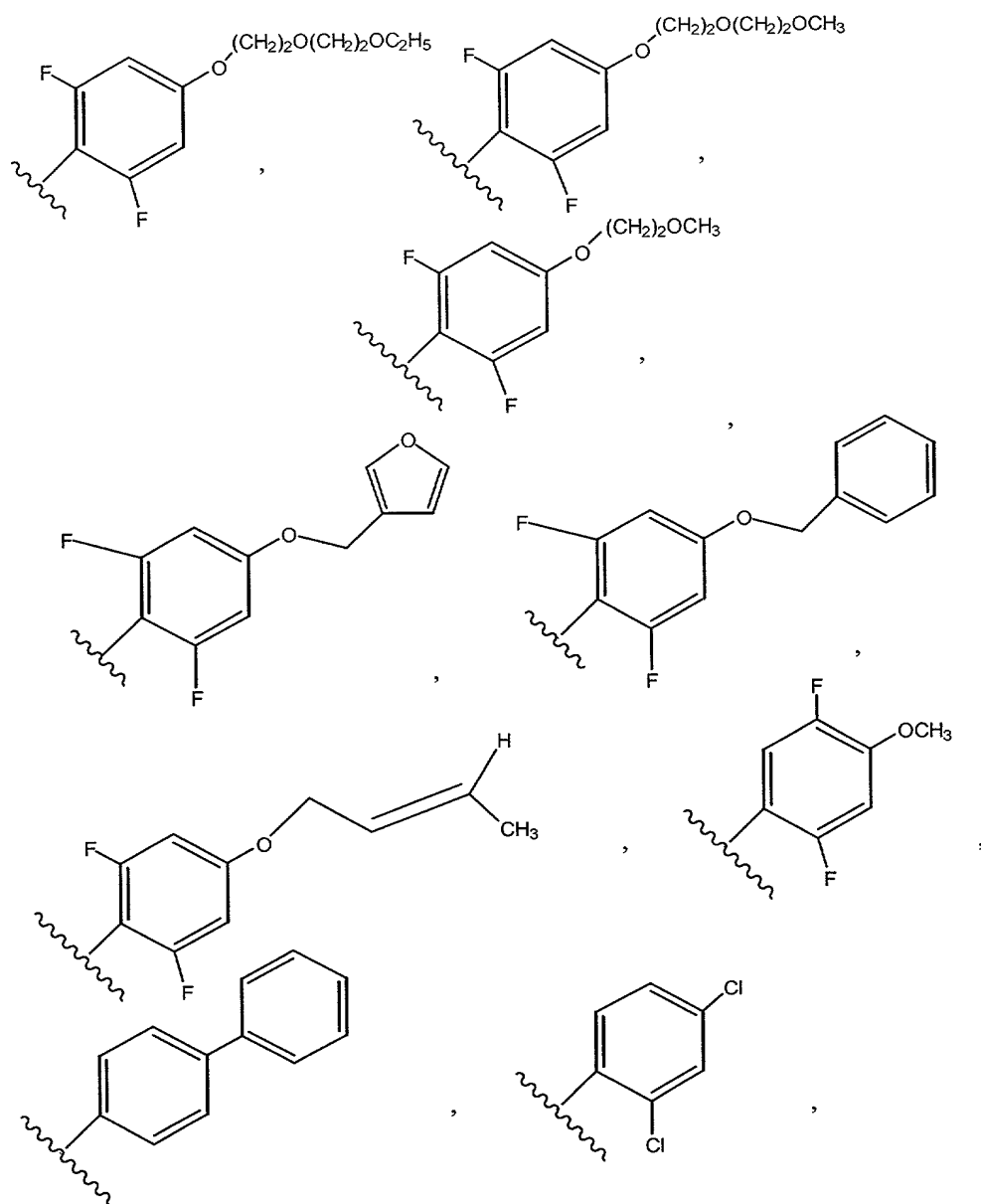
Chemical structures of 12 substituted benzene rings, each with a wavy line indicating a point of attachment. The substituents are:

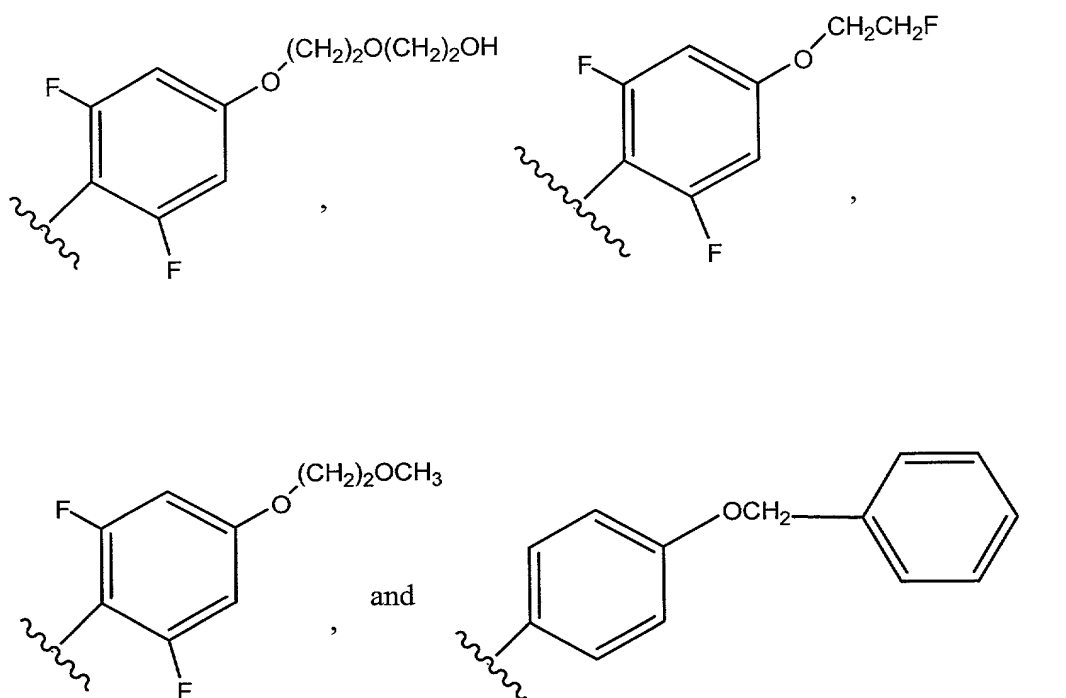
- 1,3,5-trifluorobenzene
- 1-chloro-2-nitrobenzene
- 1,3,5-trifluorobenzene
- 1,2,4-trifluorobenzene
- 1-chloro-2-methylthiobenzene
- 1-chloro-4-methylthiobenzene
- 1-bromo-2-methylthiobenzene
- 1,3,5-trifluorobenzene
- 1-nitro-4-methylthiobenzene
- 1,2,4-trimethylbenzene
- 1-methylthiobenzene





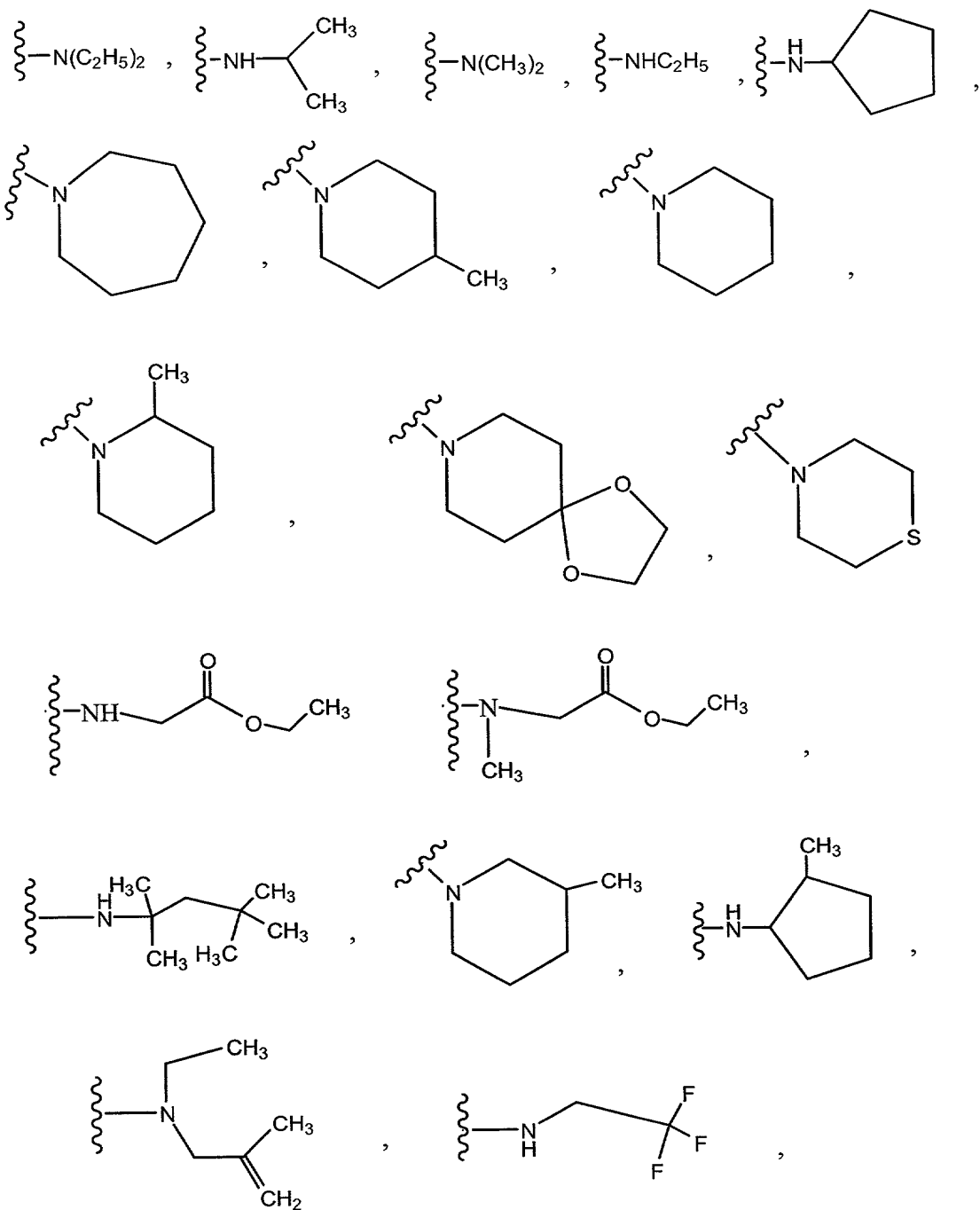


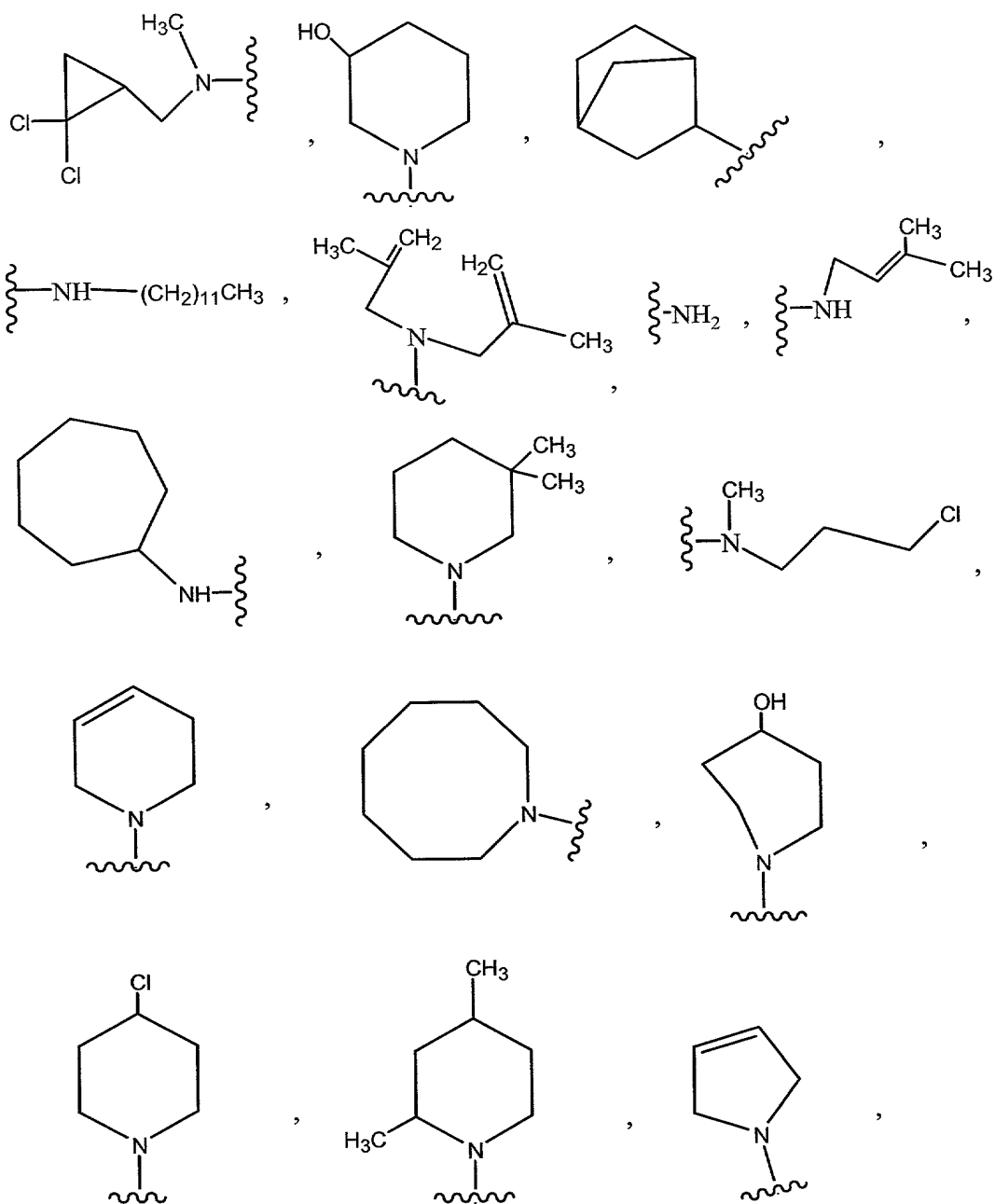


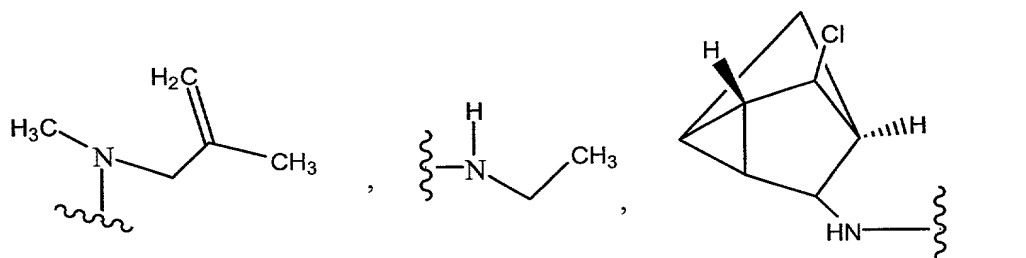
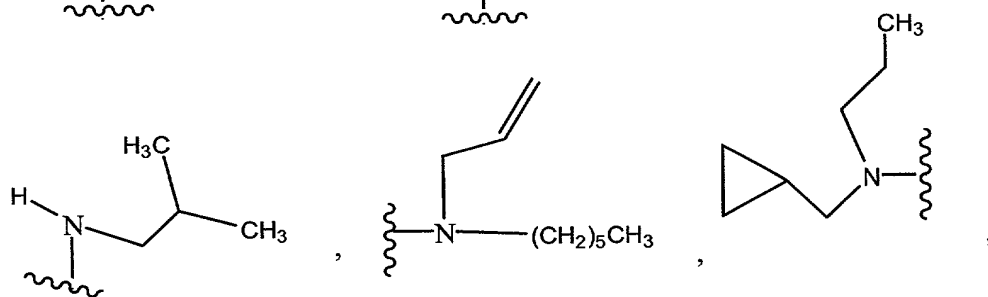
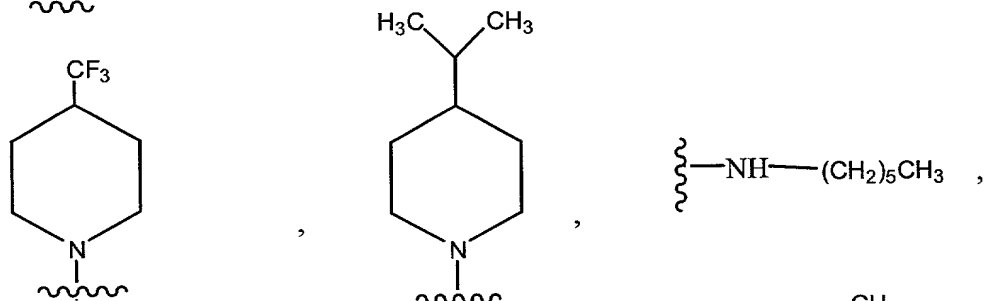
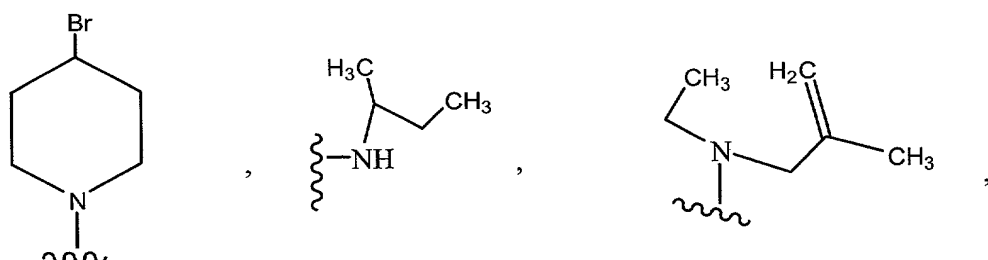
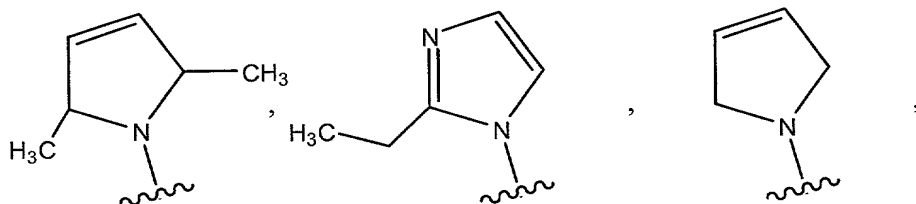


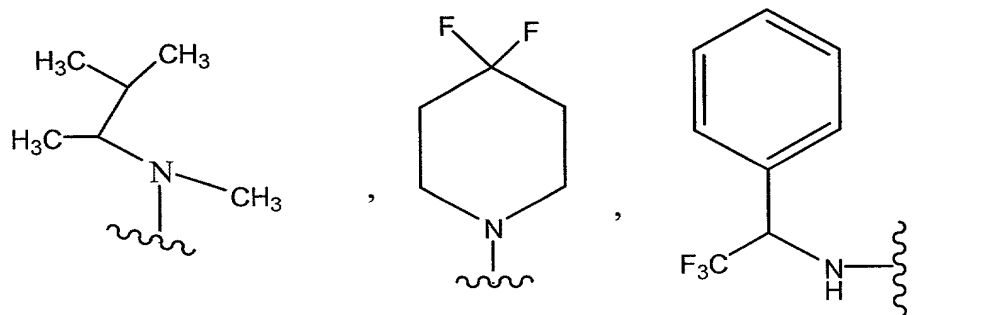
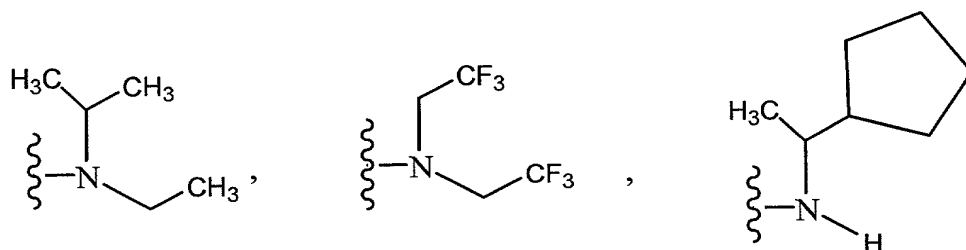
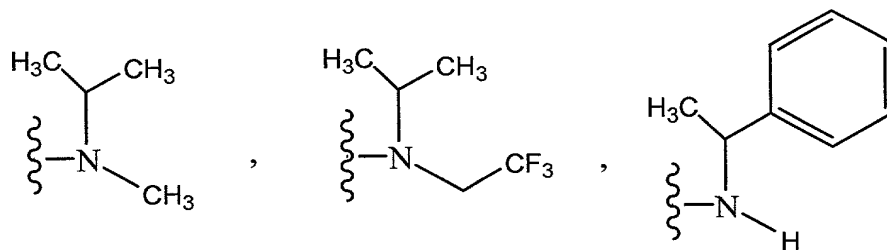
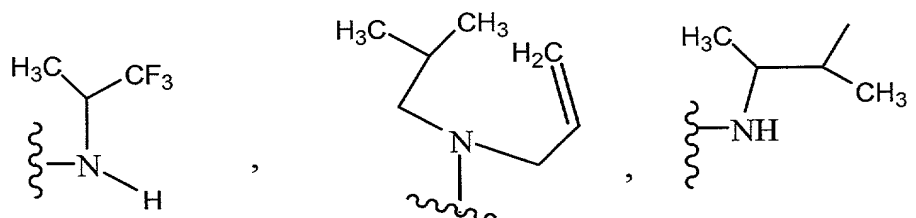
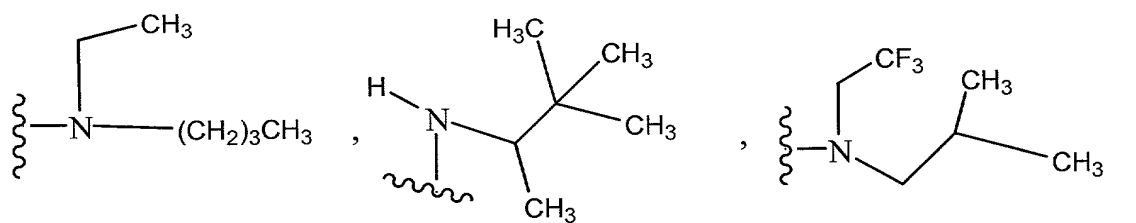
- 5 R^3 is halogen, alkoxy, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;
 R^4 is H or a pharmaceutically acceptable salt thereof is administered.

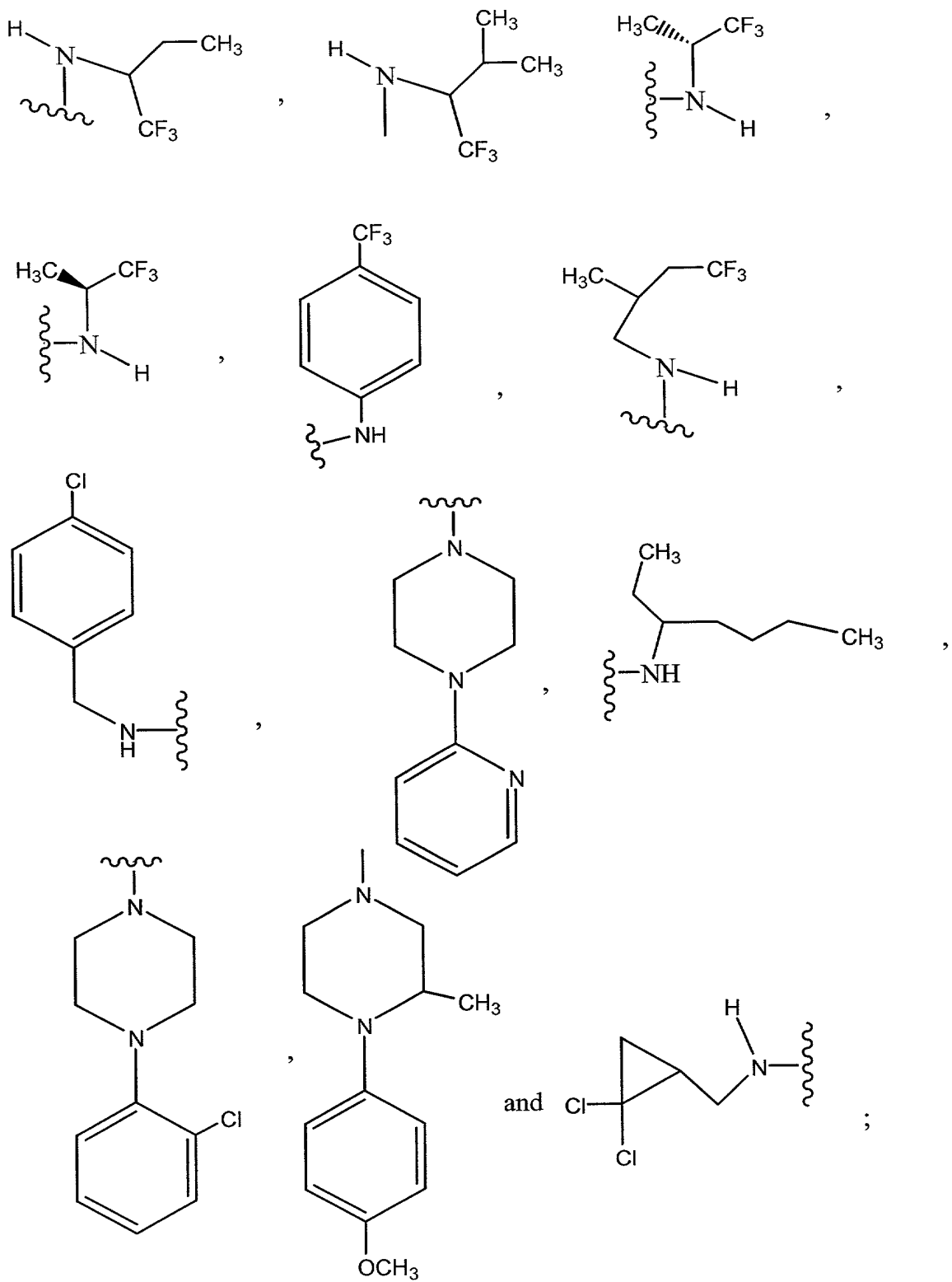
20. The method according to claim 2 wherein R^1 is the moiety $-NR^aR^b$
 10 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R^1 is selected from











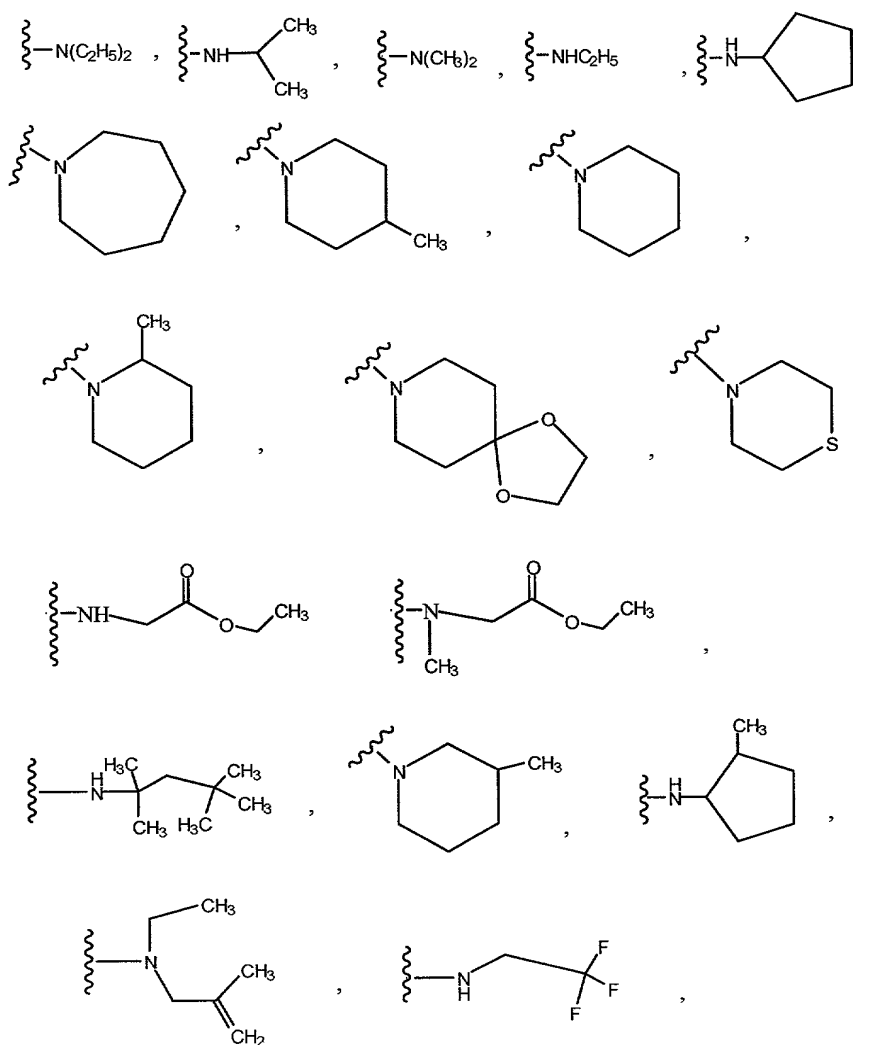
R² is optionally substituted phenyl;

R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;

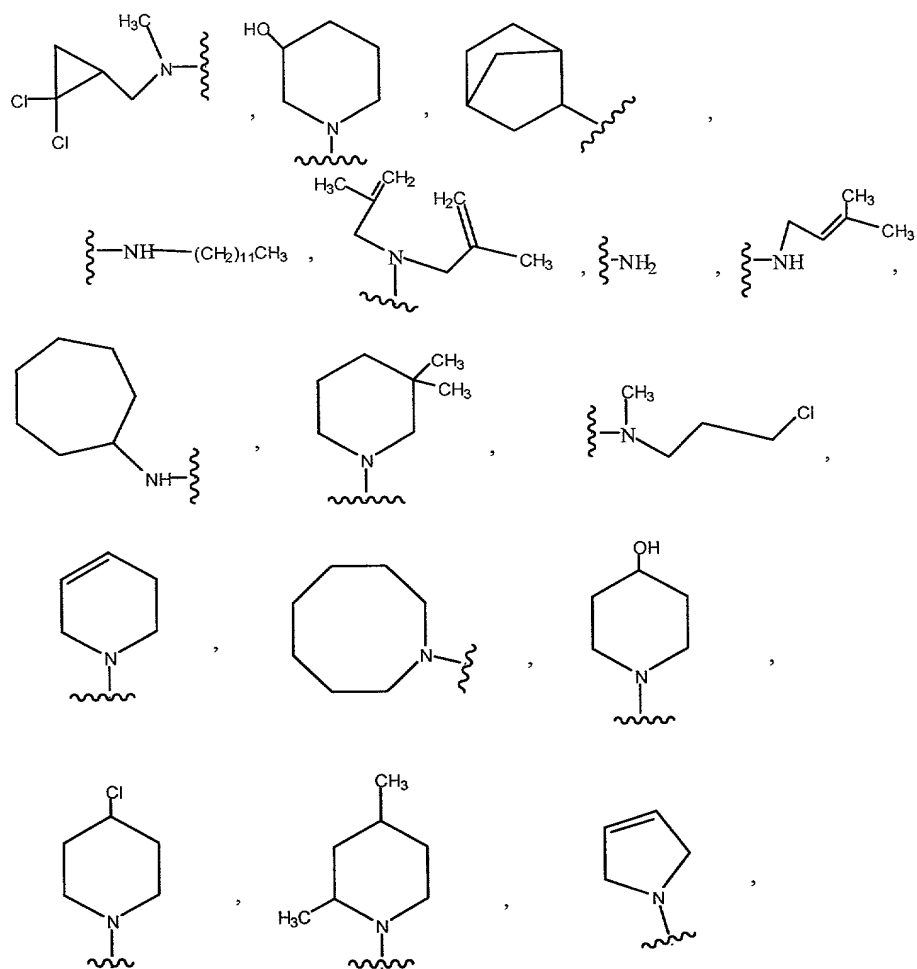
R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

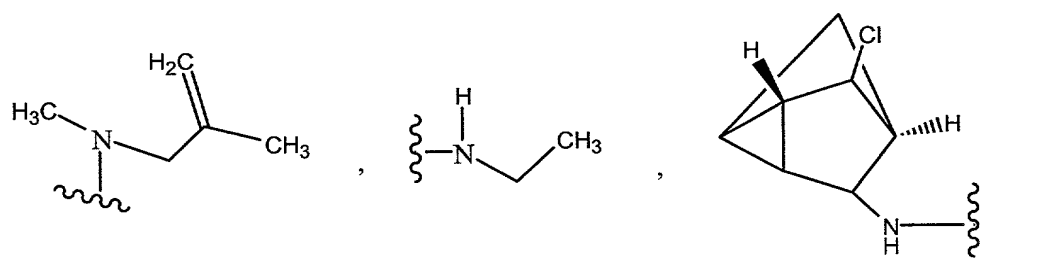
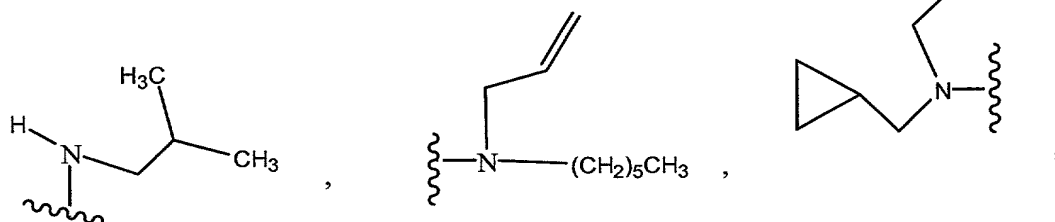
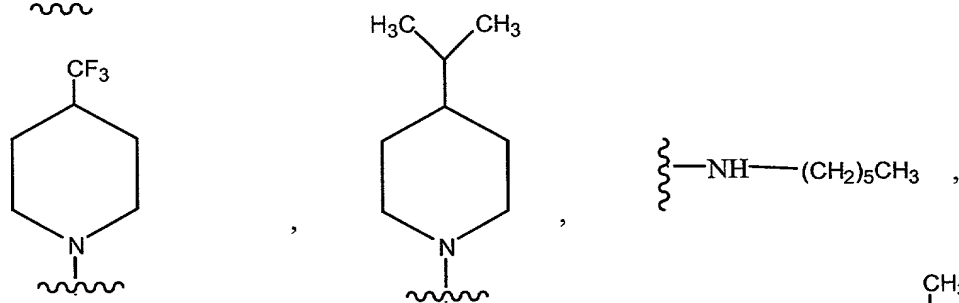
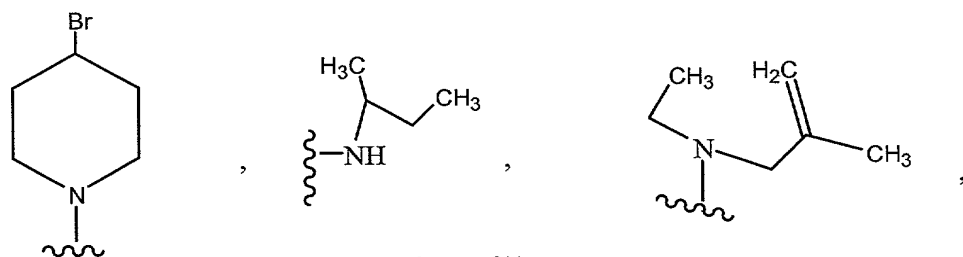
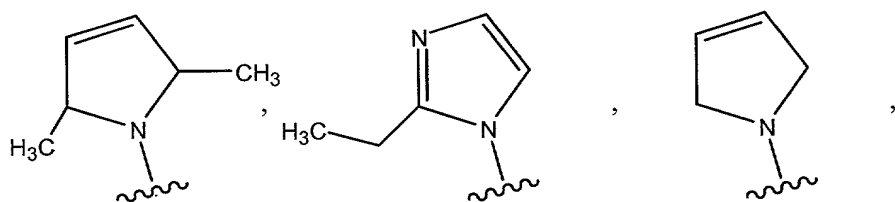
5

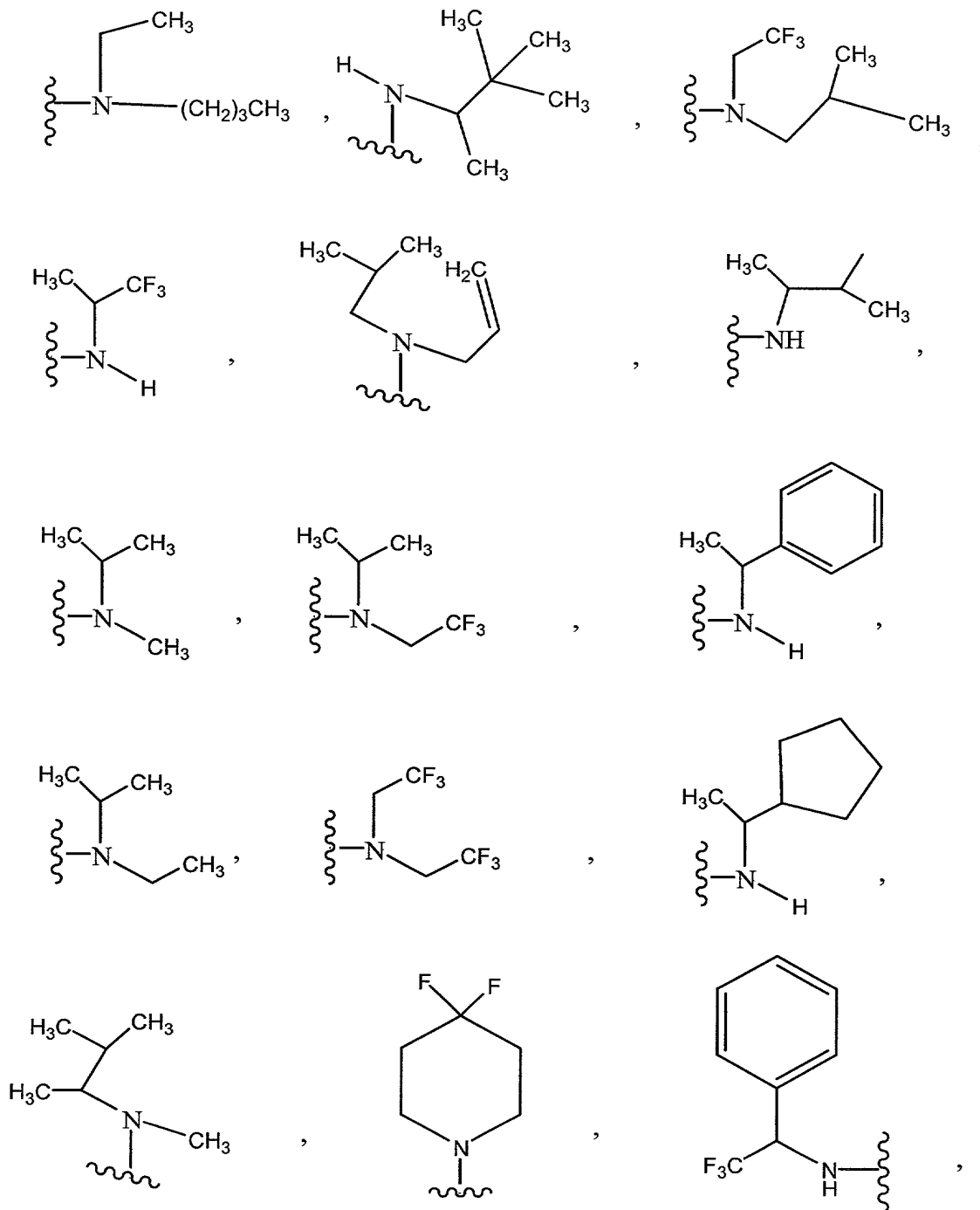
21. The method according to claim 2 wherein R¹ is the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R¹ is selected from

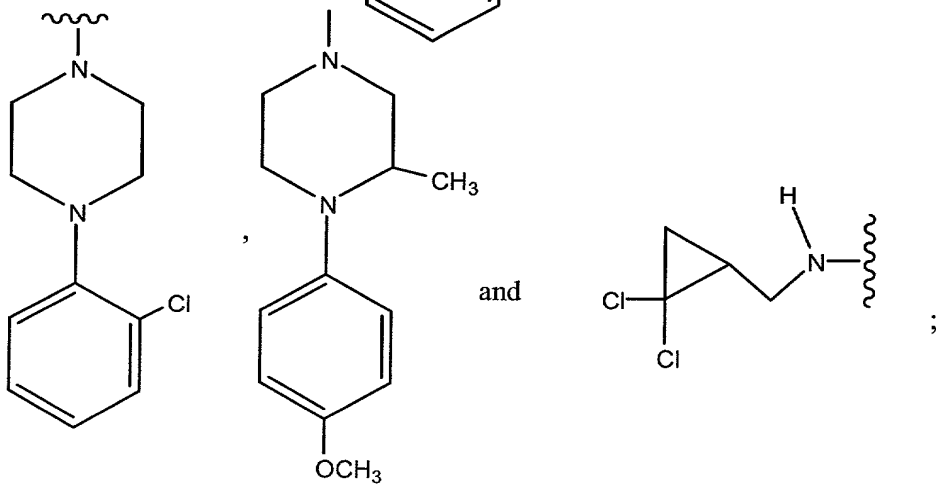
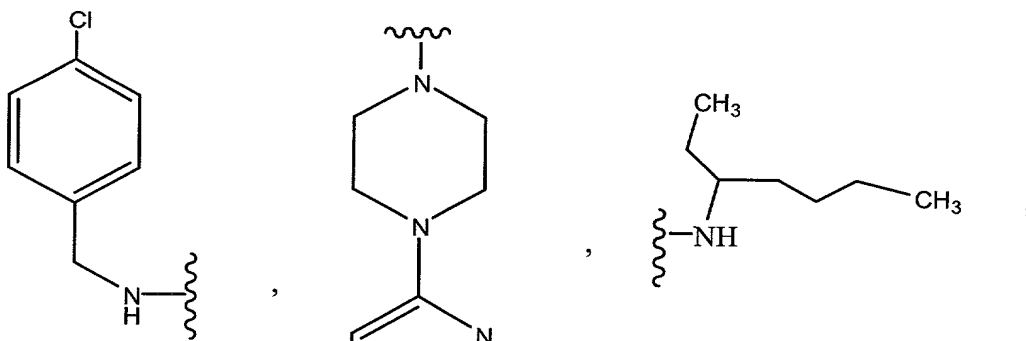
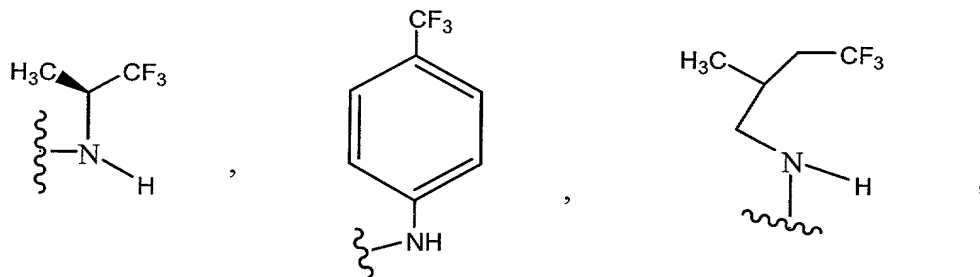
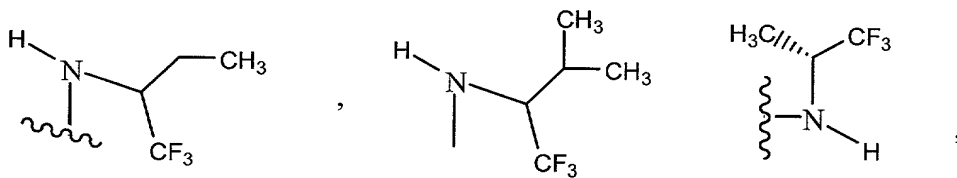


10









R² is optionally substituted thienyl;

R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;

R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

5

22. The method according to claim 2 wherein said compound selected from:

7-(1-azepanyl)-5-chloro-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2,6-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

methyl [[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl](methyl)amino]acetate;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3-tetramethylbutyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azepanyl)-5-chloro-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5

7-(1-azepanyl)-6-(4-bromophenyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidine;
5-chloro-7-(1-piperidiny)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

10 6-(4-tert-butylphenyl)-5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

15

5-chloro-6-(4-methoxyphenyl)-7-(3-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

20 6-(4-bromophenyl)-5-chloro-7-(3-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2,6-dichlorophenyl)-7-(2-methyl-1-pyrrolidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chlorophenyl)-7-(2-methyl-1-pyrrolidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

30

- 7-(1-azepanyl)-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(2-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 6-(4-tert-butylphenyl)-5-chloro-7-(2-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(2-methyl-1-piperidiny)-6-[3-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 Diethyl 2-[6-(2,6-difluorophenyl)-5-ethoxy[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;
- 7-(azepanyl)-5-chloro-6-{2-chloro-6-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-3-piperidinol;

- N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5 5-chloro-6-(2,5-difluorophenyl)-N-dodecyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-(4-methyl-1-piperidiny)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 N-[5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-isopropylamine;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(3,3-dimethyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 7-(1-azocanyl)-5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(3,6-dihydro-1(2H)-
pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 7-(1-azocanyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-methoxy-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-
piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-
yl]methanol;

1-[5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-4-
piperidinol;

15 5-chloro-7-(4-chloro-1-piperidinyl)-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-
a]pyrimidine;

5-chloro-7-(4-thiomorpholinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-
a]pyrimidine;

20 5-chloro-6-(2,6-difluorophenyl)-7-(2,4-dimethyl-1-
piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 7-(4-methyl-1-piperidinyl)-5-amino-6-(2-chloro-6-
fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(2,5-dihydro-1H-pyrrol-1-
yl)[1,2,4]triazolo[1,5-a]pyrimidine;

30

- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2,5-dimethyl-2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(4-bromo-1-piperidiny)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(2-methylphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(2-bromophenyl)-N-(sec-butyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 a]pyrimidine;
- 5-chloro-7-(4-chloro-1-piperidiny)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(trifluoromethyl)-1-piperidiny][1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(4-bromo-1-piperidiny)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30

7-(4-bromo-1-piperidiny)-5-chloro-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-7-(4-thiomorpholiny)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidiny)-1-cyclopenten-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-7-(4-isopropyl-1-piperidiny)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(2,4-dimethyl-1-piperidiny)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-7-[ethyl(2-methyl-2-propenyl)amino]-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

30 N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorobenzyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 7-(allylsulfanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-6-mesityl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-(4-methyl-1-piperidiny)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-(sec-butyl)-5-chloro-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30

5-chloro-6-[4-(methylsulfanyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2,2,2-trifluoroethyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-dimethyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,5-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;

30 4-[5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]aniline;

N-{4-[5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]phenyl}acetamide;

5 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methyl acetate;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(chloromethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 diethyl 2-[6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]malonate;

7-(1-azepanylmethyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-methyl-1-piperidiny)-6-[4-(trifluoromethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-7-(4-methyl-1-piperidiny)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N-propyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(2-methyl-1-piperidiny)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-6-{2-chloro-4-nitrophenyl}-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 5 4-[5-chloro-2-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-N,N-dimethylaniline;

6-(2-chloro-6-fluorophenyl)-5-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclohexen-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(methoxymethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-chloro-4-nitrophenyl}-7-[ethyl(2-methyl-2-propenyl)amino][1,2,4]triazolo[1,5-a]pyrimidine;

- 20 5-bromo-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-tetrafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25

5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 30 4-bromo-1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]butyl acetate;

- diethyl 2-allyl-2-[[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}malonate;
- 5 6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-butyl-5-chloro-N-ethyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 6-(2-chloro-6-fluorophenyl)-5-(difluoromethoxy)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(4-chlorophenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2-methoxyphenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-6-(2,3,4,5,6-pentafluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-6-(4-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30

- 5,7-bis(4-methyl-1-piperidinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-isobutyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-isobutyl-6-(2-methylphenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-allyl-5-chloro-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30

- 5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-isopropyl-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-isopropyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-butyl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-(1-phenylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-ethyl-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-hexyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-methylphenyl)-N,N-bis(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-cyclopentyl-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-butyl-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

5

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methylpropanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-pentyl[1,2,4]triazolo[1,5-a]pyrimidine;

10

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

15

5-chloro-6-(2-bromo-5-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25

[5-chloro-6-(2,4,6-trifluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(1-p-tolyl-ethyl)-amine;

5-chloro-6-(2,4,6-trifluoro-phenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

30

5-chloro-7-cyclohexyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-difluoro-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(bicyclo[2.2.1]hept-2-ylamino)-5-chloro-6-{2-fluoro-4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-{2-fluoro-4-nitrophenyl}-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfany)-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

15 [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl] (2,2,2-trifluoro-1-phenylethyl)-amine;

20 5-chloro-N-[1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-bromo-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

25 6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidin-5-amine;

[5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(2-methyl-1-trifluoromethyl-propyl)amine;

30

- 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(1-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(2,4-difluorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-fluorocyclohexyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-6-(2,6-dichloro-4-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-(sec-butyl)-5-chloro-6-(2,6-dichloro-4-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,6-difluorophenol;

15 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-fluorocyclohexyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 6-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)hexanoic acid;

2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-isopropyl-6-{2-[(trifluoromethyl)sulfanyl]phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(4,4,4-trifluoro-2-methylbutyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3-methyl-3-butenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;

30

- 7-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 5-chloro-6-(2-thienyl)-N-[(1R)-2,2,2-trifluoro-1-methylethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 4-(5-chloro-7-(2,2,2-trifluoro-1-methyl-ethylamino)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl)-3,5-difluoro-phenol;
- 10 {5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoro-ethoxy)-phenyl]-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-(2,2,2-trifluoro-1-methyl-ethyl)amine;
- 5-chloro-6-{2,6-difluoro-4-(methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 (5-chloro-6-{4-[2-(2-ethoxyethoxy)-ethoxy]-2,6-difluoro-phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;
- 20 (5-chloro-6-{2,6-difluoro-4-[2-(2-methoxy-ethoxy)ethoxy]-phenyl}-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;
- 5-chloro-6-[2,6-difluoro-4-(3-furan-3-ylmethoxy)phenyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-(2,2,2-trifluoro-1-methylethyl)amine;
- 25 5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
- 30

- 5-chloro-6-(2-fluoro-4-methoxy-6-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 2-[2-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)ethoxy]ethanol;
- 5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-{4-(2-fluoroethoxy)-2,6-difluorophenyl}-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-(4-chlorobenzyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-pyridinyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-chlorophenyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-cyclopentyl-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-phenoxy-6-(4-methoxy-phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5

5-chloro-N-cyclopentyl-6-(4-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-diphenoxy-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10

5-chloro-N-cyclopentyl-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N,N-diethyl-6-[4-methoxyphenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15

5-chloro-N,N-diethyl-6-[2,4-dichlorophenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(1,4-dioxo-8-azaspiro[4.5]dec-8-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

25

5-cyano-7-(4-methyl-1-piperidiny)-6-(2-chloro-5-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-(methylsulfanyl)-7-(4-methyl-1-piperidiny)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-7-(4-methyl-1-piperidiny)-6-(2-chloro-5-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-7-(1,4-dioxo-8-azaspiro[4,5]dec-8-yl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 2-methyl-6,7-di-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2-methyl-6-phenyl-7-(4-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 2-trifluoromethyl-6-phenyl-7-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5,7-diphenoxy-6-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-N-(isopropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-bromo-6-(4-bromophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-bromo-6-(4-trifluoromethylphenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(3,4-difluorophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-6-(4-trifluoromethylphenyl)-N-(ethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azepanyl)-5-chloro-6-(4-tert-butylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

ethyl {[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]amino}acetate;

5

diethyl 5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-malonate;

5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-2-butenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10

[5-chloro-6-(2-chloro-6-fluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]acetic acid methyl ester;

5-chloro-6-(2,6-difluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

15

5-chloro-N,N-diethyl-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

ethyl [6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidiny)]- [1,2,4]triazolo[1,5-a]pyrimidin-5-yl]acetate;

20

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25

dimethyl 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

diethyl 2-[[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy]-2-isobutylmalonate;

30

2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-1,3-cyclohexanedione;

5 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]cyclohexanone;

5-chloro-7-(3-nitro-4-methylanilino)-6-(2, 4, 6-trifluorophenyl)
[1,2,4]triazolo[1,5-a]pyrimidine;

10 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]5-(2-methoxyethoxy)[1,2,4]triazolo[1,5-a]pyrimidine;

15 7-(3-bromophenyl)-2-ethyl-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(3-bromophenyl)-6-(3-chlorophenyl)-2-ethyl[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromophenyl)-2-ethyl-6-[4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(3,4,5-trimethoxybenzyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(2-benzyl-4,5-dihydro-1H-imidazol-1-yl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 N-4-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N,N-1-diethyl-1,4-pentanediamine;

30 5-chloro-N-(3-methyl-2-butenyl)-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-dimethylamino-6-phenyl-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-7-[(2-furylmethyl)sulfanyl]-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-[1,1'-biphenyl]-4-yl-5-chloro-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 6-[4-(benzyloxy)phenyl]-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 N-cyclopentyl-6-(2-fluorophenyl)-5-hydrazino[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-ethyl-6-(2-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 6-(4-tert-butylphenyl)-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[2,6-difluoro-4-[(3-methyl-2-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-l[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-l[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-N-(3-tricyclo[2.2.1.0^{2,6}]hept-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-azido-7-cyclohexyl-6-(2-fluoro-6-chlorophenyl) [1,2,4]triazolo[1,5-a]pyrimidine;

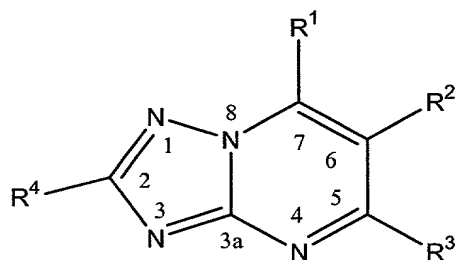
5 5-azido-6-[2-chloro-6-fluorophenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2,5-dichloro-7-(4-methyl-1-piperidinyl)-6-[2-chloro-6-fluorophenyl][1,2,4]triazolo[1,5-a]pyrimidine or a pharmaceutically acceptable salt thereof is administered.

10

23. A method of treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof by interacting with tubulin and microtubules and promoting microtubule polymerization which comprises administering to said mammal an effective amount of a substituted
15 triazolopyrimidine derivative or a pharmaceutically acceptable salt thereof.

24. The method according to Claim 23 wherein the substituted triazolopyrimidine derivative is a compound selected from those of the formula:



(I)

20

wherein:

R¹ is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy, halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b;

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-S$ -aryl of 6, 10 or 14 carbon atoms, $-S$ -alkyl, $-S$ -alkenyl, $-SO_2$ aryl of 6, 10 or 14 carbon atoms, $-SO_2$ cycloalkyl, $-SO_2$ alkyl, $-O$ -aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

$R^a R^b$ together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one $-CH_2-$ may optionally be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

R^2 is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;

R^3 is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-NR^c R^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon

atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N₃;

5

R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally

substituted in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or alkyl of 1 to 12 carbon atoms;

R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or $-CF_3$;

provided that when: a) R^1 is diethylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R^1 is diethylamino, R^3 is bromo, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl; c) R^1 is isopropylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R^1 is cyclopentylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R^1 is 2-amino-bicyclo(2.2.1.)heptyl, R^3 is chloro, R^4 is hydrogen, R^2 is not 3,4,5-trimethoxyphenyl and f) R^1 is diethylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl and g) R^1 is 1,1,1-trifluoroethoxy, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-chloro-6-fluorophenyl h) R^1 is $-SO_2$ ethyl or $-SO_2$ cyclopentyl, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-chloro-6-fluorophenyl; i) R^4 is hydrogen, R^2 is 2-chloro-6-fluorophenyl, R^1 and R^3 are not 1,2,4-triazole; j) R^1 is cyclohexyl, R^4 is hydrogen, R^2 is 2,4,6-trifluorophenyl, and R^3 is not $-OCH_2O_2C(CH_3)_3$; k) R^1 is 2-thienyl, R^4 is ethyl, R^3 is hydrogen and R^2 is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R^2 is phenyl, R^3 is chloro, R^4 is hydrogen R^1 is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof.

25. The method according to claim 24 wherein

R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b or a pharmaceutically acceptable salt thereof is administered.

26. The method according to claim 24 wherein R^a and R^b each independently represent the moiety -C*H(R^e)(R^f) where R^e and R^f independently represent an optionally halo-substituted alkyl group of 1 to 12 carbon atoms where C* represents the (R) or (S) isomer or a pharmaceutically acceptable salt thereof is administered.

27. The method according to claim 24 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms, aryloxy, thienyl, benzyloxy, heterocyclyl or halogen or a pharmaceutically acceptable salt thereof is administered.

28. The method according to claim 24 wherein R³ is halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR^cR^d, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, hydroxy, cyano, amino, alkylamino of 1 to 12 carbon atoms,

32. The method according to claim 24 wherein R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or $-N_3$ or a pharmaceutically acceptable salt thereof is administered.

33. The method according to claim 24 wherein R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, $-CF_3$ or a pharmaceutically acceptable salt thereof is administered.

34. The method according to claim 24 wherein R^1 is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-S$ -aryl of 6, 10 or 14 carbon atoms, $-S$ -alkyl of 1 to 12 carbon atoms, $-S$ -alkenyl of 2 to 12 carbon atoms, $-SO_2$ aryl of 6, 10 or 14 carbon atoms, $-SO_2$ cycloalkyl of 5 to 10 carbon atoms, $-SO_2$ alkyl of 1 to 12 carbon atoms, and the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.

35. The method according to claim 24 wherein R^2 is optionally substituted aryl of 6, 10 or 14 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

36. The method according to claim 24 wherein R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1

to 12 carbon atoms, cyano, or -N₃ or a pharmaceutically acceptable salt thereof is administered.

37. The method according to claim 24 wherein R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

38. The method according to claim 24 wherein R¹ is selected from the group consisting of an optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, and the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R² is optionally substituted phenyl; R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃; R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

39. The method according to claim 24 wherein R¹ is the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R² is optionally substituted phenyl; R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃; R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

40. The method according to claim 24 wherein R¹ is the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;

R² is optionally substituted phenyl;

R³ is halogen, alkoxy, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;

R⁴ is H;

- 5 R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted
- 10 cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl; R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted
- 15 alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon
- 20 atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms;
- 25 R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 2 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl
- 30 fused;

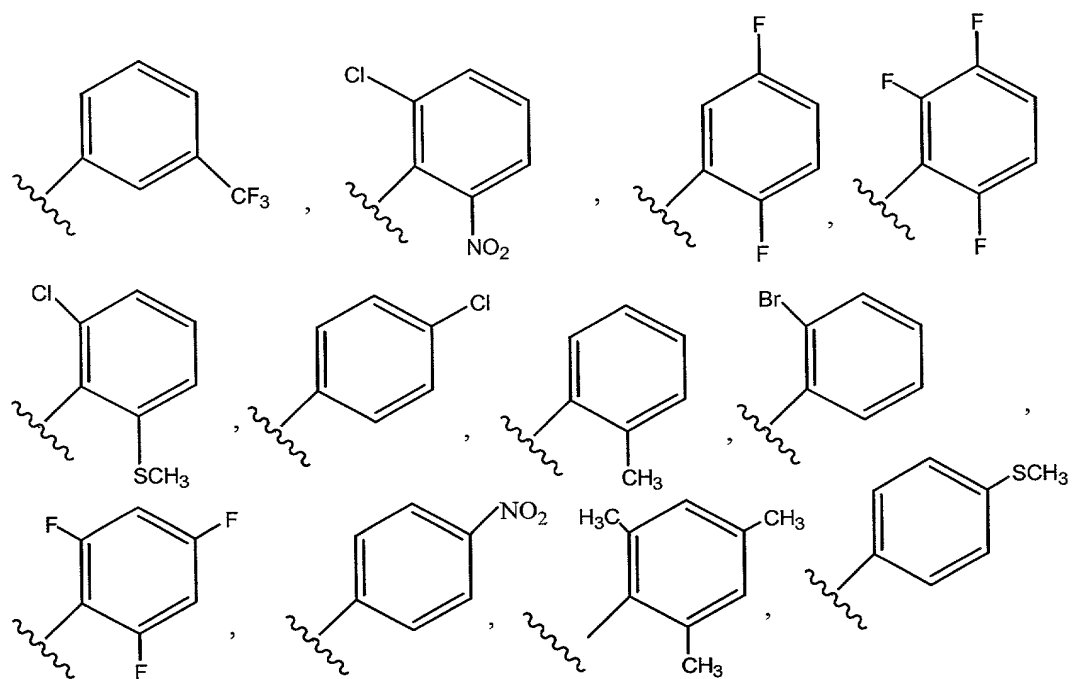
R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-CH_2-$ may also
 5 be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally
 10 substituted benzyl, heterocyclyl;

R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also
 15 be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5
 20 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally
 25 substituted in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or alkyl of 2 to 20 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

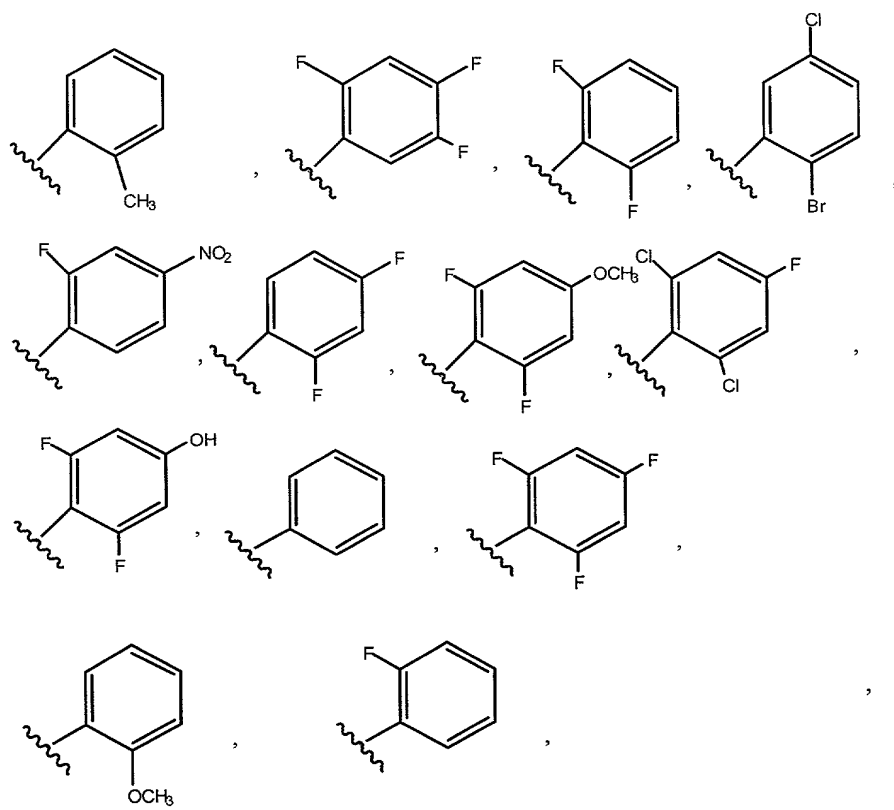
41. The method according to claim 24 wherein R^1 is the moiety $-NR^aR^b$
 30 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;

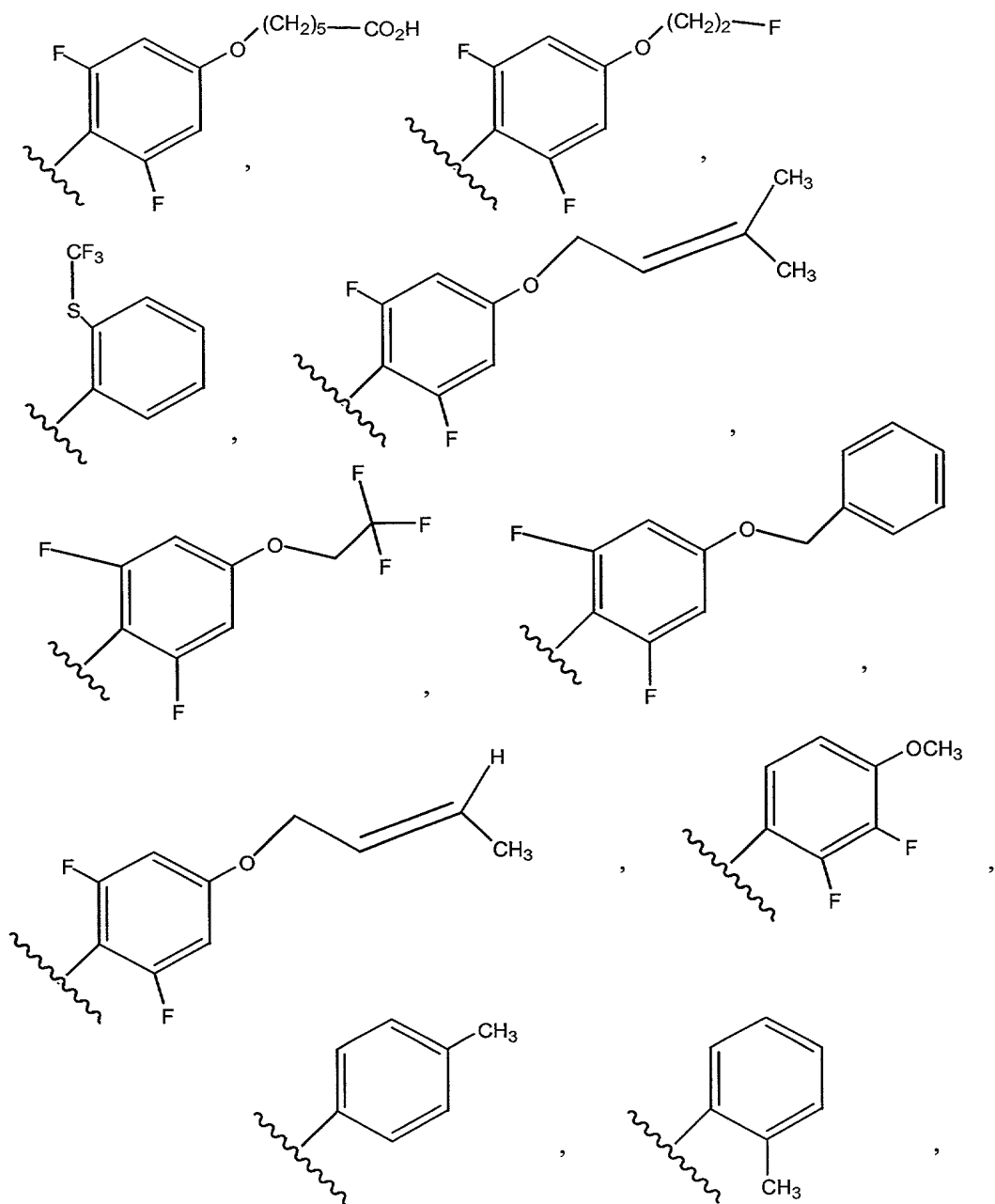
[illegible]

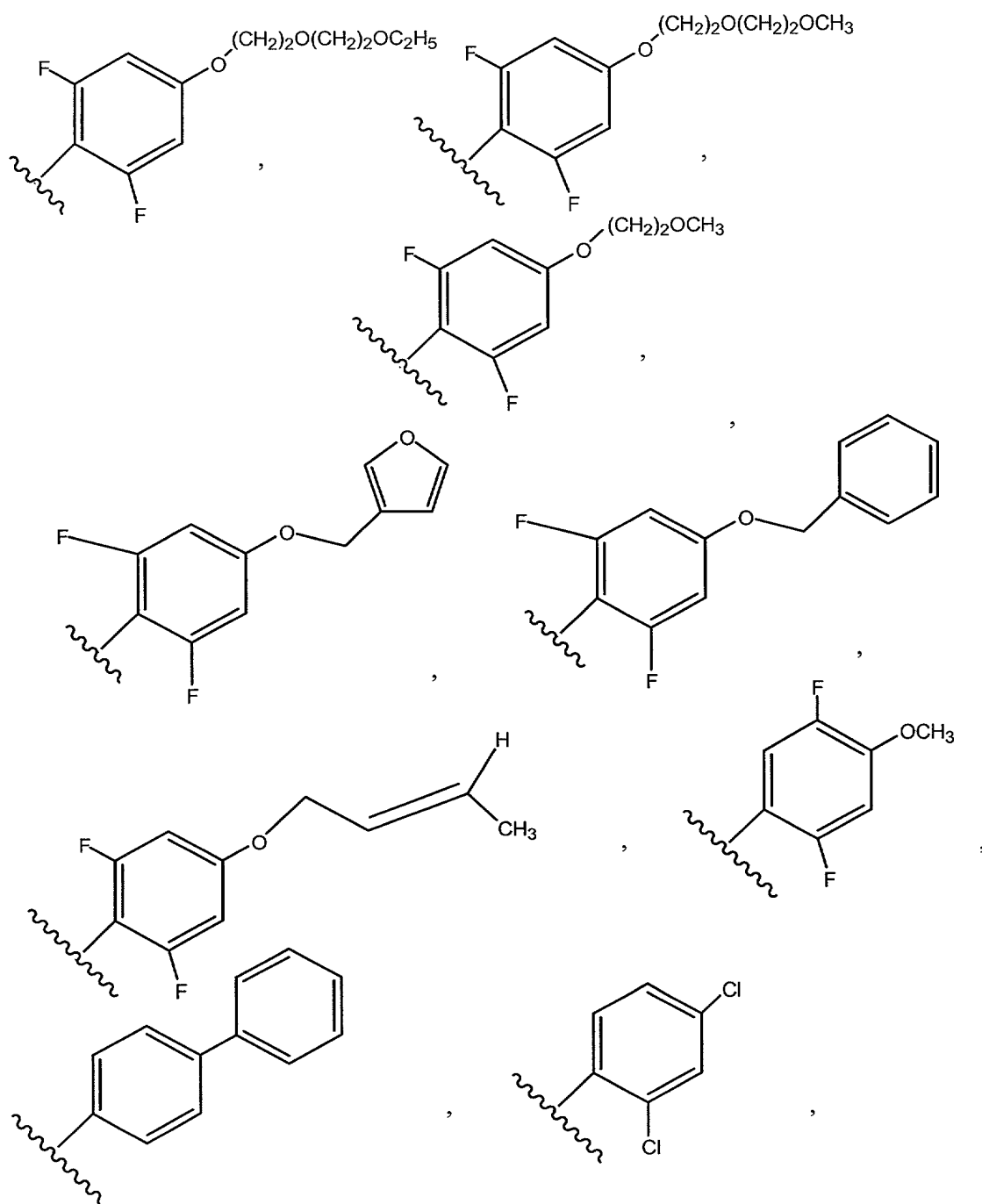


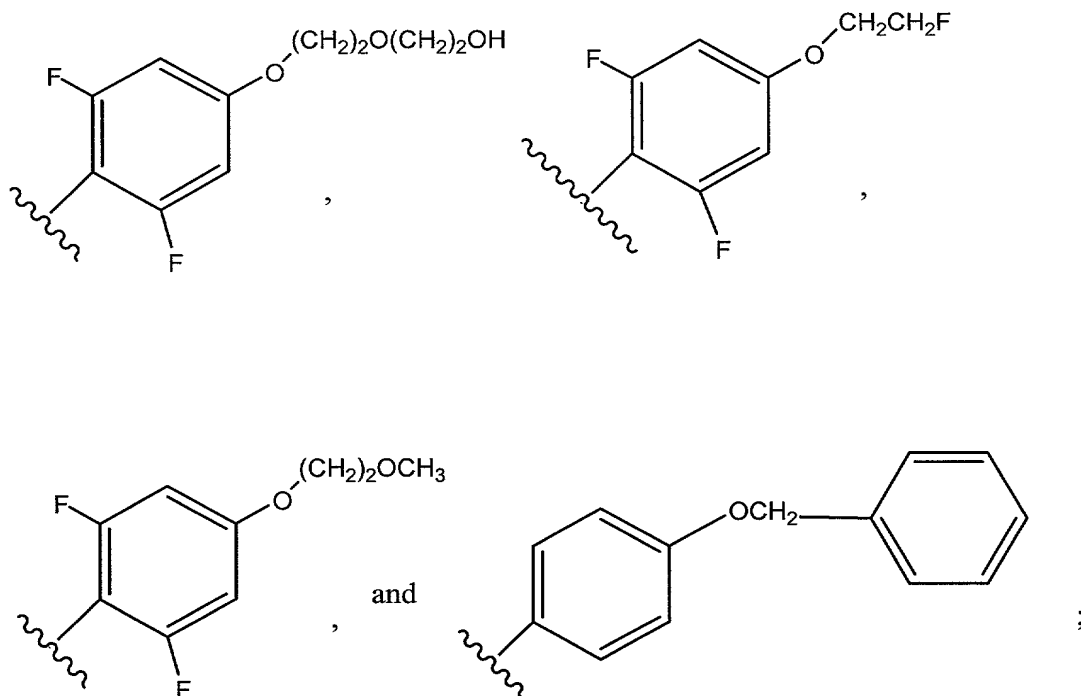
Chemical structures of various substituted benzene rings, including:

- 1,3-dichloro-5-(trifluoromethyl)benzene
- 1,3-difluorobenzene
- aniline
- N-methylbenzamide
- 1-chloro-3-nitrobenzene
- 1-ethoxy-4-(4-methoxyphenyl)benzene
- 1-(4-(trifluoromethoxy)phenyl)ethane
- 1-chloro-2,3,5-trifluorobenzene
- N,N-dimethyl-4-(4-methoxyphenyl)aniline
- 1-(4-methoxyphenyl)-2,3,5-trifluorobenzene
- 1,2,3,4-tetrafluorobenzene
- 1-fluorobenzene

[illegible]







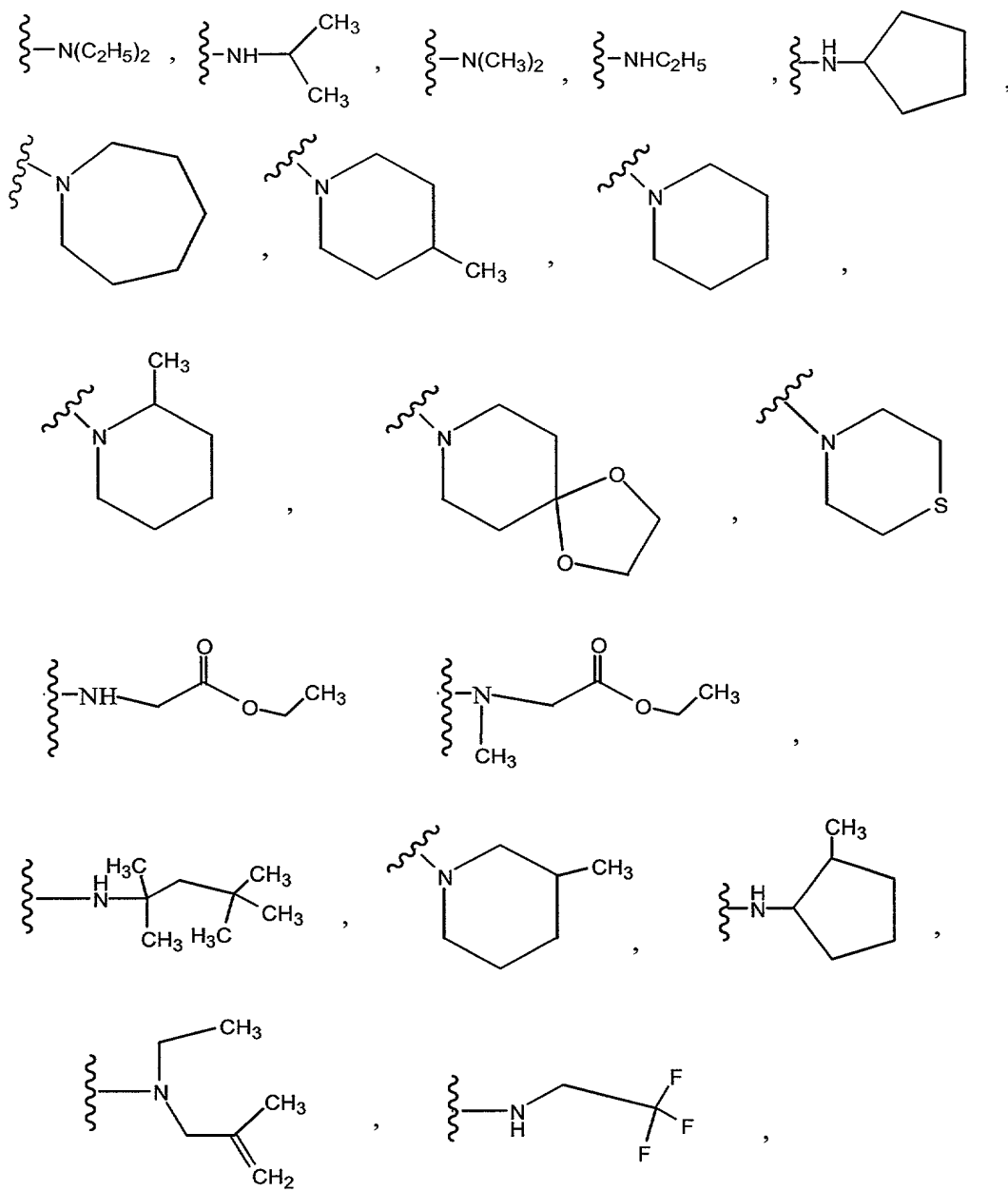
5

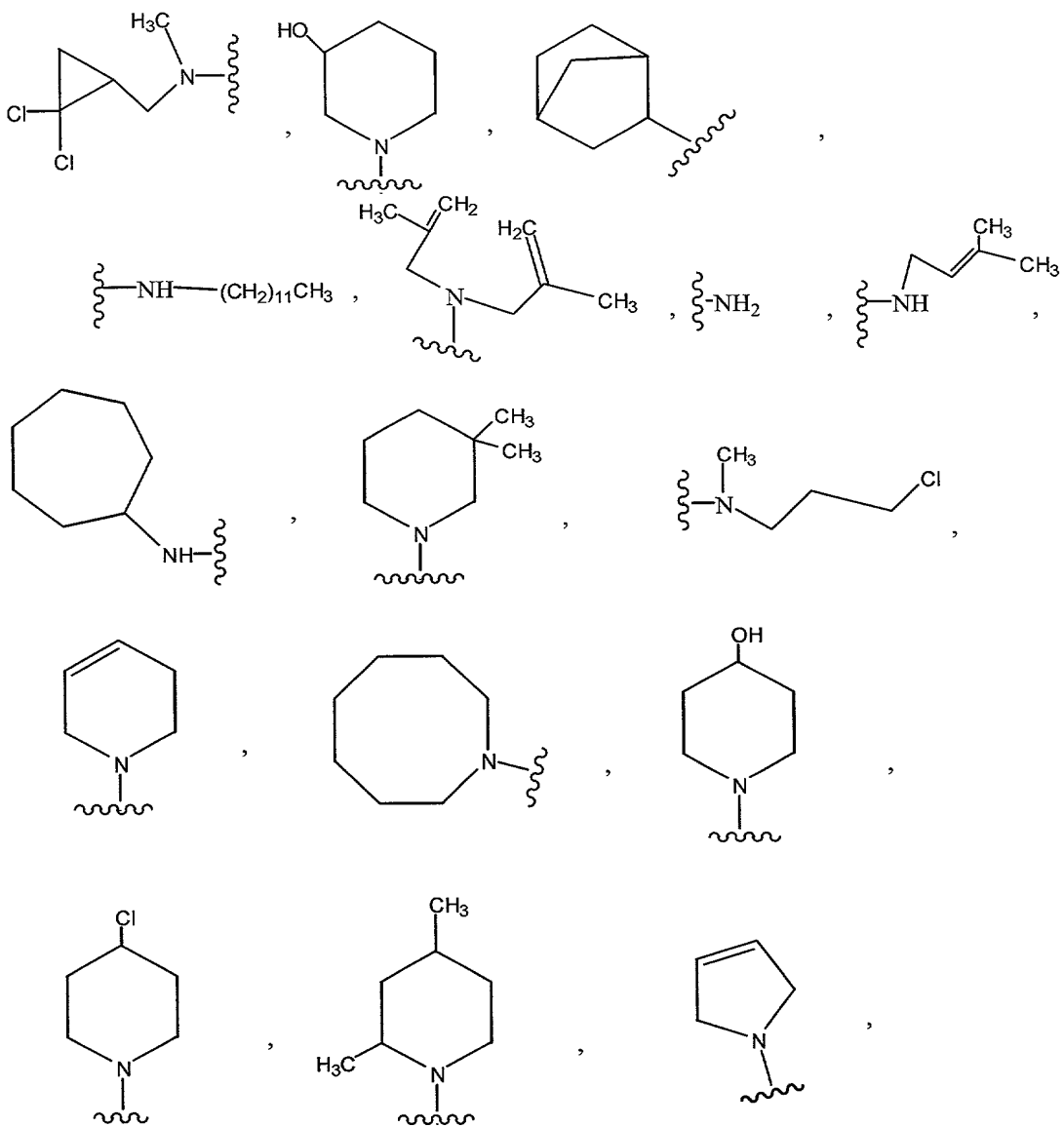
R³ is halogen, alkoxy, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;

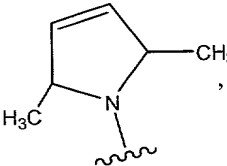
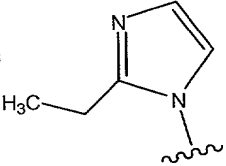
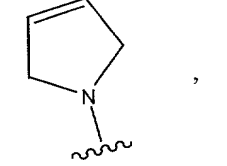
10 R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

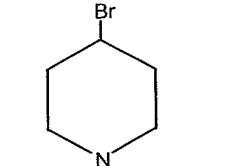
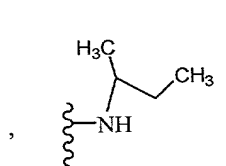
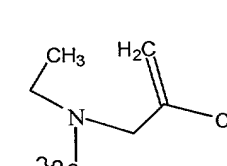
42. The method according to claim 24 wherein R¹ is the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R¹ is selected from

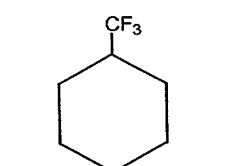
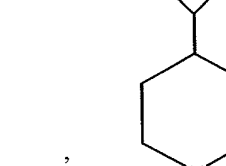
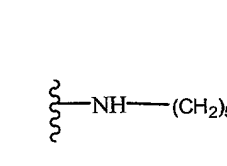
15

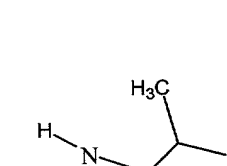
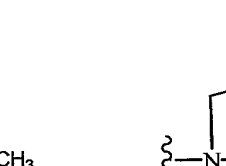
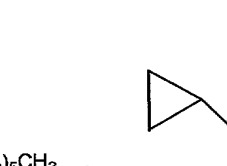


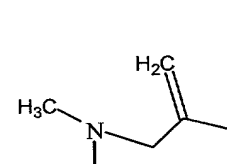
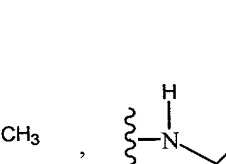
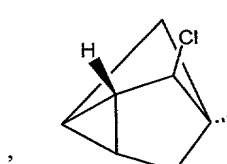
[illegible]

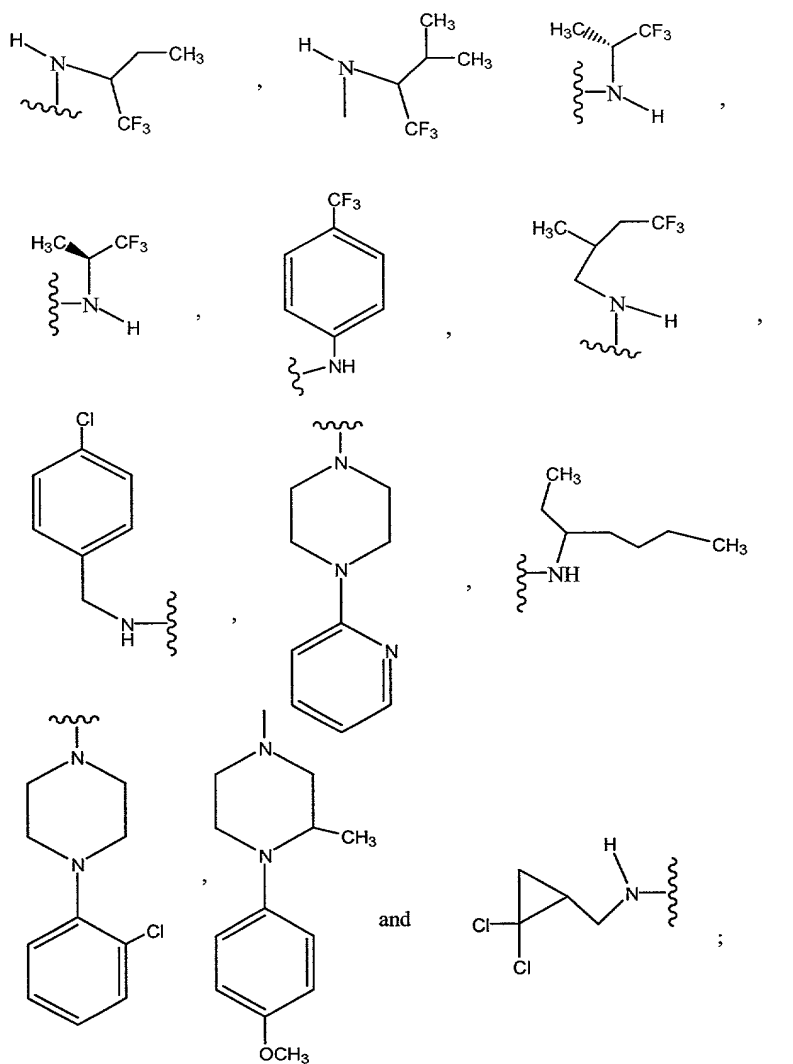






R² is optionally substituted phenyl;

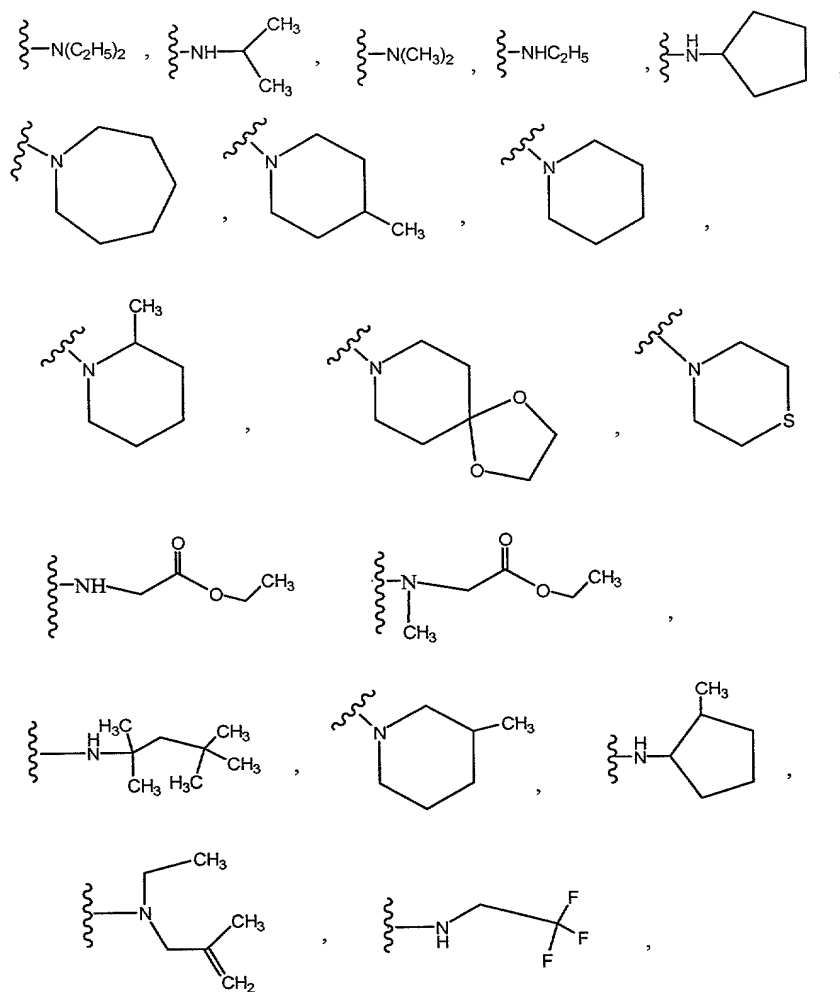
R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12

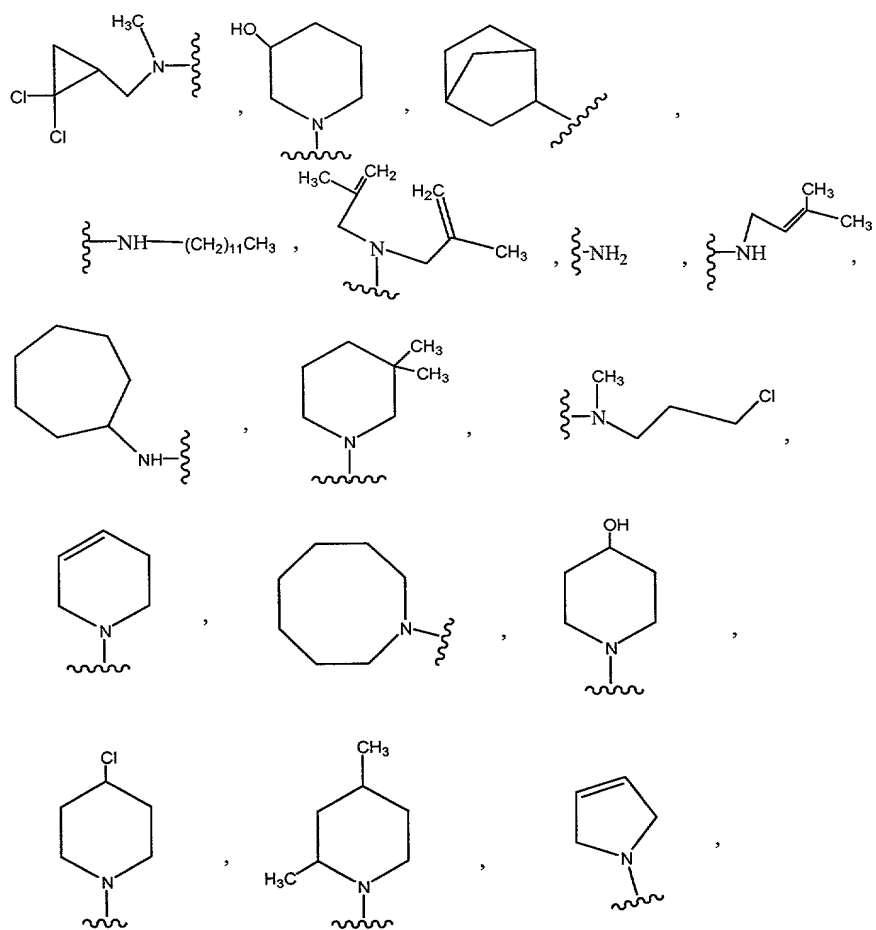
5 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;

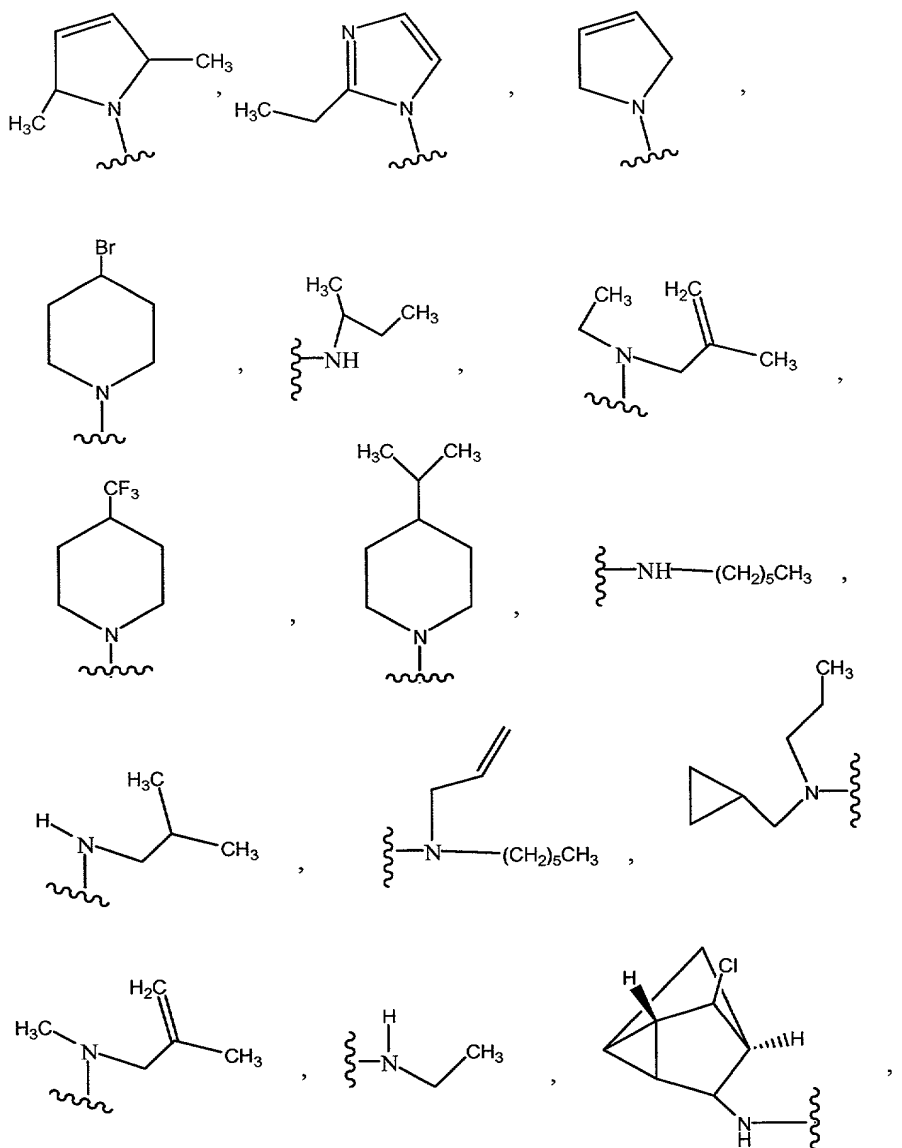
R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

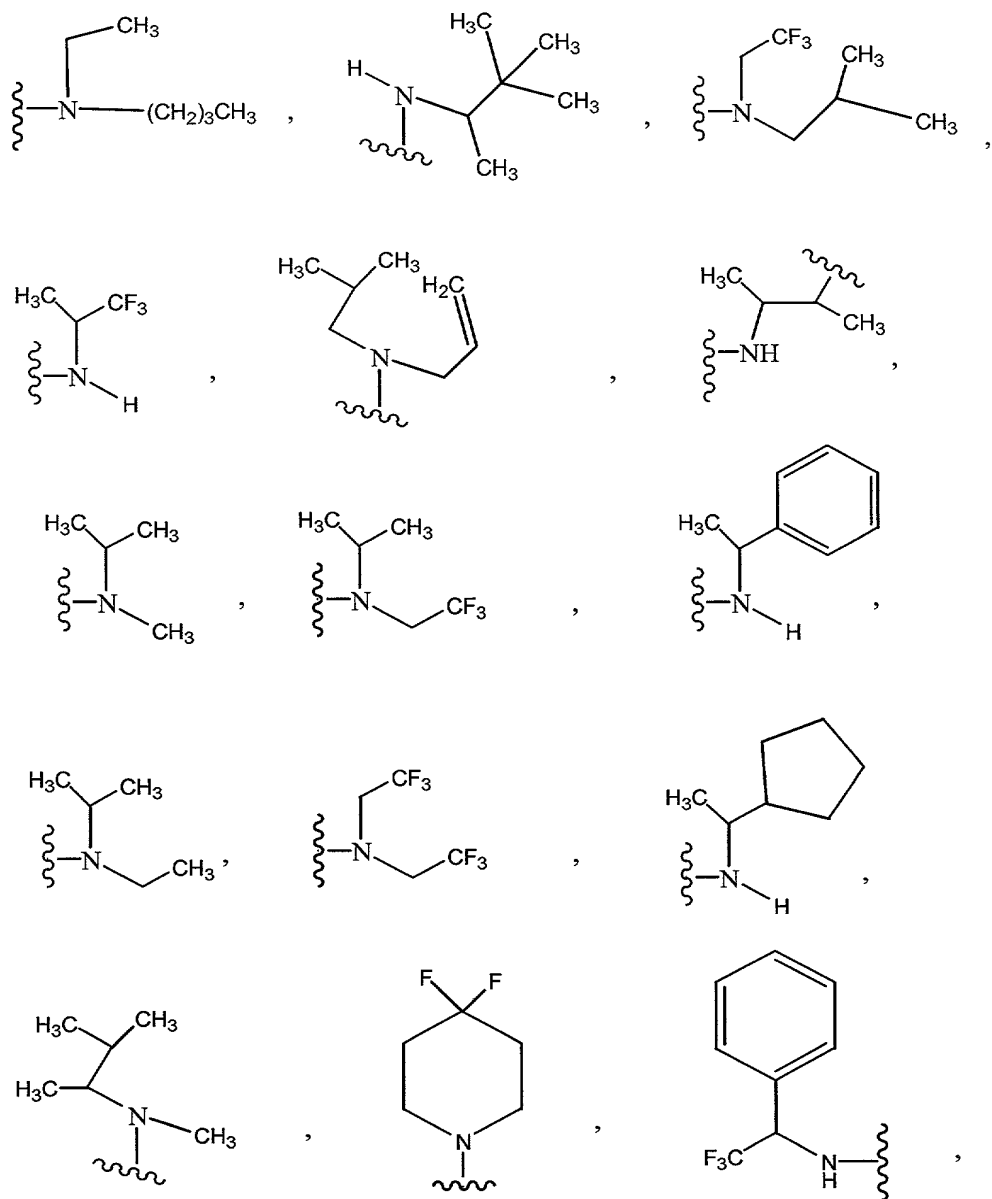
43. The method according to claim 24 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R^1 is selected from

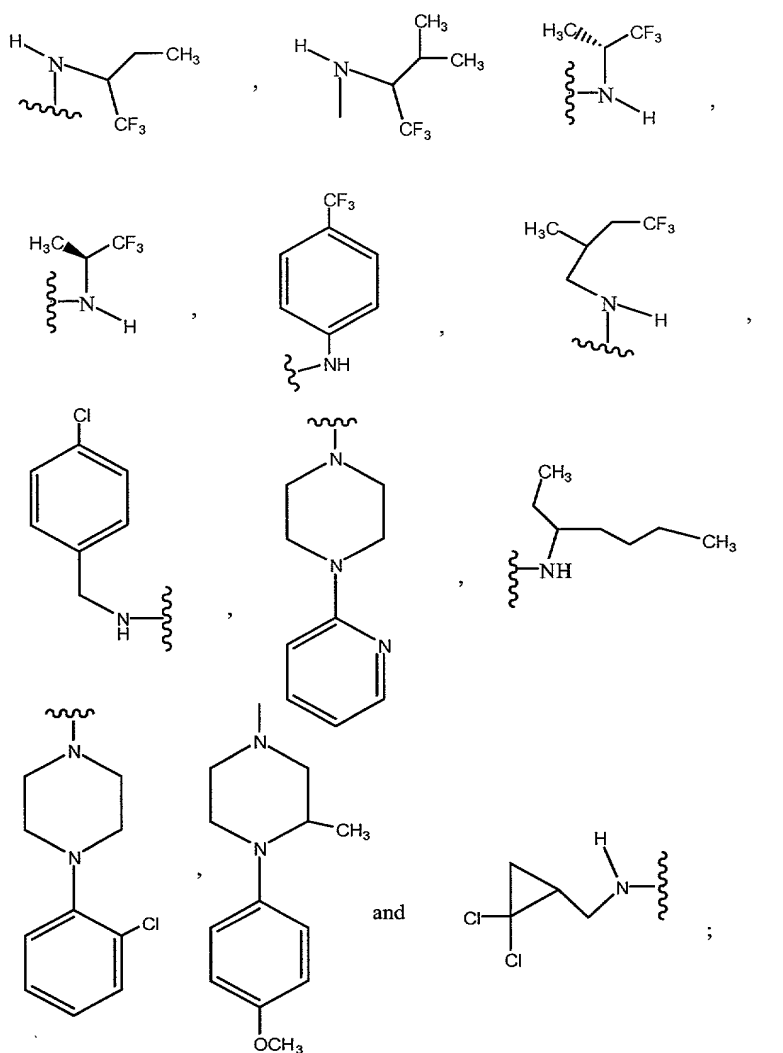
5



[illegible]







R² is optionally substituted thienyl;

- R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;
- R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

44. The method according to claim 24 wherein said compound selected from:

- 7-(1-azepanyl)-5-chloro-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 5-chloro-6-(4-methoxyphenyl)-7-(1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 7-(1-azepanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- methyl [[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl](methyl)amino]acetate;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3-tetramethylbutyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 7-(1-azepanyl)-5-chloro-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-6-(4-bromophenyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(1-piperidiny)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 30

- 6-(4-tert-butylphenyl)-5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 5-chloro-6-(4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-methoxyphenyl)-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 6-(4-bromophenyl)-5-chloro-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3,4-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2,6-dichlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 7-(1-azepanyl)-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 6-(4-tert-butylphenyl)-5-chloro-7-(2-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 5-chloro-7-(2-methyl-1-piperidiny)-6-[3-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- Diethyl 2-[6-(2,6-difluorophenyl)-5-ethoxy[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;
- 10 7-(azepanyl)-5-chloro-6-{2-chloro-6-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-3-piperidinol;
- 25 N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,5-difluorophenyl)-N-dodecyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30

- 5-chloro-7-(4-methyl-1-piperidinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 N-[5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-isopropylamine;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(3,3-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-(1-azocanyl)-5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 a]pyrimidine;
- 5-chloro-6-(2,6-difluorophenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 7-(1-azocanyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 5-methoxy-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methanol;
- 1-[5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-4-piperidinol;
- 10 5-chloro-7-(4-chloro-1-piperidiny)-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-thiomorpholinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2,6-difluorophenyl)-7-(2,4-dimethyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(4-methyl-1-piperidiny)-5-amino-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2,6-difluorophenyl)-7-(2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2,5-dimethyl-2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 7-(4-bromo-1-piperidiny)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 5-chloro-6-(2-methylphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(2-bromophenyl)-N-(sec-butyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-7-(4-chloro-1-piperidiny)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(trifluoromethyl)-1-piperidiny][1,2,4]triazolo[1,5a]pyrimidine;
- 20 7-(4-bromo-1-piperidiny)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(4-bromo-1-piperidiny)-5-chloro-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30

- 5-chloro-N-isopropyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-(4-thiomorpholinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclopenten-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-isopropyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(2,4-dimethyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-[ethyl(2-methyl-2-propenyl)amino]-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 5-chloro-6-(2-chloro-6-fluorobenzyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 7-(allylsulfanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-6-mesityl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 (methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-(sec-butyl)-5-chloro-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-6-[4-(methylsulfanyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30

- 7-(1-azepanyl)-5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2,2,2-trifluoroethyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-dimethyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(3,5-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 4-[5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]aniline;
- N-{4-[5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]phenyl}acetamide;
- 30 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methyl acetate;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(chloromethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 diethyl 2-[6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]malonate;

7-(1-azepanylmethyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(trifluoromethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N-propyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(2-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-{2-chloro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-[5-chloro-2-methyl-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-N,N-dimethylaniline;

5 6-(2-chloro-6-fluorophenyl)-5-methyl-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidiny)-1-cyclohexen-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(methoxymethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-chloro-4-nitrophenyl}-7-[ethyl(2-methyl-2-propenyl)amino][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfany)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-tetrafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 4-bromo-1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]butyl acetate;

30 diethyl 2-allyl-2-[[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}malonate;

6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 N-butyl-5-chloro-N-ethyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2-chloro-6-fluorophenyl)-5-(difluoromethoxy)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(4-chlorophenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2-methoxyphenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2,3,4,5,6-pentafluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-6-(4-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-bis(4-methyl-1-piperidiny)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30

5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-isobutyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-isobutyl-6-(2-methylphenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 N-allyl-5-chloro-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30

5-chloro-N-isopropyl-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-N-isopropyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-butyl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-N-(1-phenylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-ethyl-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-hexyl[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-methylphenyl)-N,N-bis(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-N-cyclopentyl-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-butyl-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methylpropanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-pentyl[1,2,4]triazolo[1,5-a]pyrimidine;

5

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

10

5-chloro-6-(2-bromo-5-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20

[5-chloro-6-(2,4,6-trifluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(1-p-tolylethyl)-amine;

5-chloro-6-(2,4,6-trifluoro-phenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

25

5-chloro-7-cyclohexyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-difluoro-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30

7-(bicyclo[2.2.1]hept-2-ylamino)-5-chloro-6-{2-fluoro-4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-6-{2-fluoro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl] (2,2,2-trifluoro-1-phenylethyl)-amine;

15 5-chloro-N-[1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-bromo-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

20 6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidin-5-amine;

[5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(2-methyl-1-trifluoromethyl-propyl)amine;

25 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30

5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2,4-difluorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-7-(4-fluorocyclohexyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-6-(2,6-dichloro-4-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-(sec-butyl)-5-chloro-6-(2,6-dichloro-4-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,6-difluorophenol;

5-chloro-7-(3-cyclohexen-1-yl)-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-fluorocyclohexyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 6-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)hexanoic acid;
- 2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-isopropyl-6-{2-[(trifluoromethyl)sulfanyl]phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-(4,4,4-trifluoro-2-methylbutyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3-methyl-3-butenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2-thienyl)-N-[(1R)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30

- 4-(5-chloro-7-(2,2,2-trifluoro-1-methyl-ethylamino)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl)-3,5-difluoro-phenol;
- 5 {5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoro-ethoxy)-phenyl]-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-(2,2,2-trifluoro-1-methyl-ethyl)amine;
- 5-chloro-6-{2,6-difluoro-4-(methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 (5-chloro-6-{4-[2-(2-ethoxyethoxy)-ethoxy]-2,6-difluoro-phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;
- 15 (5-chloro-6-{2,6-difluoro-4-[2-(2-methoxy-ethoxy)ethoxy]-phenyl}-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;
- 5-chloro-6-[2,6-difluoro-4-(3-furan-3-ylmethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-N-(2,2,2-trifluoro-1-methylethyl)amine;
- 20 5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2-fluoro-4-methoxy-6-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30

- 2-[2-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)ethoxy]ethanol;
- 5 5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-{4-(2-fluoroethoxy)-2,6-difluorophenyl}-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-(4-chlorobenzyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-pyridinyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-chlorophenyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-N-cyclopentyl-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-phenoxy-6-(4-methoxy-phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 5-chloro-N-cyclopentyl-6-(4-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-diphenoxy-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-cyclopentyl-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5

5-chloro-N,N-diethyl-6-[4-methoxyphenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N,N-diethyl-6-[2,4-dichlorophenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(1,4-dioxo-8-azaspiro[4.5]dec-8-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-cyano-7-(4-methyl-1-piperidiny)-6-(2-chloro-5-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-7-(4-methyl-1-piperidiny)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-(methylsulfanyl)-7-(4-methyl-1-piperidiny)-6-(2-chloro-5-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1,4-dioxo-8-azaspiro[4,5]dec-8-yl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 2-methyl-6,7-di-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
 2-methyl-6-phenyl-7-(4-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 2-trifluoromethyl-6-phenyl-7-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5,7-diphenoxy-6-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3,4-difluorophenyl)-N-(isopropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-bromo-6-(4-bromophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-bromo-6-(4-trifluoromethylphenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(3,4-difluorophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-trifluoromethylphenyl)-N-(ethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 7-(1-azepanyl)-5-chloro-6-(4-tert-butylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- ethyl {[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]amino}acetate;
- 25 diethyl 5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-malonate;
- 30 5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-2-butenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

[5-chloro-6-(2-chloro-6-fluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]acetic acid methyl ester;

5 5-chloro-6-(2,6-difluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N,N-diethyl-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 ethyl [6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidiny)- [1,2,4]triazolo[1,5-a]pyrimidin-5-yl]acetate;

15 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

dimethyl 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

20 diethyl 2-[[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy]-2-isobutylmalonate;

2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-1,3-cyclohexanedione;

25 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]cyclohexanone;

5-chloro-7-(3-nitro-4-methylanilino)-6-(2, 4, 6-trifluorophenyl)
[1,2,4]triazolo[1,5-a]pyrimidine;

30

7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]5-(2-methoxyethoxy)[1,2,4]triazolo[1,5-a]pyrimidine;

5 7-(3-bromophenyl)-2-ethyl-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(3-bromophenyl)-6-(3-chlorophenyl)-2-ethyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 7-(4-bromophenyl)-2-ethyl-6-[4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(3,4,5-trimethoxybenzyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 7-(2-benzyl-4,5-dihydro-1H-imidazol-1-yl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

N-4-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N,N-1-diethyl-1,4-pentanediamine;

20 5-chloro-N-(3-methyl-2-butenyl)-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-dimethylamino-6-phenyl-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-[(2-furylmethyl)sulfanyl]-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 6-[1,1'-biphenyl]-4-yl-5-chloro-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-[4-(benzyloxy)phenyl]-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-cyclopentyl-6-(2-fluorophenyl)-5-hydrazino[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-ethyl-6-(2-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(4-tert-butylphenyl)-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-[2,6-difluoro-4-[(3-methyl-2-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-l[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-l[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-N-(3-tricyclo[2.2.1.0^{2,6}]hept-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-azido-7-cyclohexyl-6-(2-fluoro-6-chlorophenyl) [1,2,4]triazolo[1,5-a]pyrimidine;

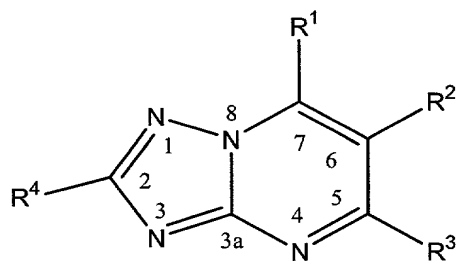
5-azido-6-[2-chloro-6-fluorophenyl]-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

2,5-dichloro-7-(4-methyl-1-piperidiny)-6-[2-chloro-6-fluorophenyl][1,2,4]triazolo[1,5-a]pyrimidine or a pharmaceutically acceptable salt thereof is administered.

- 5 45. A method of treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof by administering to said mammal an effective amount of a substituted triazolopyrimidine derivative having a paclitaxel like mechanism of action on tubulin polymerization or a pharmaceutically acceptable salt thereof .

10

46. The method according to Claim 45 wherein the substituted triazolopyrimidine derivative is a compound selected from those of the formula:



(I)

15

wherein:

- 20 R¹ is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy,

halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1
 5 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-\text{S-aryl}$ of 6, 10 or 14 carbon atoms, $-\text{S-alkyl}$ of 1 to 12 carbon atoms, $-\text{S-cycloalkyl}$ of 3 to 8 carbon atoms, $-\text{S-alkenyl}$ of 2 to 12 carbon atoms, $-\text{SO}_2\text{aryl}$ of 6, 10 or 14
 10 carbon atoms, $-\text{SO}_2\text{cycloalkyl}$ of 3 to 8 carbon atoms, $-\text{SO}_2\text{alkyl}$ of 1 to 12 carbon atoms, $-\text{O-aryl}$ of 6, 10 or 14 carbon atoms, and the moiety $-\text{NR}^a\text{R}^b$;

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of
 15 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$
 20 where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an
 25 optionally substituted phenyl ring ;

R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms,
 30 optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted

bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-\text{CH}_2-$ may also
 5 be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-\text{S}$ -aryl of 6, 10 or 14 carbon atoms, $-\text{S}$ -alkyl, $-\text{S}$ -alkenyl, $-\text{SO}_2$ aryl of 6, 10 or 14 carbon atoms, $-\text{SO}_2$ cycloalkyl, $-\text{SO}_2$ alkyl, $-\text{O}$ -aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring,
 10 optionally ortho-fused with an optionally substituted phenyl ring ;

R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one $-\text{CH}_2-$ may optionally be
 15 replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

R^2 is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;
 20

R^3 is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-\text{NR}^c\text{R}^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or
 30 $-\text{N}_3$;

R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl
 of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon
 atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally
 5 substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted
 cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be
 replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon
 atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which
 one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an
 10 alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to
 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally
 substituted benzyl, heterocyclyl;

R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl
 15 of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon
 atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally
 substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted
 cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be
 replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon
 20 atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which
 one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an
 alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to
 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally
 substituted benzyl, heterocyclyl;

25

R^cR^d together with the nitrogen atom to which each is attached represent an
 optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally
 substituted in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$
 where R' is H or alkyl of 1 to 12 carbon atoms;

30

R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or $-CF_3$;
 provided that when: a) R^1 is diethylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R^1 is diethylamino, R^3 is bromo, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl; c) R^1 is isopropylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R^1 is cyclopentylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R^1 is 2-amino-bicyclo(2.2.1.)heptyl, R^3 is chloro, R^4 is hydrogen, R^2 is not 3,4,5-trimethoxyphenyl and f) R^1 is diethylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl and g) R^1 is 1,1,1-trifluoroethoxy, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-chloro-6-fluorophenyl h) R^1 is $-SO_2$ ethyl or $-SO_2$ cyclopentyl, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-chloro-6-fluorophenyl; i) R^4 is hydrogen, R^2 is 2-chloro-6-fluorophenyl, R^1 and R^3 are not 1,2,4-triazole; j) R^1 is cyclohexyl, R^4 is hydrogen, R^2 is 2,4,6-trifluorophenyl, and R^3 is not $-OCH_2O_2C(CH_3)_3$; k) R^1 is 2-thienyl, R^4 is ethyl, R^3 is hydrogen and R^2 is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R^2 is phenyl, R^3 is chloro, R^4 is hydrogen R^1 is not (2E)-3,7-dimethyl-2,6-octadienyl
 or a pharmaceutically acceptable salt thereof.

47. The method according to claim 46 wherein
 R^1 is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14

carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-\text{S-aryl}$ of 6, 10 or 14 carbon atoms, $-\text{S-alkyl}$ of 1 to 12 carbon atoms, $-\text{S-alkenyl}$ of 2 to 12 carbon atoms, $-\text{SO}_2\text{aryl}$ of 6, 10 or 14 carbon atoms, $-\text{SO}_2\text{cycloalkyl}$ of 3 to 8 carbon atoms, $-\text{SO}_2\text{alkyl}$ of 1 to 12 carbon atoms, $-\text{O-aryl}$ of 6, 10 or 14 carbon atoms, and the moiety $-\text{NR}^a\text{R}^b$ or a pharmaceutically acceptable salt thereof is administered.

48. The method according to claim 46 wherein R^a and R^b each independently represent the moiety $-\text{C}^*\text{H}(\text{R}^e)(\text{R}^f)$ where R^e and R^f independently represent an optionally halo-substituted alkyl group of 1 to 12 carbon atoms where C^* represents the (R) or (S) isomer or a pharmaceutically acceptable salt thereof is administered.

49. The method according to claim 46 wherein R^2 is optionally substituted aryl of 6, 10 or 14 carbon atoms, aryloxy, thienyl, benzyloxy, heterocyclyl or halogen or a pharmaceutically acceptable salt thereof is administered.

50. The method according to claim 46 wherein R^3 is halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-\text{NR}^c\text{R}^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, hydroxy, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or $-\text{N}_3$ or a pharmaceutically acceptable salt thereof is administered.

51. The method according to claim 46 wherein R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon

atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, $-\text{CF}_3$ or a pharmaceutically acceptable salt thereof is administered.

5 52. The method according to claim 46 wherein R^1 is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted
10 bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12
15 carbon atoms, $-\text{S}$ -aryl of 6, 10 or 14 carbon atoms, $-\text{S}$ -alkyl of 1 to 12 carbon atoms, $-\text{S}$ -alkenyl of 2 to 12 carbon atoms, $-\text{SO}_2$ aryl of 6, 10 or 14 carbon atoms, $-\text{SO}_2$ cycloalkyl of 3 to 8 carbon atoms, $-\text{SO}_2$ alkyl of 1 to 12 carbon atoms, $-\text{O}$ -aryl of 6, 10 or 14 carbon atoms, and the moiety $-\text{NR}^{\text{a}}\text{R}^{\text{b}}$ wherein $\text{R}^{\text{a}}\text{R}^{\text{b}}$ are optionally taken together with the
20 nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.

53. The method according to claim 46 wherein R^2 is optionally substituted aryl of 6, 10 or 14 carbon atoms or heterocyclyl or a pharmaceutically
25 acceptable salt thereof is administered.

54. The method according to claim 46 wherein R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-\text{NR}^{\text{c}}\text{R}^{\text{d}}$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or $-\text{N}_3$ or a pharmaceutically acceptable
30 salt thereof is administered.

55. The method according to claim 46 wherein R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, -CF₃ or a pharmaceutically acceptable salt thereof is administered.

56. The method according to claim 46 wherein R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 5 to 10 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, and the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.

57. The method according to claim 46 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

58. The method according to claim 46 wherein R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃ or a pharmaceutically acceptable salt thereof is administered.

59. The method according to claim 46 wherein R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

60. The method according to claim 46 wherein R^1 is selected from the group consisting of an optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-S$ -aryl of 6, 10 or 14 carbon atoms, $-S$ -alkyl of 1 to 12 carbon atoms, $-S$ -alkenyl of 2 to 12 carbon atoms, $-SO_2$ aryl of 6, 10 or 14 carbon atoms, $-SO_2$ cycloalkyl of 3 to 8 carbon atoms, $-SO_2$ alkyl of 1 to 12 carbon atoms, and the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R^2 is optionally substituted phenyl; R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$; R^4 is H or a pharmaceutically acceptable salt thereof is administered.

61. The method according to claim 46 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R^2 is optionally substituted phenyl; R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$; R^4 is H or a pharmaceutically acceptable salt thereof is administered.

62. The method according to claim 46 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;
 R^2 is optionally substituted phenyl;
 R^3 is halogen, alkoxy, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;
 R^4 is H;

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where
 5 R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl; R^b is H, an
 10 optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1
 15 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-S$ -aryl of 6, 10 or 14 carbon atoms, $-S$ -alkyl of 1 to 12 carbon atoms, $-S$ -alkenyl of 2 to 12 carbon atoms, $-SO_2$ aryl of 6, 10 or 14 carbon atoms, $-SO_2$ cycloalkyl of 3 to 8 carbon atoms,
 20 $-SO_2$ alkyl of 1 to 12 carbon atoms, $-O$ -aryl of 6, 10 or 14 carbon atoms;
 $R^a R^b$ together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 2 to 12 carbon atoms, said
 25 saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

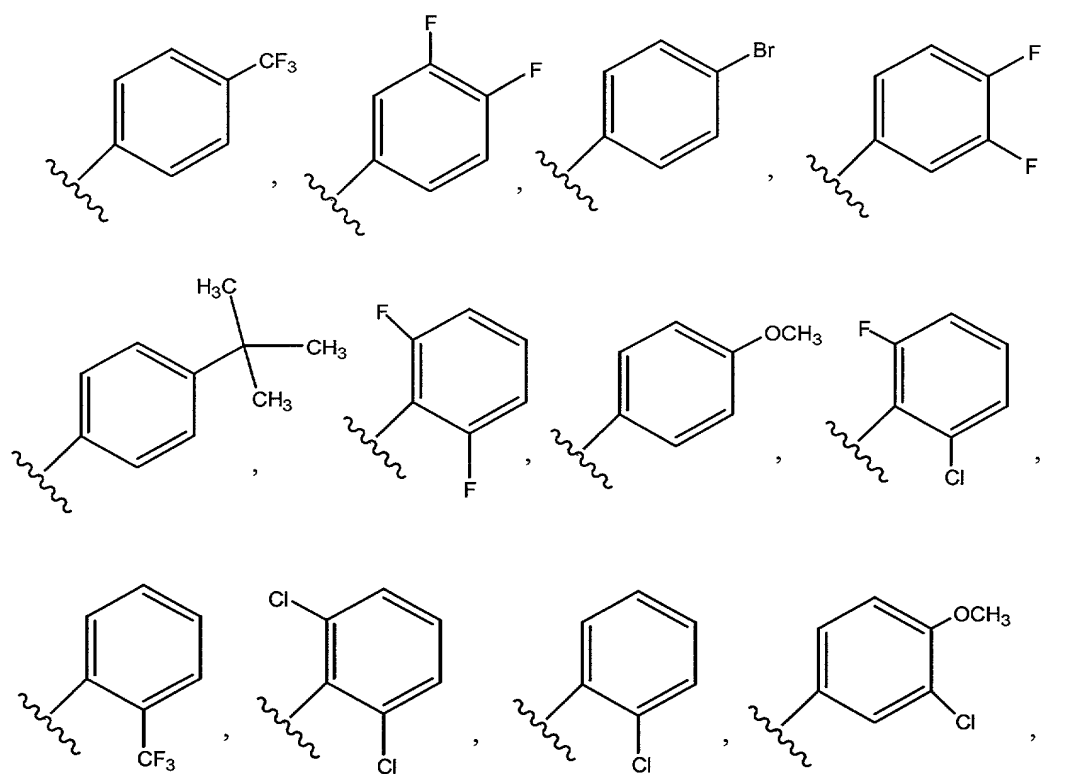
R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon
 30 atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-CH_2-$ may also

be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;

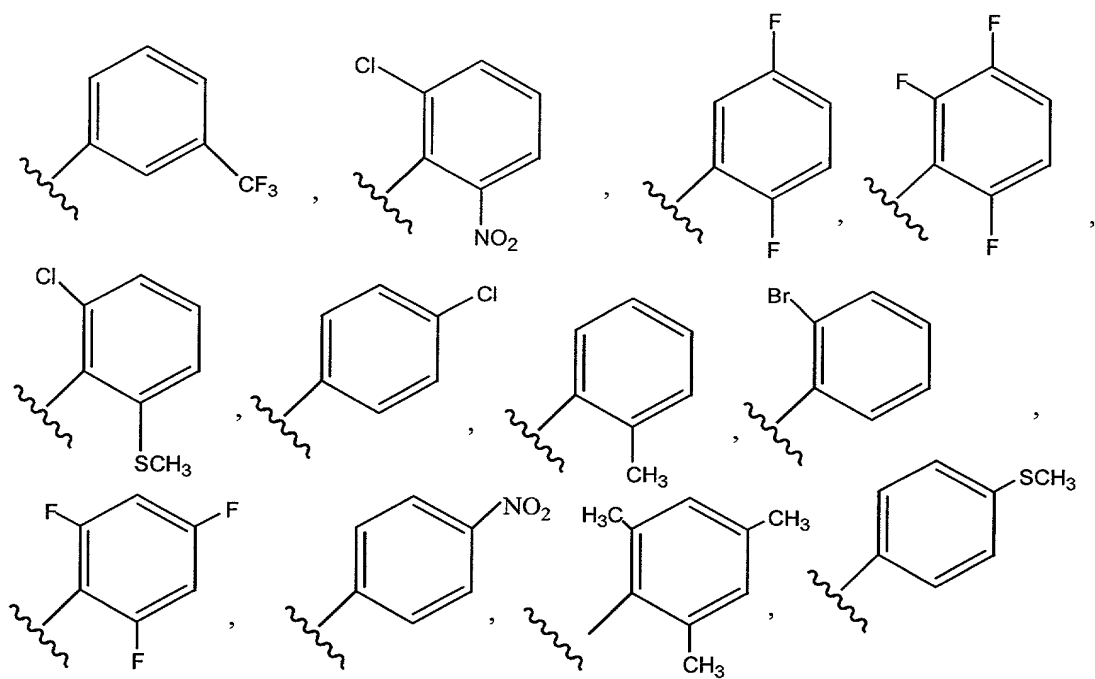
R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;

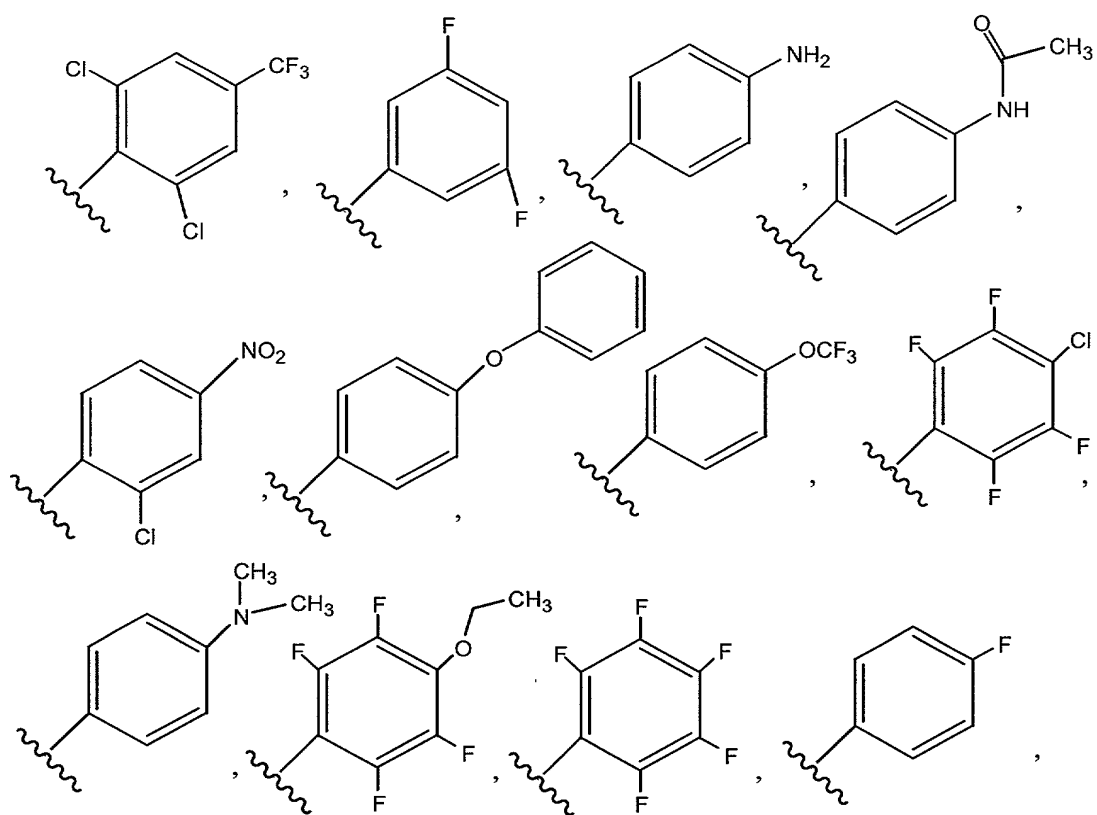
R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or alkyl of 2 to 20 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

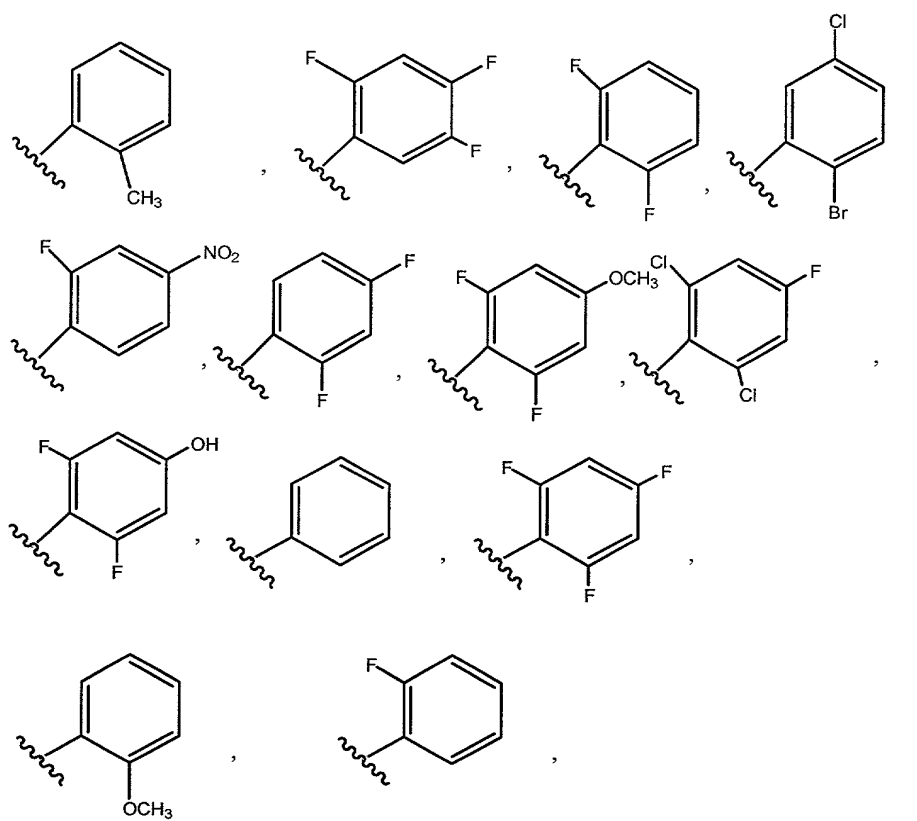
25 63. The method according to claim 46 wherein R¹ is the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;
R² is selected from

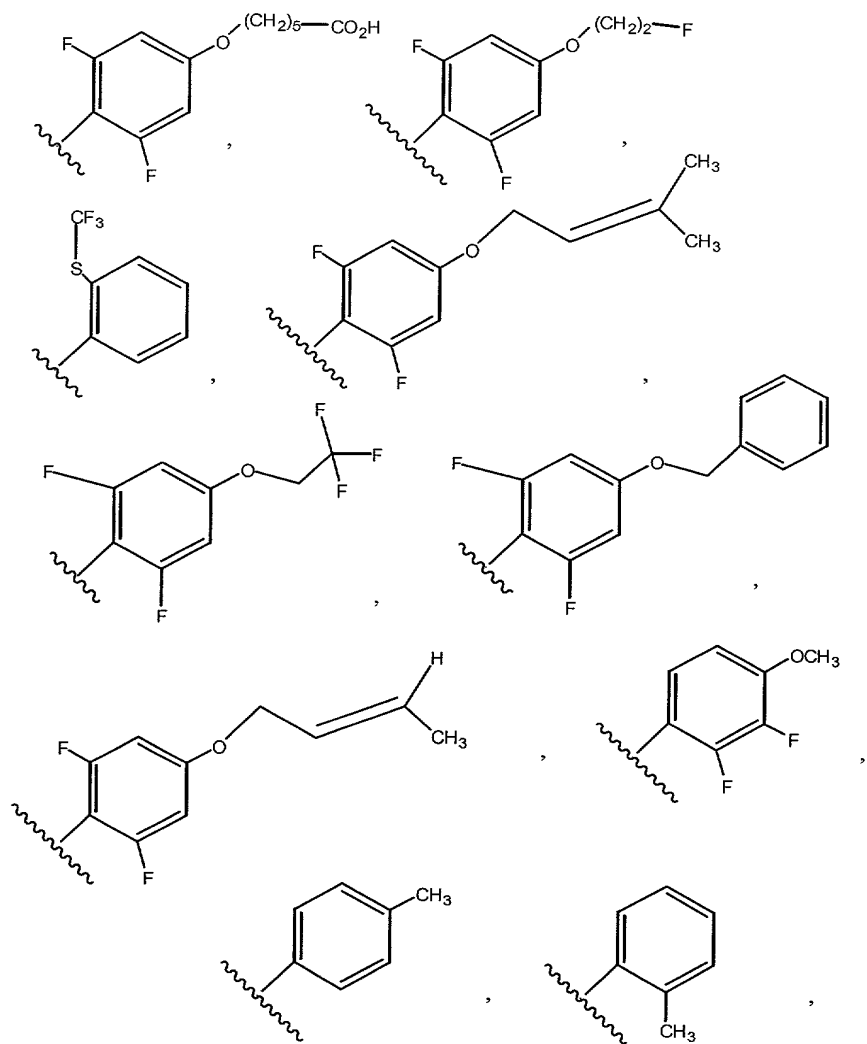


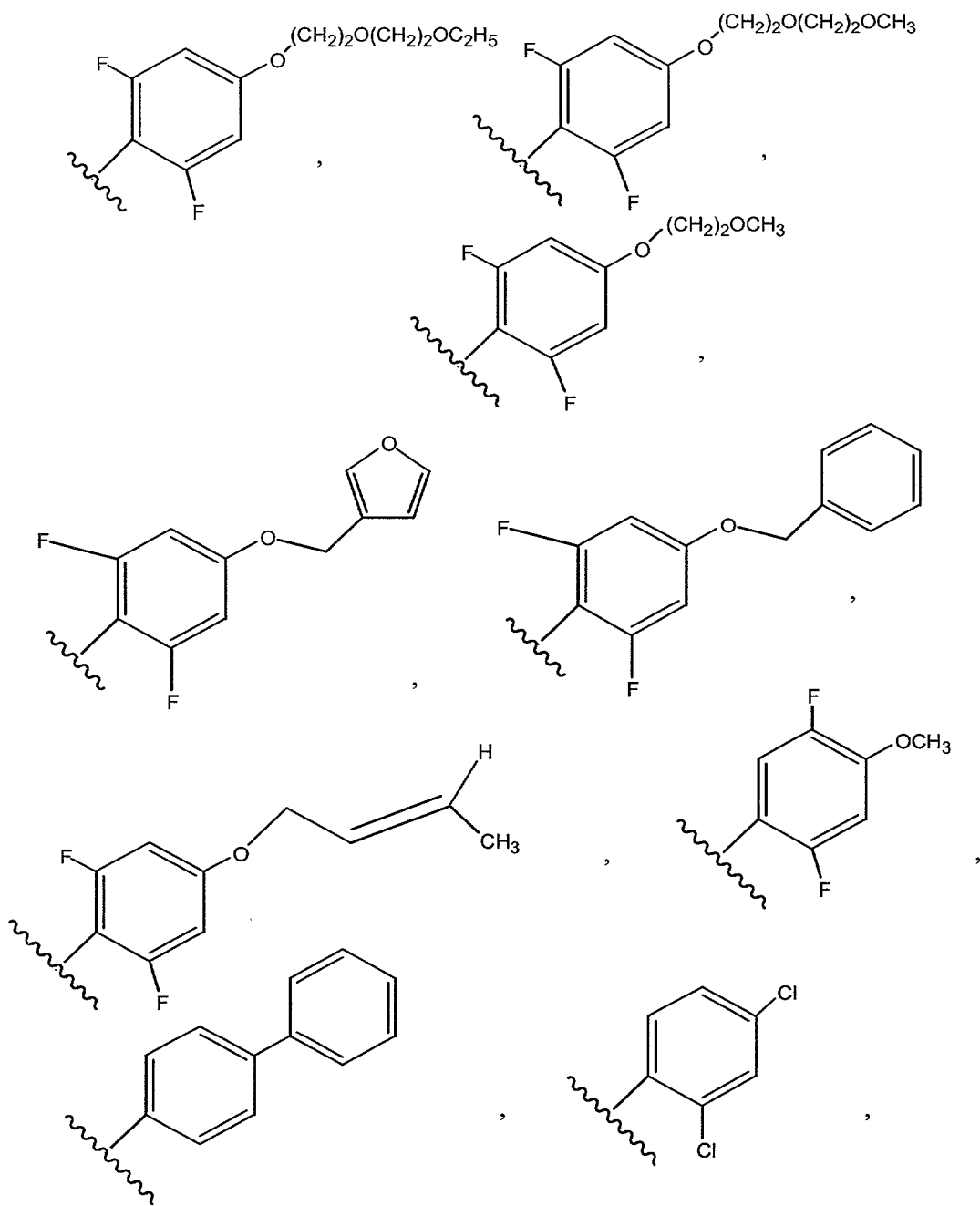
5

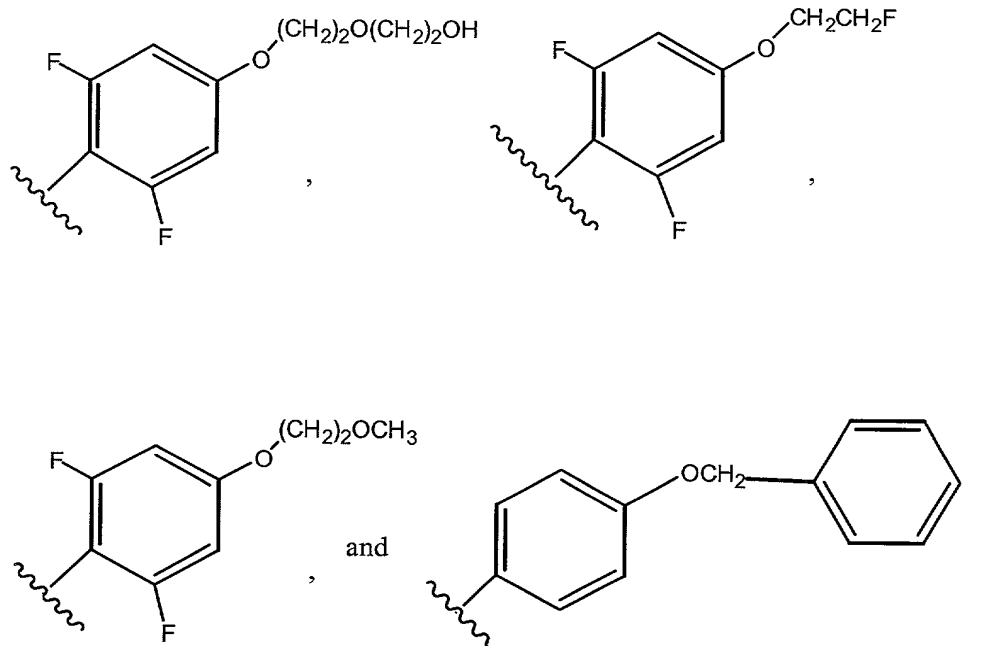








[illegible]

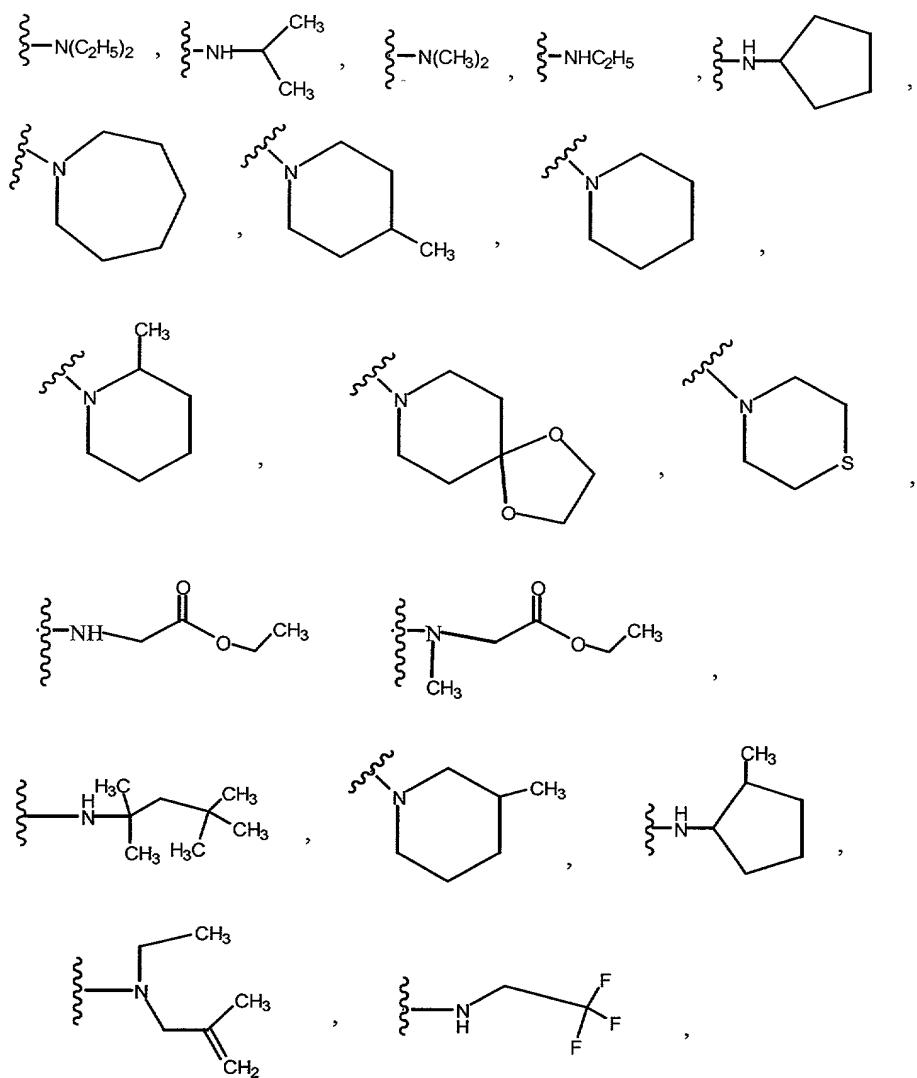


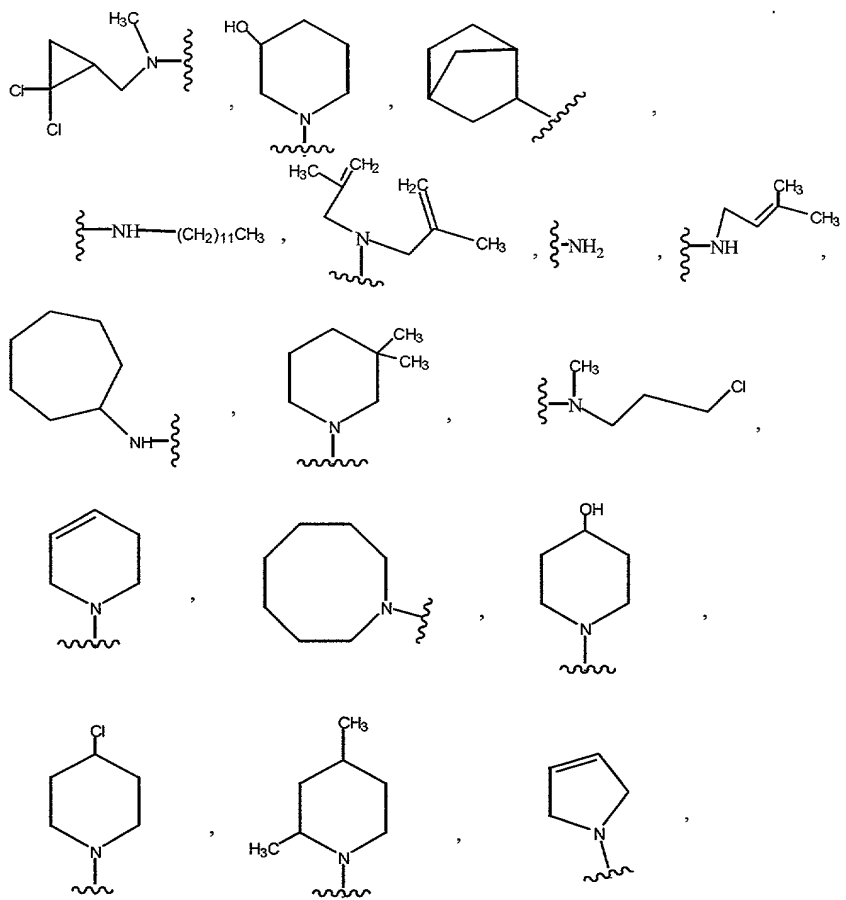
5 R^3 is halogen, alkoxy, $-\text{NR}^c\text{R}^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-\text{N}_3$;

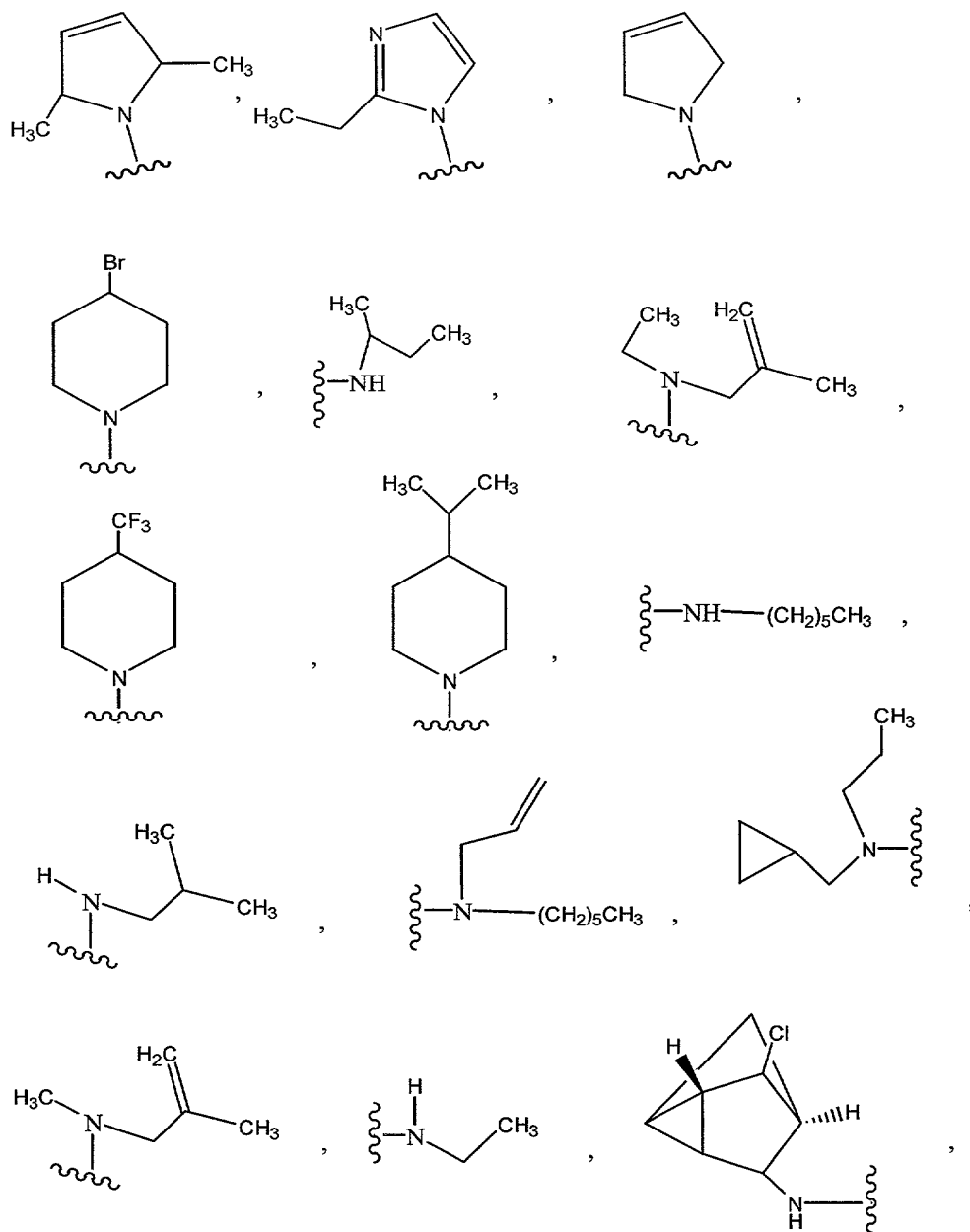
R^4 is H or a pharmaceutically acceptable salt thereof is administered.

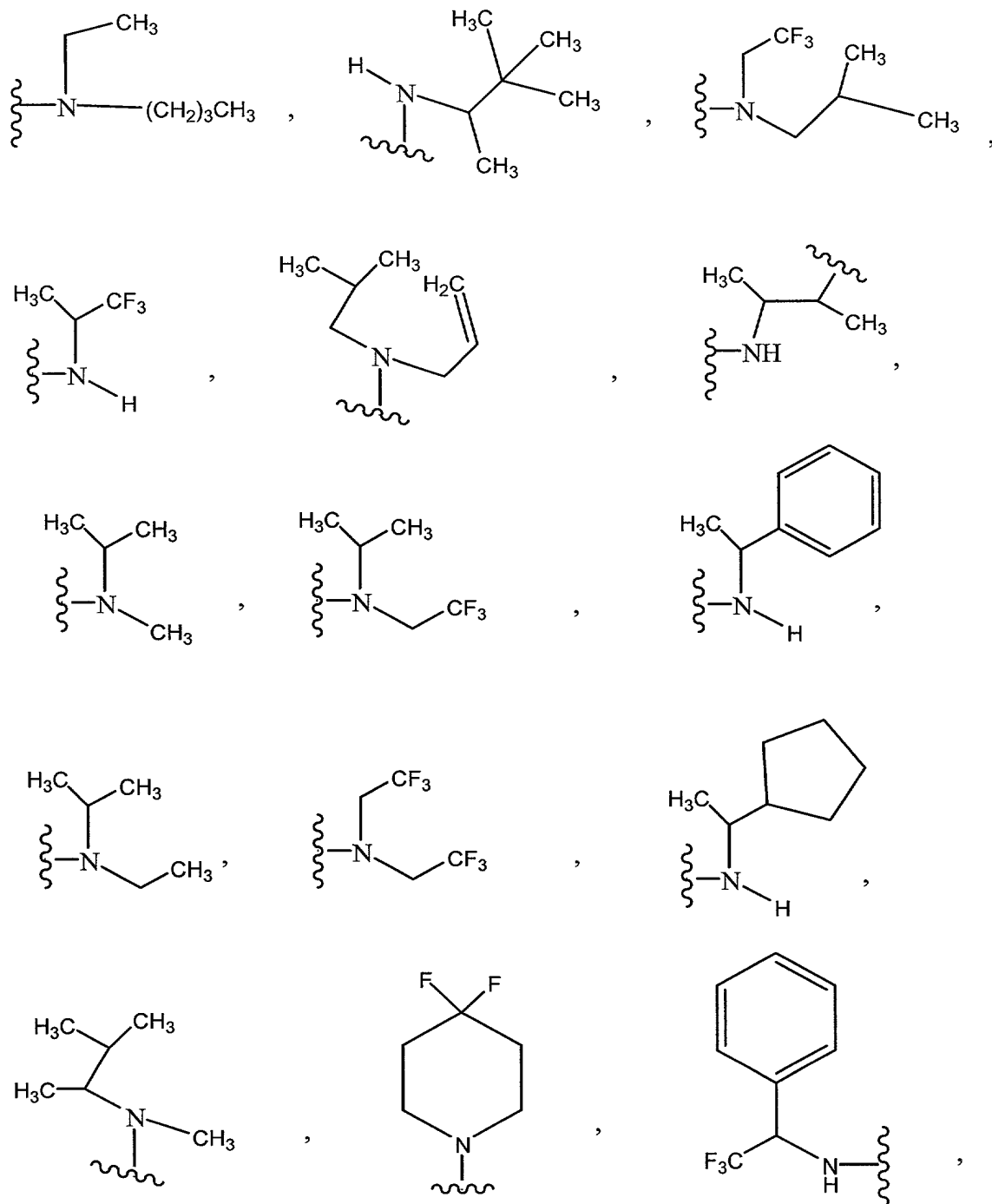
64. The method according to claim 46 wherein R^1 is the moiety $-\text{NR}^a\text{R}^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R^1 is selected from

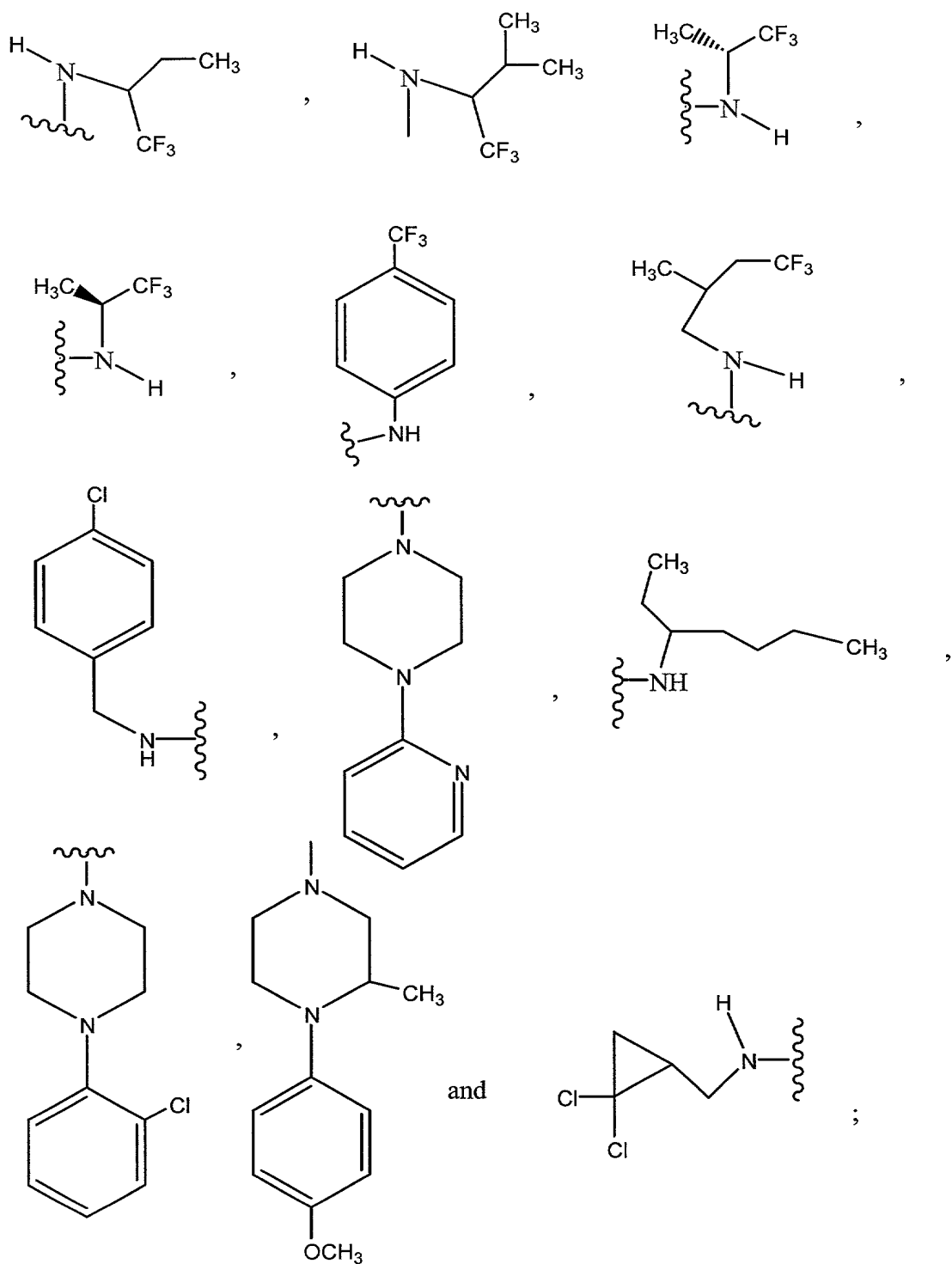
10



[illegible]







R² is optionally substituted phenyl;

R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;

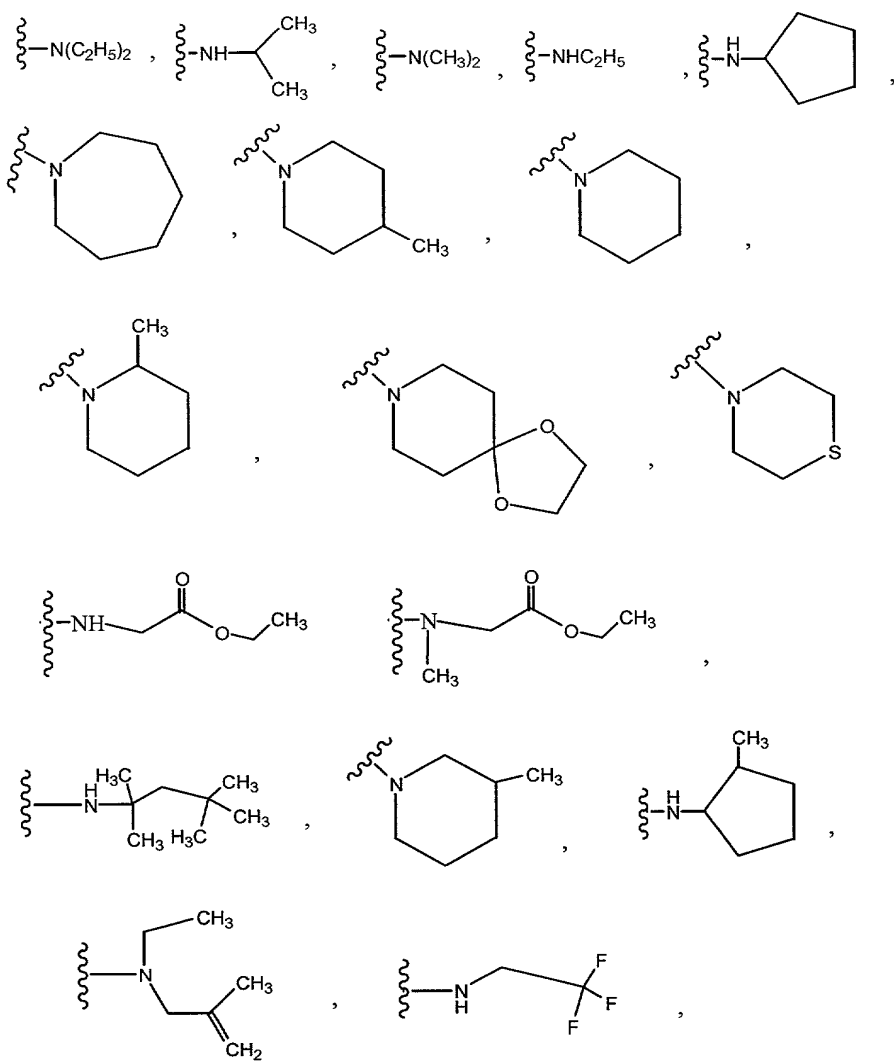
R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

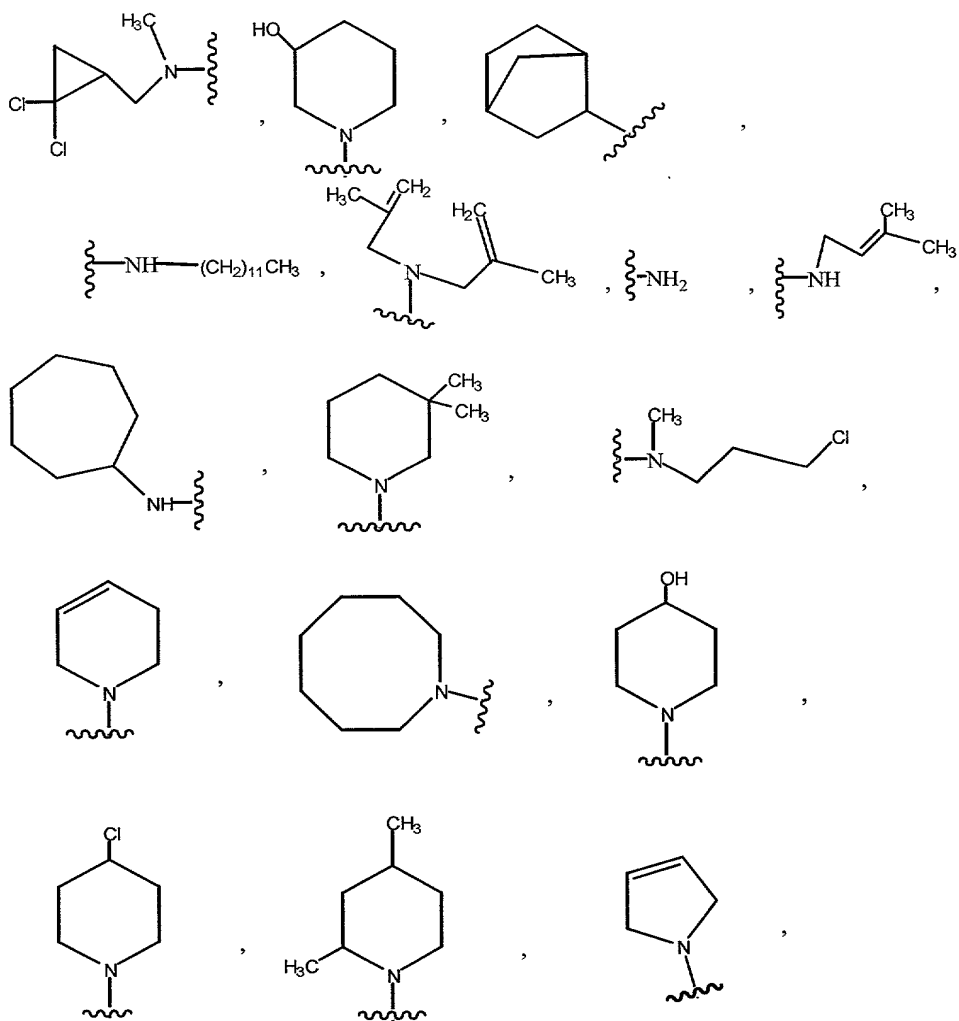
5

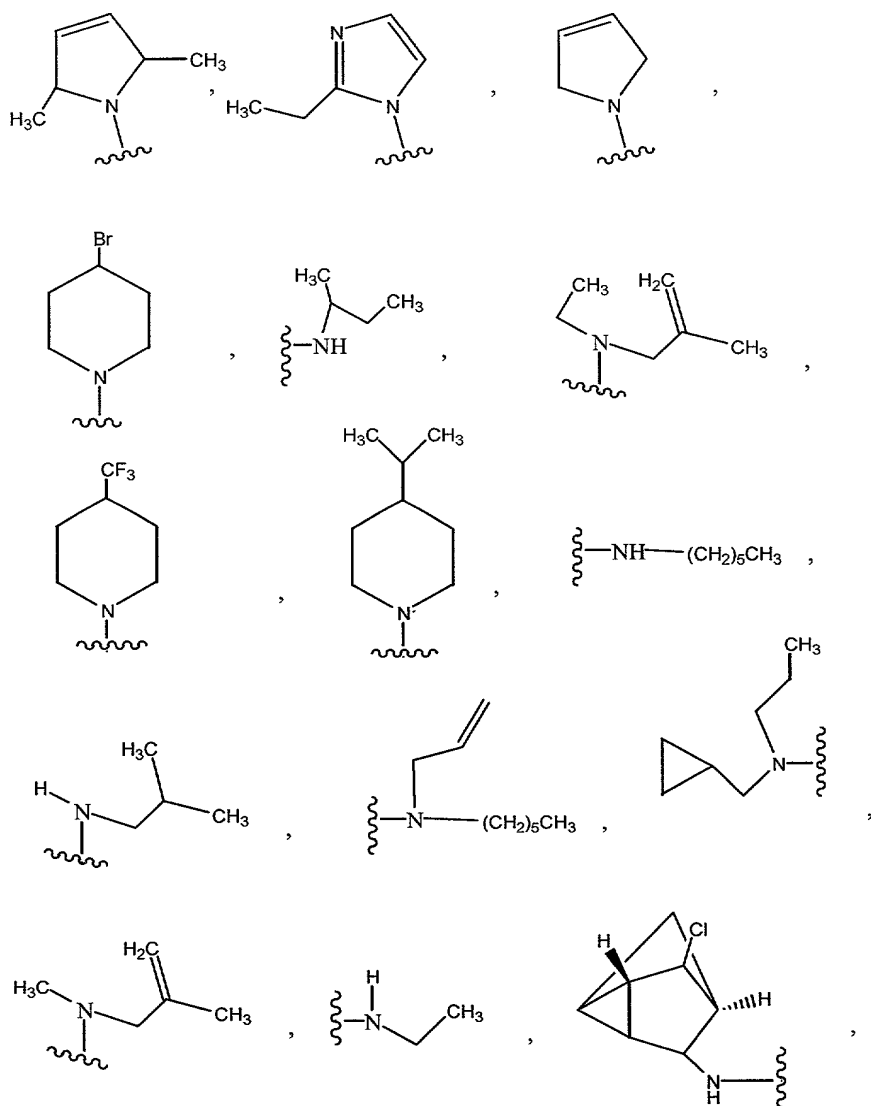
65. The method according to claim 46 wherein R¹ is the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R¹ is selected from

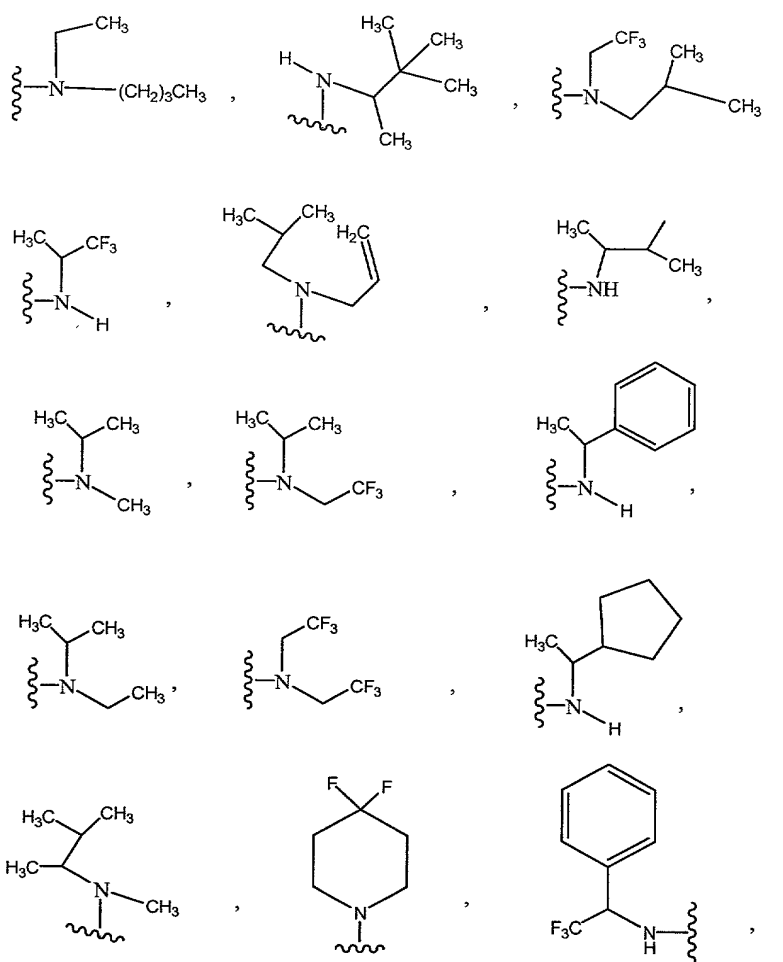
10

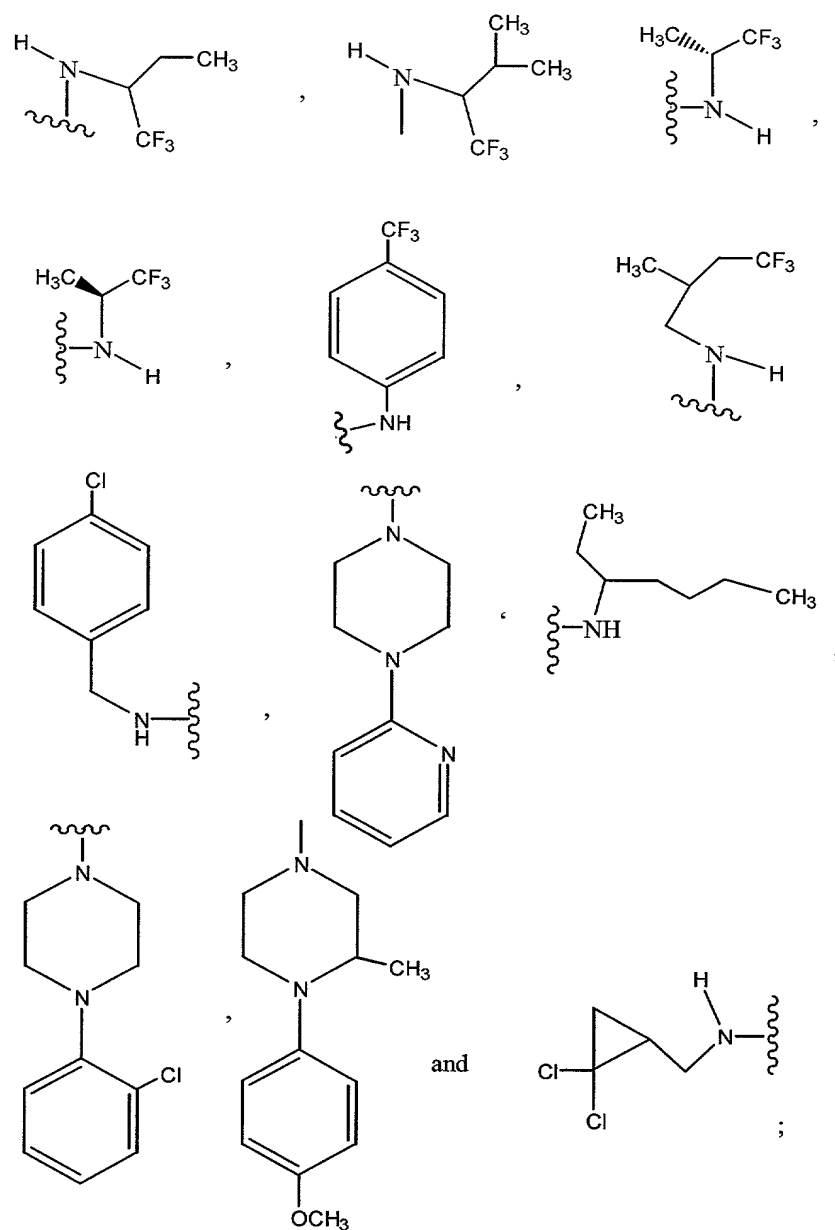
098597E-06290" 51255860











R² is optionally substituted thienyl;

R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12

5 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;

R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

66. The method according to claim 46 wherein said compound selected from:

7-(1-azepanyl)-5-chloro-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-6-(2,6-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

methyl [[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl](methyl)amino]acetate;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3-tetramethylbutyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azepanyl)-5-chloro-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 7-(1-azepanyl)-6-(4-bromophenyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1-piperidiny)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5 6-(4-tert-butylphenyl)-5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(4-methoxyphenyl)-7-(3-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

15 6-(4-bromophenyl)-5-chloro-7-(3-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2,6-dichlorophenyl)-7-(2-methyl-1-pyrrolidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chlorophenyl)-7-(2-methyl-1-pyrrolidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

25 7-(1-azepanyl)-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

30

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 6-(4-tert-butylphenyl)-5-chloro-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(2-methyl-1-piperidinyl)-6-[3-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

10 Diethyl 2-[6-(2,6-difluorophenyl)-5-ethoxy[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

15 7-(azepanyl)-5-chloro-6-{2-chloro-6-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-3-piperidinol;

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30

5-chloro-6-(2,5-difluorophenyl)-N-dodecyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-7-(4-methyl-1-piperidiny)-6-(2,3,6- trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

N-[5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-isopropylamine;

10 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(3,3-dimethyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azocanyl)-5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-6-(2,6-difluorophenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azocanyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-methoxy-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5

[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methanol;

1-[5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-4-piperidinol;

10

5-chloro-7-(4-chloro-1-piperidiny)-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15

5-chloro-7-(4-thiomorpholinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(2,4-dimethyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

20

7-(4-methyl-1-piperidiny)-5-amino-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

25

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2,5-dimethyl-2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

30

7-(4-bromo-1-piperidiny)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-6-(2-methylphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-(2-bromophenyl)-N-(sec-butyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-chloro-1-piperidiny)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(trifluoromethyl)-1-piperidiny][1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromo-1-piperidiny)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 7-(4-bromo-1-piperidiny)-5-chloro-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30

- 5-chloro-N-isopropyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5 5-chloro-7-(4-thiomorpholinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclopenten-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-isopropyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-7-(2,4-dimethyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-[ethyl(2-methyl-2-propenyl)amino]-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 7-(1-azepanyl)-5-chloro-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorobenzyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;

5 7-(allylsulfanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-6-mesityl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-7-(4-methyl-1-piperidiny)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-(sec-butyl)-5-chloro-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-6-[4-(methylsulfanyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

30

7-(1-azepanyl)-5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2,2,2-trifluoroethyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-dimethyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(3,5-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;

25 4-[5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]aniline;

N-{4-[5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]phenyl}acetamide;

30 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methyl acetate;

- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(chloromethyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 diethyl 2-[6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]malonate;
- 7-(1-azepanylmethyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(trifluoromethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-7-(4-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N-propyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-7-(2-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-{2-chloro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30

4-[5-chloro-2-methyl-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-N,N-dimethylaniline;

5 6-(2-chloro-6-fluorophenyl)-5-methyl-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidiny)-1-cyclohexen-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(methoxymethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-chloro-4-nitrophenyl}-7-[ethyl(2-methyl-2-propenyl)amino][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfany)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-tetrafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 4-bromo-1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]butyl acetate;

diethyl 2-allyl-2-{[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}malonate;

30

6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin- 7-amine;

5 N-butyl-5-chloro-N-ethyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2-chloro-6-fluorophenyl)-5-(difluoromethoxy)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(4-chlorophenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2-methoxyphenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2,3,4,5,6-pentafluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-6-(4-fluorophenyl)-N-(1,2,2- trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-bis(4-methyl-1-piperidiny)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30

5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-isobutyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-isobutyl-6-(2-methylphenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 N-allyl-5-chloro-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-N-isopropyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-butyl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-N-(1-phenylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-ethyl-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-hexyl[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-methylphenyl)-N,N-bis(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-N-cyclopentyl-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-butyl-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

7-(bicyclo[2.2.1]hept-2-ylamino)-5-chloro-6-{2-fluoro-4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-6-{2-fluoro-4-nitrophenyl}-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl] (2,2,2-trifluoro-1-phenylethyl)-amine;

5-chloro-N-[1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidin-5-amine;

20 [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(2-methyl-1-trifluoromethyl-propyl)amine;

25 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30

5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2,4-difluorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-7-(4-fluorocyclohexyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-dichloro-4-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30

N-(sec-butyl)-5-chloro-6-(2,6-dichloro-4-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,6-difluorophenol;

5-chloro-7-(3-cyclohexen-1-yl)-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-fluorocyclohexyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 6-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)hexanoic acid;

2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-isopropyl-6-{2-[(trifluoromethyl)sulfanyl]phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-(4,4,4-trifluoro-2-methylbutyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3-methyl-3-butenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;

25 7-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-thienyl)-N-[(1R)-2,2,2-trifluoro-1-methylethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30

4-(5-chloro-7-(2,2,2-trifluoro-1-methyl-ethylamino)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl)-3,5-difluoro-phenol;

5 {5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoro-ethoxy)-phenyl]-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-(2,2,2-trifluoro-1-methyl-ethyl)amine;

5-chloro-6-{2,6-difluoro-4-(methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine};

10 (5-chloro-6-{4-[2-(2-ethoxyethoxy)-ethoxy]-2,6-difluoro-phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;

15 (5-chloro-6-{2,6-difluoro-4-[2-(2-methoxy-ethoxy)ethoxy]-phenyl}-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;

5-chloro-6-[2,6-difluoro-4-(3-furan-3-ylmethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-N-(2,2,2-trifluoro-1-methylethyl)amine;

20 5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-fluoro-4-methoxy-6-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

2-[2-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)ethoxy]ethanol;

5 5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-{4-(2-fluoroethoxy)-2,6-difluorophenyl}-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-(4-chlorobenzyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-pyridinyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-chlorophenyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-N-cyclopentyl-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-phenoxy-6-(4-methoxy-phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-N-cyclopentyl-6-(4-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-diphenoxy-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-cyclopentyl-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5

5-chloro-N,N-diethyl-6-[4-methoxyphenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N,N-diethyl-6-[2,4-dichlorophenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(1,4-dioxo-8-azaspiro[4.5]dec-8-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-cyano-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20

5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25

5-chloro-7-(1,4-dioxo-8-azaspiro[4.5]dec-8-yl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

2-methyl-6,7-di-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2-methyl-6-phenyl-7-(4-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 2-trifluoromethyl-6-phenyl-7-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5,7-diphenoxy-6-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(3,4-difluorophenyl)-N-(isopropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-bromo-6-(4-bromophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-bromo-6-(4-trifluoromethylphenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(4-trifluoromethylphenyl)-N-(ethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 7-(1-azepanyl)-5-chloro-6-(4-tert-butylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
ethyl {[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]amino}acetate;

diethyl 5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-malonate;

30 5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-2-butenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

[5-chloro-6-(2-chloro-6-fluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]acetic acid methyl ester;

5 5-chloro-6-(2,6-difluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N,N-diethyl-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 ethyl [6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)-[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]acetate;

15 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

dimethyl 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

20 diethyl 2-[[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}-2-isobutylmalonate;

2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-1,3-cyclohexanedione;

25 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]cyclohexanone;

5-chloro-7-(3-nitro-4-methylanilino)-6-(2,4,6-trifluorophenyl)
[1,2,4]triazolo[1,5-a]pyrimidine;

30

7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]5-(2-methoxyethoxy)[1,2,4]triazolo[1,5-a]pyrimidine;

5 7-(3-bromophenyl)-2-ethyl-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(3-bromophenyl)-6-(3-chlorophenyl)-2-ethyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 7-(4-bromophenyl)-2-ethyl-6-[4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(3,4,5-trimethoxybenzyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 7-(2-benzyl-4,5-dihydro-1H-imidazol-1-yl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 N-4-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N,N-1-diethyl-1,4-pentanediamine;

5-chloro-N-(3-methyl-2-butenyl)-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-dimethylamino-6-phenyl-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-[(2-furylmethyl)sulfanyl]-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 6-[1,1'-biphenyl]-4-yl-5-chloro-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 6-[4-(benzyloxy)phenyl]-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5 5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-cyclopentyl-6-(2-fluorophenyl)-5-hydrazino[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-ethyl-6-(2-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(4-tert-butylphenyl)-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-[2,6-difluoro-4-[(3-methyl-2-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-l[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-l[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-N-(3-tricyclo[2.2.1.0^{2,6}]hept-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-azido-7-cyclohexyl-6-(2-fluoro-6-chlorophenyl) [1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-azido-6-[2-chloro-6-fluorophenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

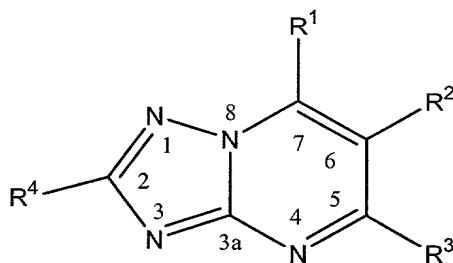
2,5-dichloro-7-(4-methyl-1-piperidiny)-6-[2-chloro-6-fluorophenyl][1,2,4]triazolo[1,5-a]pyrimidine or a pharmaceutically acceptable salt thereof is administered.

5 67. The method according to claim 1 wherein the cancerous tumor cells are selected from the group consisting of breast, colon, lung, prostate, melanoma, epidermal, leukemia, kidney, bladder, mouth, larynx, esophagus, stomach, ovary, pancreas, liver, skin and brain.

10 68 The method according to claim 23 wherein the cancerous tumor cells are selected from the group consisting of breast, colon, lung, prostate, melanoma, epidermal, leukemia, kidney, bladder, mouth, larynx, esophagus, stomach, ovary, pancreas, liver, skin and brain.

15 69. The method according to claim 45 wherein the cancerous tumor cells are selected from the group consisting of breast, colon, lung, prostate, melanoma, epidermal, leukemia, kidney, bladder, mouth, larynx, esophagus, stomach, ovary, pancreas, liver, skin and brain.

20 70. A pharmaceutical composition for treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof comprising an effective amount of a compound of Formula (I):



(I)

wherein:

- 5 R^1 is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy,
10 halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10
15 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12
20 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety $-NR^aR^b$;

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of

2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-\text{S}$ -aryl of 6, 10 or 14 carbon atoms, $-\text{S}$ -alkyl, $-\text{S}$ -alkenyl, $-\text{SO}_2$ aryl of 6, 10 or 14 carbon atoms, $-\text{SO}_2$ cycloalkyl, $-\text{SO}_2$ alkyl, $-\text{O}$ -aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one $-CH_2-$ may optionally be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

R^2 is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;

R^3 is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-NR^cR^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or $-N_3$;

R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to

10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

5 R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

15 R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or alkyl of 1 to 12 carbon atoms;

20 R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or $-CF_3$;

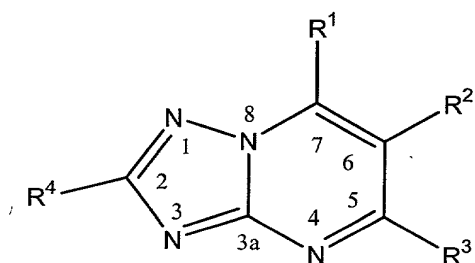
25 provided that when: a) R^1 is diethylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R^1 is diethylamino, R^3 is bromo, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl; c) R^1 is isopropylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R^1 is

cyclopentylamino, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R¹ is 2-amino-bicyclo(2.2.1.)heptyl, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl and f) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl and g) R¹ is 1,1,1-trifluoroethoxy, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl h) R¹ is –SO₂ethyl or –SO₂cyclopentyl, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl; i) R⁴ is hydrogen, R² is 2-chloro-6-fluorophenyl, R¹ and R³ are not 1,2,4-triazole; j) R¹ is cyclohexyl, R⁴ is hydrogen, R² is 2,4,6-trifluorophenyl, and R³ is not –OCH₂O₂C(CH₃)₃; k) R¹ is 2-thienyl, R⁴ is ethyl, R³ is hydrogen and R² is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R² is phenyl, R³ is chloro, R⁴ is hydrogen R¹ is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof in association with a pharmaceutically acceptable carrier.

15

71. A pharmaceutical composition for treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof by interacting with tubulin and microtubules by promotion of microtubule polymerization which comprises an effective amount of a compound of Formula (I):

20



(I)

wherein:

R¹ is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy, halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b;

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-S$ -aryl of 6, 10 or 14 carbon atoms, $-S$ -alkyl, $-S$ -alkenyl, $-SO_2$ aryl of 6, 10 or 14 carbon atoms, $-SO_2$ cycloalkyl, $-SO_2$ alkyl, $-O$ -aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

$R^a R^b$ together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one $-CH_2-$ may optionally be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

R^2 is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;

R^3 is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-NR^c R^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon

atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or $-N_3$;

5

R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

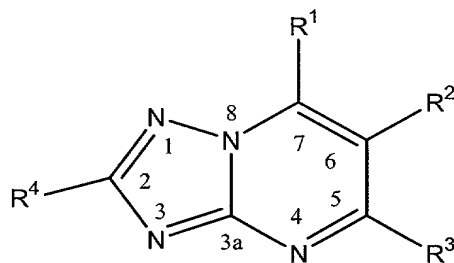
R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally

substituted in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or alkyl of 1 to 12 carbon atoms;

R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or $-\text{CF}_3$;

provided that when: a) R^1 is diethylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R^1 is diethylamino, R^3 is bromo, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl; c) R^1 is isopropylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R^1 is cyclopentylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R^1 is 2-amino-bicyclo(2.2.1.)heptyl, R^3 is chloro, R^4 is hydrogen, R^2 is not 3,4,5-trimethoxyphenyl and f) R^1 is diethylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl and g) R^1 is 1,1,1-trifluoroethoxy, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-chloro-6-fluorophenyl h) R^1 is $-\text{SO}_2\text{ethyl}$ or $-\text{SO}_2\text{cyclopentyl}$, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-chloro-6-fluorophenyl; i) R^4 is hydrogen, R^2 is 2-chloro-6-fluorophenyl, R^1 and R^3 are not 1,2,4-triazole; j) R^1 is cyclohexyl, R^4 is hydrogen, R^2 is 2,4,6-trifluorophenyl, and R^3 is not $-\text{OCH}_2\text{O}_2\text{C}(\text{CH}_3)_3$; k) R^1 is 2-thienyl, R^4 is ethyl, R^3 is hydrogen and R^2 is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R^2 is phenyl, R^3 is chloro, R^4 is hydrogen R^1 is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof together with a pharmaceutically acceptable carrier.

72. A pharmaceutical composition comprising a compound of Formula (I):



(I)

5 wherein:

R¹ is selected from the group consisting of halogen, an optionally substituted
 alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon
 atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally
 substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon
 10 atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy,
 halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms,
 heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms,
 optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂-
 may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1
 15 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10
 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or
 -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10
 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8
 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14
 20 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12
 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b;

- R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one
- 5 -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl,
- 10 haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;
- 15 R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to
- 20 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl,
- 25 -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl, -SO₂alkyl, -O-aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

5 R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one -CH₂- may optionally be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

10 R² is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;

15 R³ is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR^cR^d, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or
20 -N₃;

R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally
25 substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which
30 one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to

10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl , optionally substituted benzyl, or heterocyclyl;

5 R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon
10 atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl , optionally substituted benzyl, or heterocyclyl;

15 R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or alkyl of 1 to 12 carbon atoms;

20 R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon
25 atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or $-CF_3$;

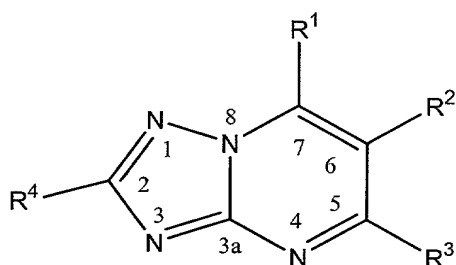
provided that when: a) R^1 is diethylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R^1 is diethylamino, R^3 is bromo, R^4 is hydrogen, R^2 is not
30 4-trifluoromethylphenyl; c) R^1 is isopropylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R^1 is

cyclopentylamino, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R¹ is 2-amino-bicyclo(2.2.1.)heptyl, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl and f) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl and g) R¹ is 1,1,1-trifluoroethoxy, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl h) R¹ is -SO₂ethyl or -SO₂cyclopentyl, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl; i) R⁴ is hydrogen, R² is 2-chloro-6-fluorophenyl, R¹ and R³ are not 1,2,4-triazole; j) R¹ is cyclohexyl, R⁴ is hydrogen, R² is 2,4,6-trifluorophenyl, and R³ is not -OCH₂O₂C(CH₃)₃; k) R¹ is 2-thienyl, R⁴ is ethyl, R³ is hydrogen and R² is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R² is phenyl, R³ is chloro, R⁴ is hydrogen R¹ is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof together with a pharmaceutically acceptable carrier.

73. A method for the treatment or prevention of multiple drug resistance (MDR) in a mammal in need thereof which method comprises administering to said mammal an effective amount of a substituted triazolopyrimidine derivative or a pharmaceutically acceptable salt thereof.

74. The method of claim 73 wherein the multiple drug resistance (MDR) is mediated by p-glycoprotein or MXR.

75. The method according to Claim 73 wherein the substituted triazolopyrimidine derivative is a compound selected from those of the formula:



(I)

wherein:

- R^1 is selected from the group consisting of halogen, an optionally substituted
 5 alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon
 atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally
 substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon
 atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy,
 halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms,
 10 heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms,
 optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂-
 may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1
 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10
 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or
 15 -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10
 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8
 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14
 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12
 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b;

20

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally
 substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of
 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon

atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-\text{S}$ -aryl of 6, 10 or 14 carbon atoms, $-\text{S}$ -alkyl, $-\text{S}$ -alkenyl, $-\text{SO}_2$ aryl of 6, 10 or 14 carbon atoms, $-\text{SO}_2$ cycloalkyl, $-\text{SO}_2$ alkyl, $-\text{O}$ -aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one $-\text{CH}_2-$ may optionally be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

5 R^2 is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;

10 R^3 is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-NR^cR^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or $-N_3$;

15 R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to
 20 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

30 R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted

cycloalkyl of 3 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or alkyl of 1 to 12 carbon atoms;

R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or $-\text{CF}_3$;

provided that when: a) R^1 is diethylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R^1 is diethylamino, R^3 is bromo, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl; c) R^1 is isopropylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R^1 is cyclopentylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R^1 is 2-amino-bicyclo(2.2.1.)heptyl, R^3 is chloro, R^4 is hydrogen, R^2 is not 3,4,5-trimethoxyphenyl and f) R^1 is diethylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl and g) R^1 is 1,1,1-trifluoroethoxy, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-chloro-6-fluorophenyl h) R^1 is $-\text{SO}_2$ ethyl or $-\text{SO}_2$ cyclopentyl, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-chloro-6-

fluorophenyl; i) R^4 is hydrogen, R^2 is 2-chloro-6-fluorophenyl, R^1 and R^3 are not 1,2,4-triazole; j) R^1 is cyclohexyl, R^4 is hydrogen, R^2 is 2,4,6-trifluorophenyl, and R^3 is not $-\text{OCH}_2\text{O}_2\text{C}(\text{CH}_3)_3$; k) R^1 is 2-thienyl, R^4 is ethyl, R^3 is hydrogen and R^2 is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R^2 is phenyl, R^3 is chloro, R^4 is hydrogen R^1 is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof.

76. The method according to claim 75 wherein
 R^1 is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-\text{S-aryl}$ of 6, 10 or 14 carbon atoms, $-\text{S-alkyl}$ of 1 to 12 carbon atoms, $-\text{S-alkenyl}$ of 2 to 12 carbon atoms, $-\text{SO}_2\text{aryl}$ of 6, 10 or 14 carbon atoms, $-\text{SO}_2\text{cycloalkyl}$ of 3 to 8 carbon atoms, $-\text{SO}_2\text{alkyl}$ of 1 to 12 carbon atoms, $-\text{O-aryl}$ of 6, 10 or 14 carbon atoms, and the moiety $-\text{NR}^a\text{R}^b$ or a pharmaceutically acceptable salt thereof is administered.

77. The method according to claim 75 wherein R^a and R^b each independently represent the moiety $-\text{C}^*\text{H}(\text{R}^e)(\text{R}^f)$ where R^e and R^f independently represent an optionally halo-substituted alkyl group of 1 to 12 carbon atoms where C^* represents the (R) or (S) isomer or a pharmaceutically acceptable salt thereof is administered.

78. The method according to claim 75 wherein R^2 is optionally substituted aryl of 6, 10 or 14 carbon atoms, aryloxy, thienyl, benzyloxy, heterocyclyl or halogen or a pharmaceutically acceptable salt thereof is administered.

5 79. The method according to claim 75 wherein R^3 is halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-NR^cR^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, hydroxy, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or $-N_3$ or a pharmaceutically acceptable
10 salt thereof is administered.

80. The method according to claim 75 wherein R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12
15 carbon atoms, $-CF_3$ or a pharmaceutically acceptable salt thereof is administered.

81. The method according to claim 75 wherein R^1 is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally
20 substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$
25 where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-S$ -aryl of 6, 10 or 14 carbon atoms, $-S$ -alkyl of 1 to 12 carbon atoms, $-S$ -alkenyl of 2 to 12 carbon atoms, $-SO_2$ aryl of 6, 10 or 14 carbon
30 atoms, $-SO_2$ cycloalkyl of 3 to 8 carbon atoms, $-SO_2$ alkyl of 1 to 12 carbon atoms, $-O$ -aryl of 6, 10 or 14 carbon atoms, and the moiety $-NR^aR^b$ wherein

R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.

5 82. The method according to claim 75 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms or heterocyclyl or a pharmaceutically acceptable salt thereof is administered.

10 83. The method according to claim 75 wherein R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N₃ or a pharmaceutically acceptable salt thereof is administered.

15 84. The method according to claim 75 wherein R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, -CF₃ or a pharmaceutically acceptable salt thereof is administered.

20 85. The method according to claim 75 wherein R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or
25 an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 5 to 10 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, and the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached
30 or a pharmaceutically acceptable salt thereof is administered.

86. The method according to claim 75 wherein R^2 is optionally substituted aryl of 6, 10 or 14 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

5 87. The method according to claim 75 wherein R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$ or a pharmaceutically acceptable salt thereof is administered.

10 88. The method according to claim 75 wherein R^4 is H or a pharmaceutically acceptable salt thereof is administered.

89. The method according to claim 75 wherein R^1 is selected from the group consisting of an optionally substituted cycloalkyl of 3 to 8 carbon atoms in
15 which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-S$ -aryl of 6, 10 or 14 carbon atoms, $-S$ -alkyl of 1 to 12 carbon atoms, $-S$ -alkenyl of 2 to 12
20 carbon atoms, $-SO_2$ aryl of 6, 10 or 14 carbon atoms, $-SO_2$ cycloalkyl of 3 to 8 carbon atoms, $-SO_2$ alkyl of 1 to 12 carbon atoms, and the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R^2 is optionally substituted phenyl; R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to
25 12 carbon atoms, cyano, or $-N_3$; R^4 is H or a pharmaceutically acceptable salt thereof is administered.

90. The method according to claim 75 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is
30 attached; R^2 is optionally substituted phenyl; R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to

12 carbon atoms, cyano, or -N₃; R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

91. The method according to claim 75 wherein R¹ is the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;

R² is optionally substituted phenyl;

R³ is halogen, alkoxy, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;

R⁴ is H;

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where

R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl; R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms;

R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 2 to 12 carbon atoms, said
 5 saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon
 10 atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or
 15 an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

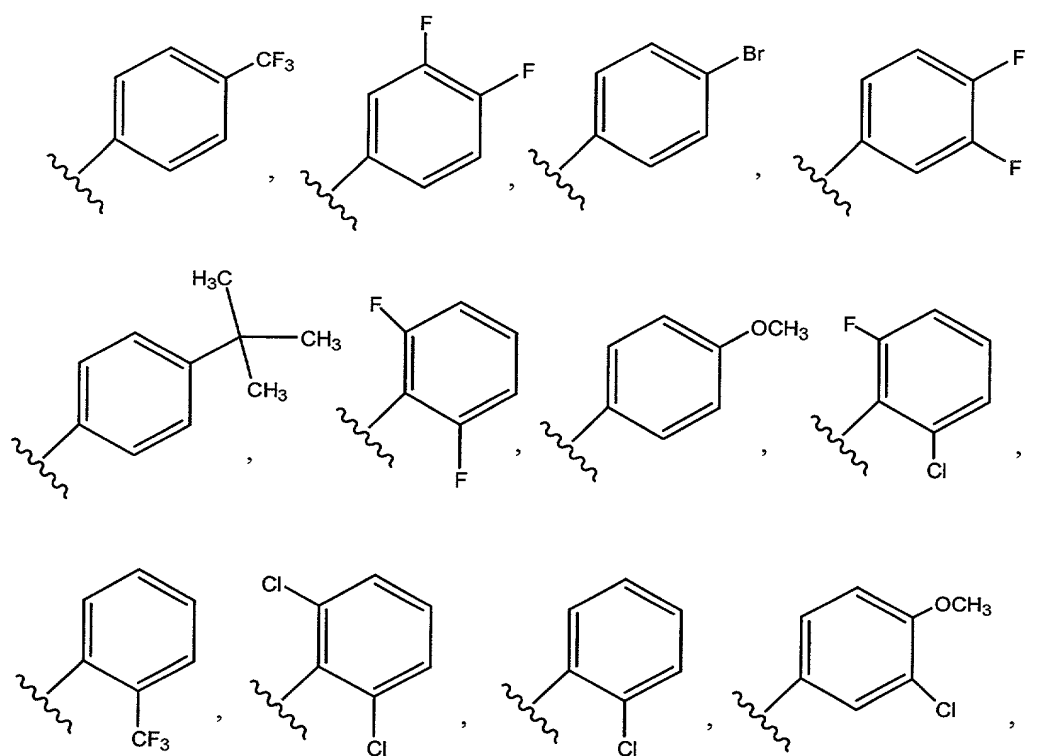
R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon
 20 atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or
 25 an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;

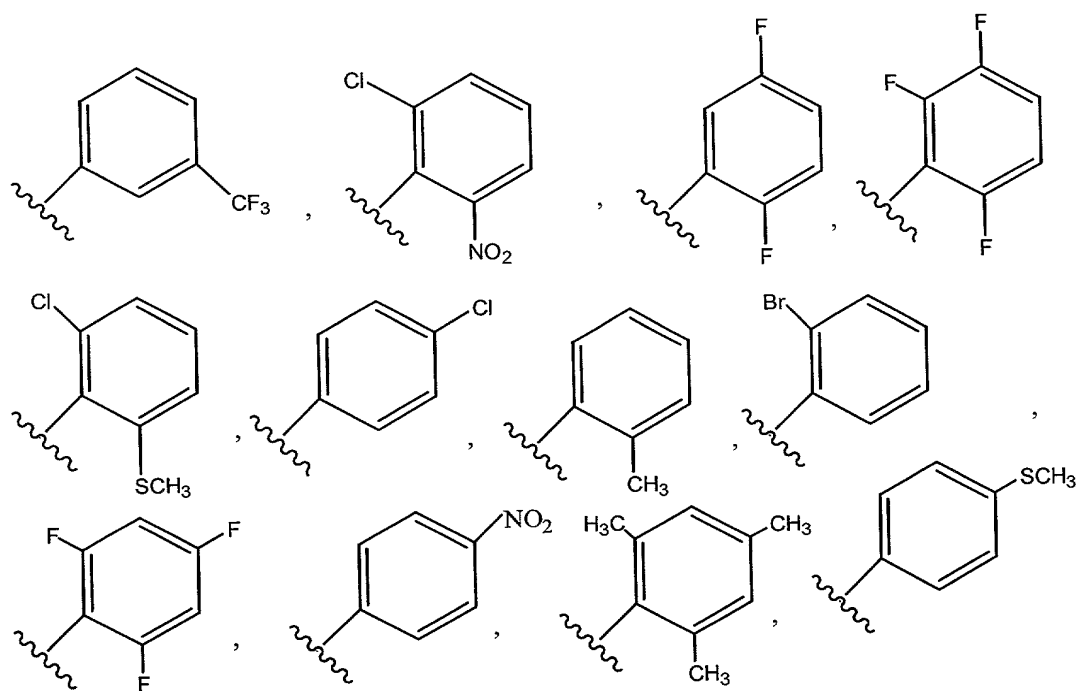
R^cR^d together with the nitrogen atom to which each is attached represent an
 30 optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$

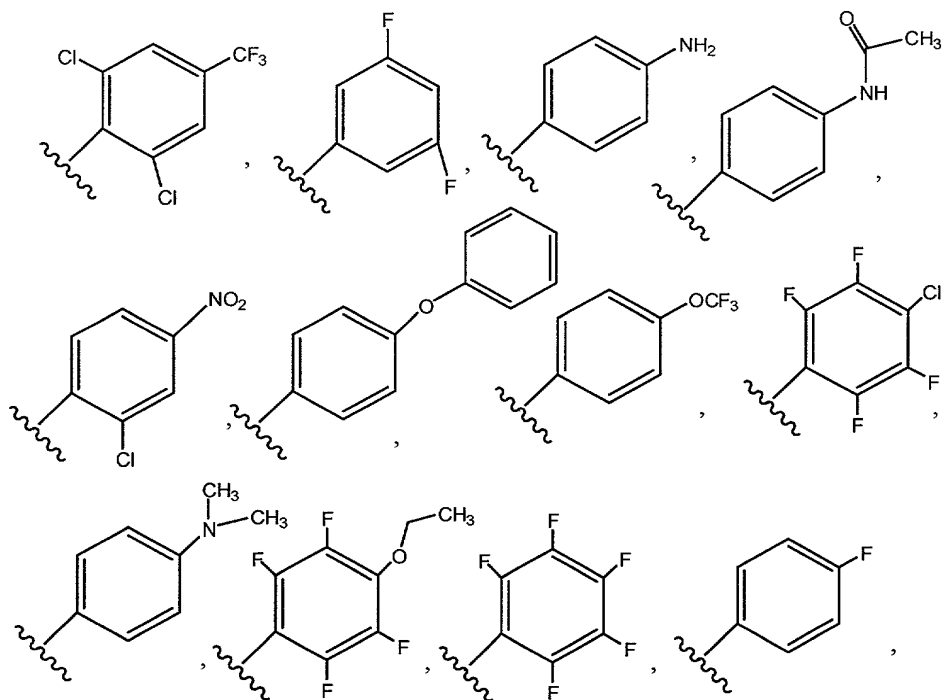
where R' is H or alkyl of 2 to 20 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

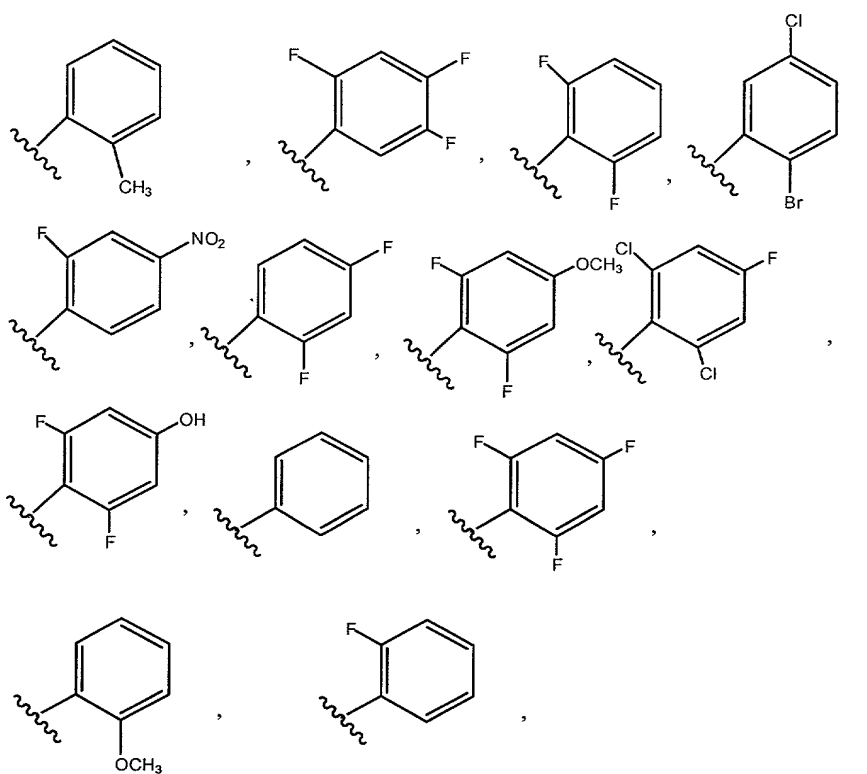
92. The method according to claim 75 wherein R¹ is the moiety -NR^aR^b
5 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;

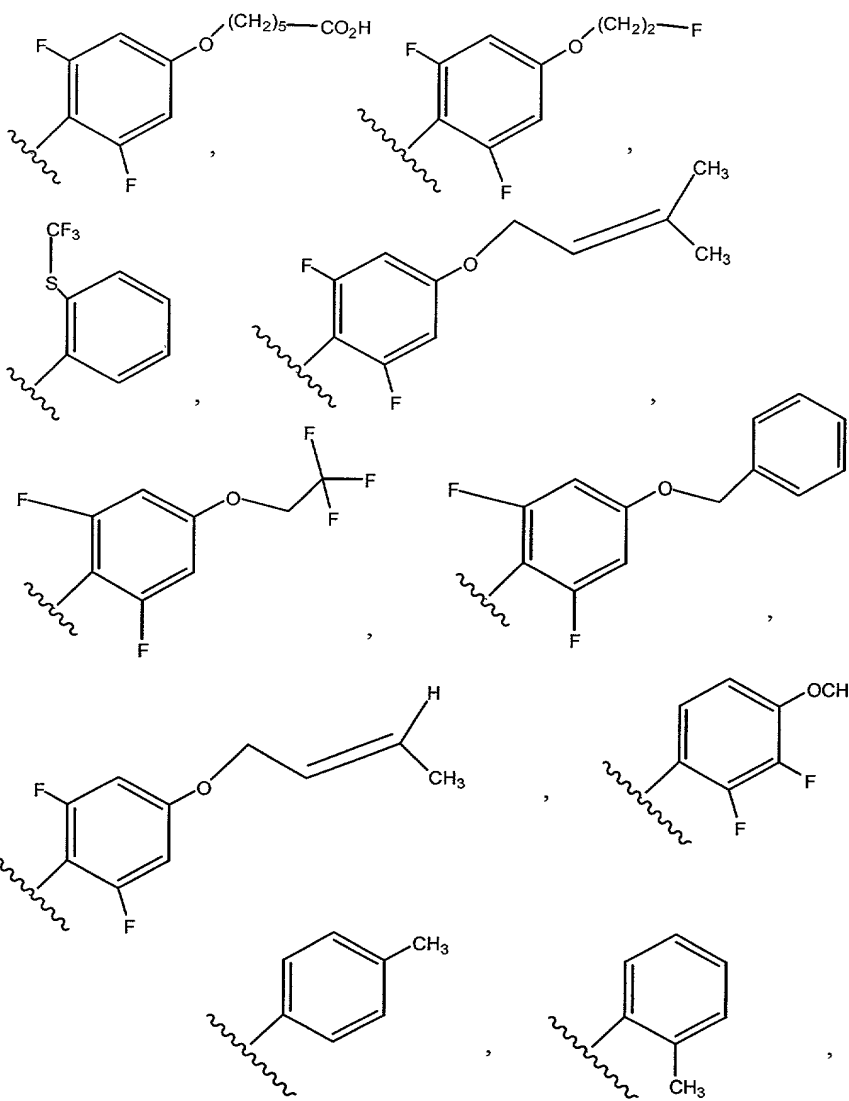
R² is selected from

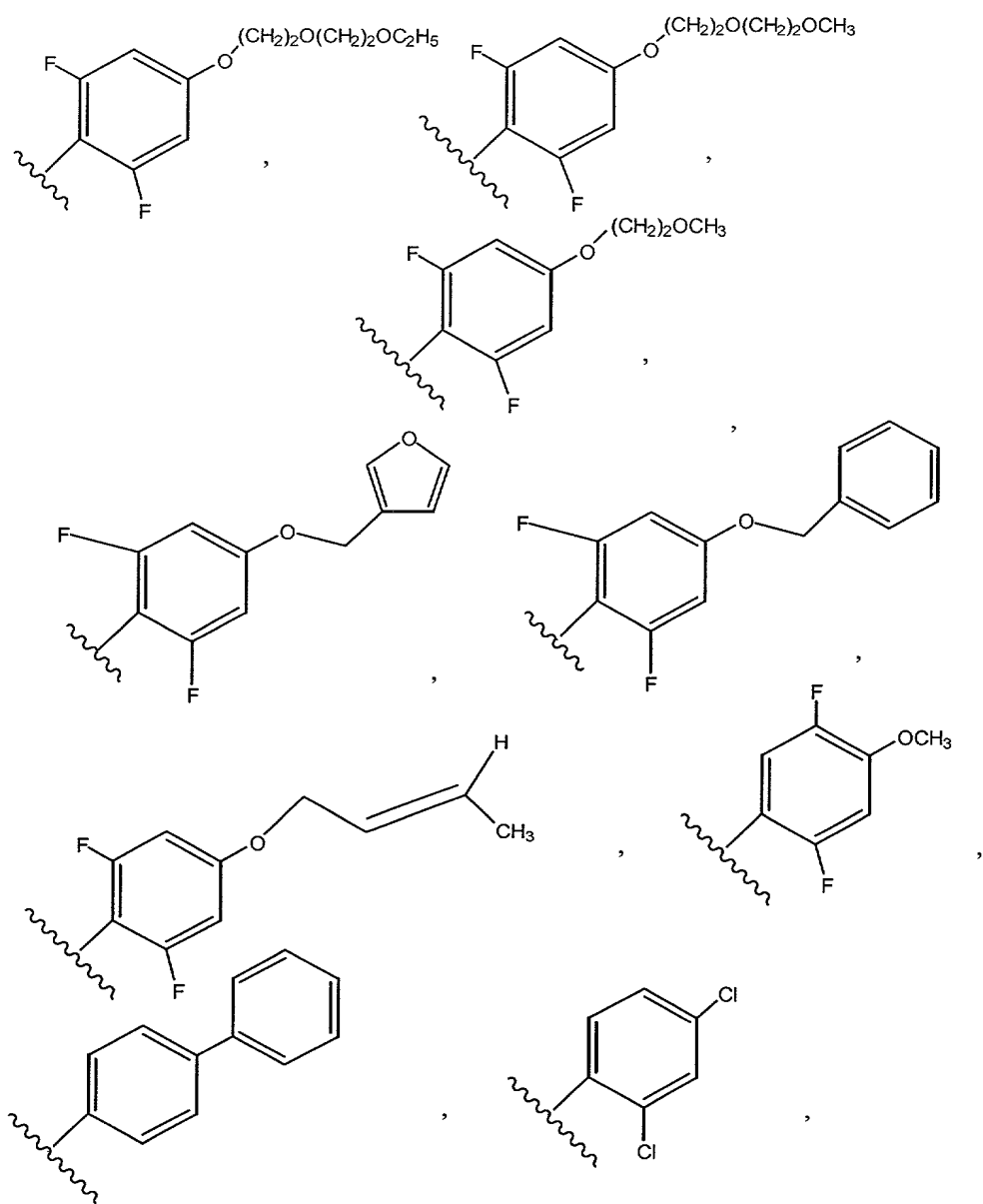


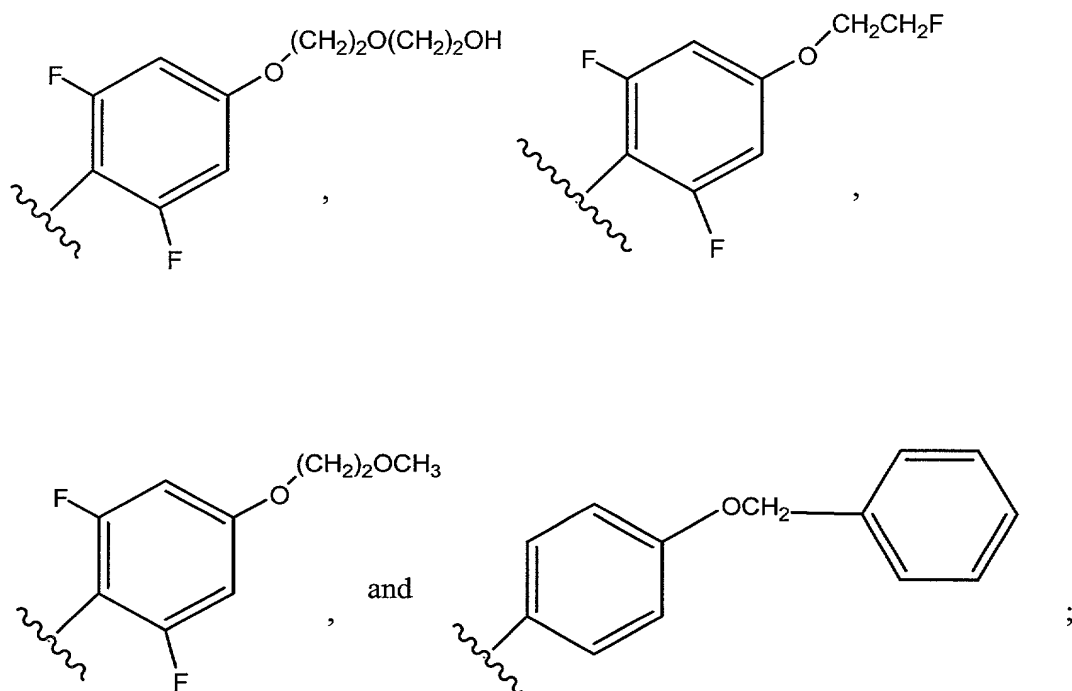






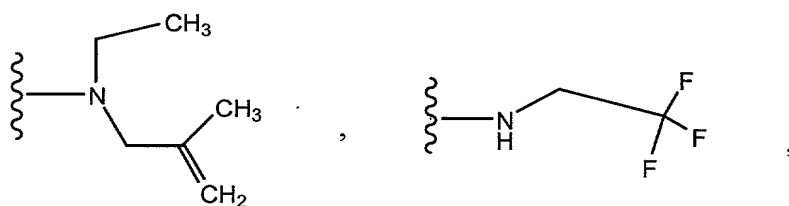
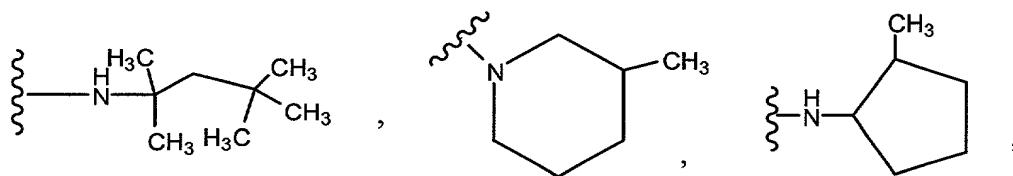
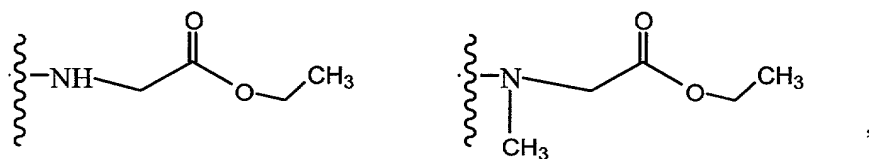
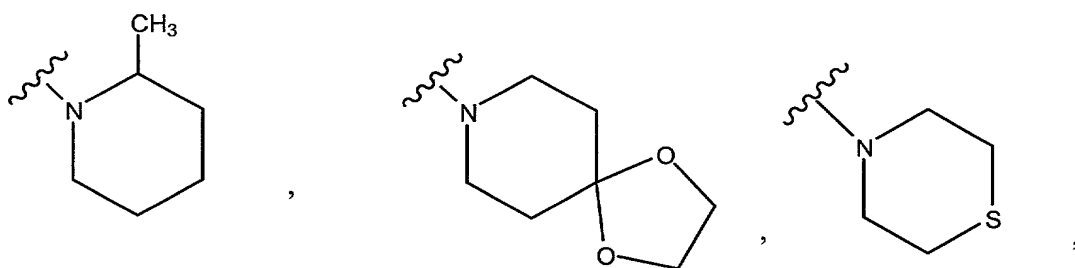
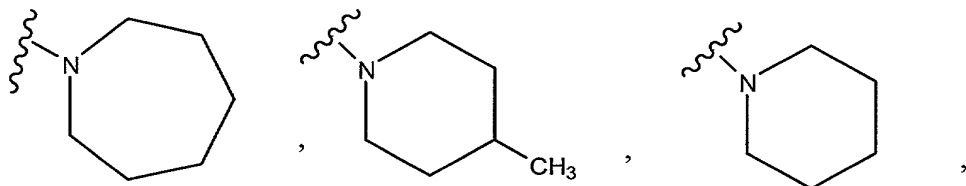
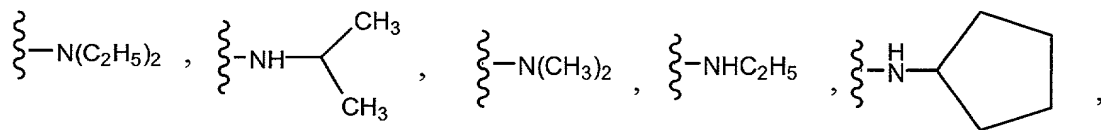
[illegible]

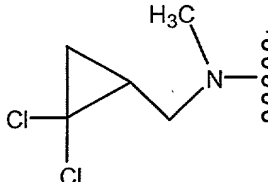
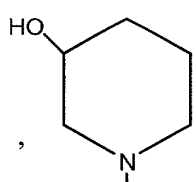
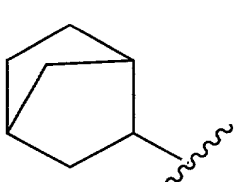


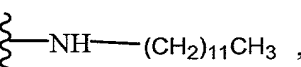
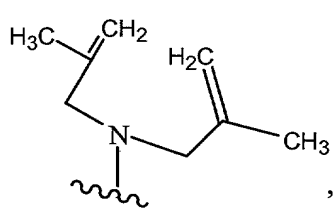

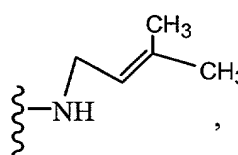


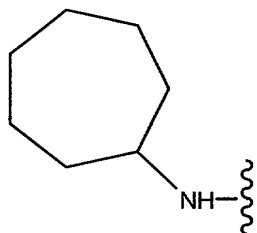
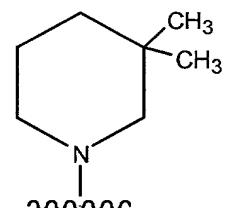
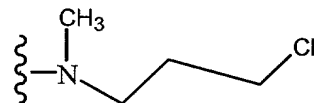
- 5 R³ is halogen, alkoxy, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;
R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

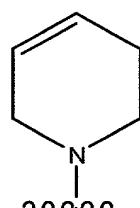
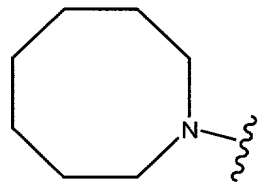
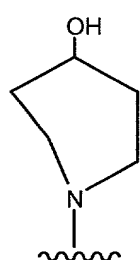
93. The method according to claim 75 wherein R¹ is the moiety $-NR^aR^b$
10 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R¹ is selected from

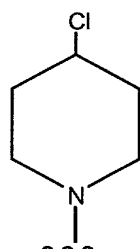
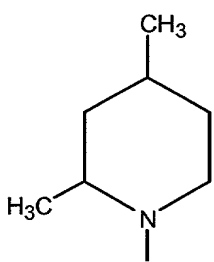
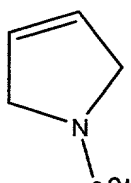


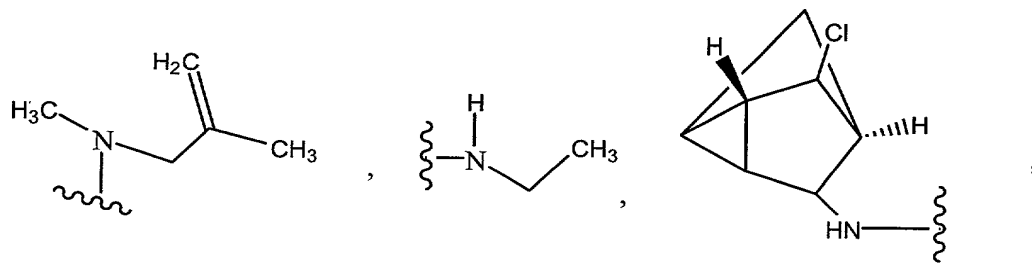
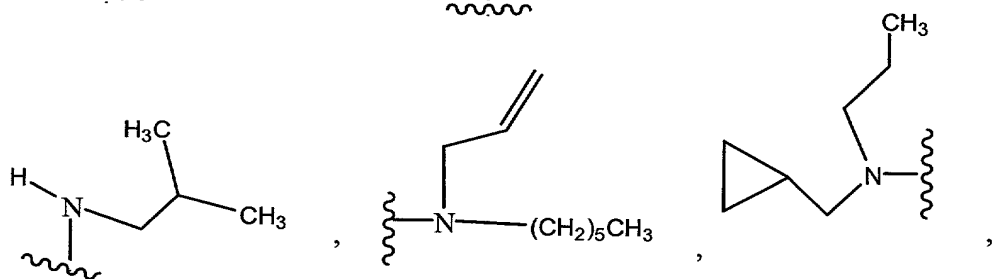
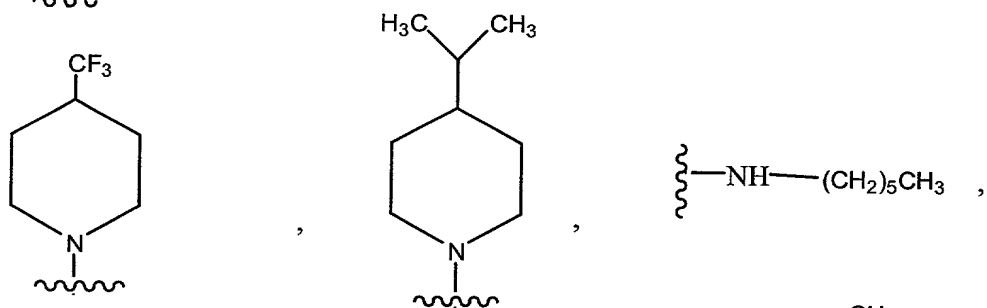
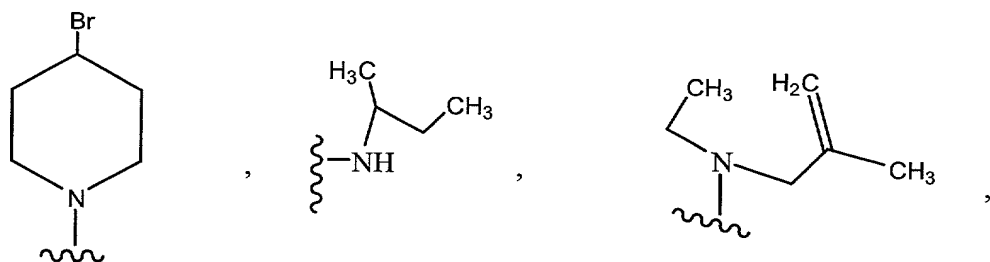
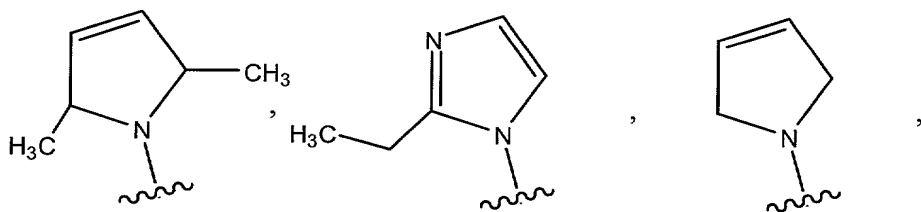




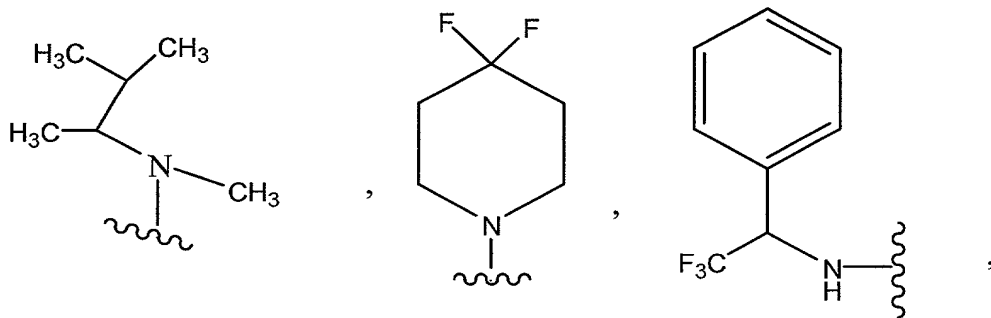
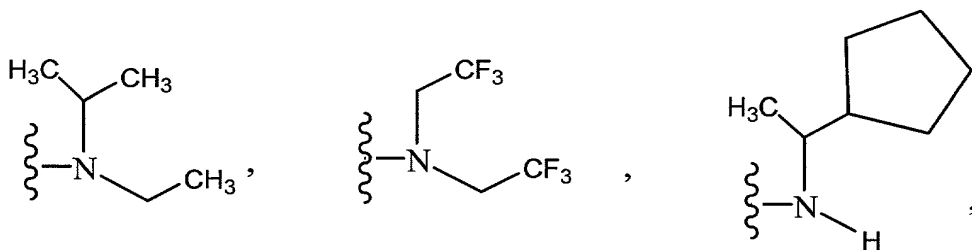
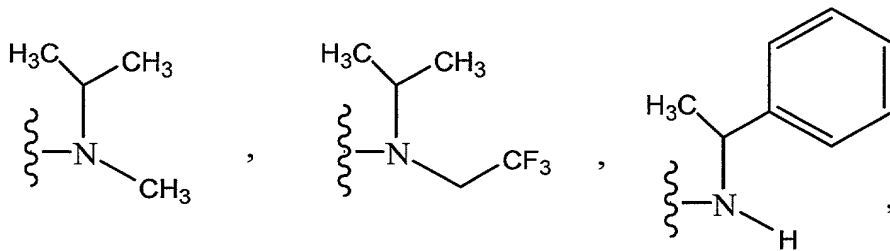
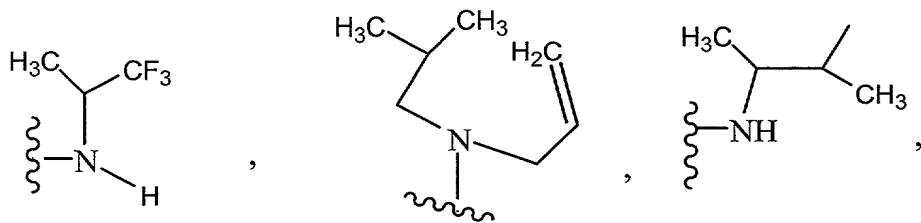
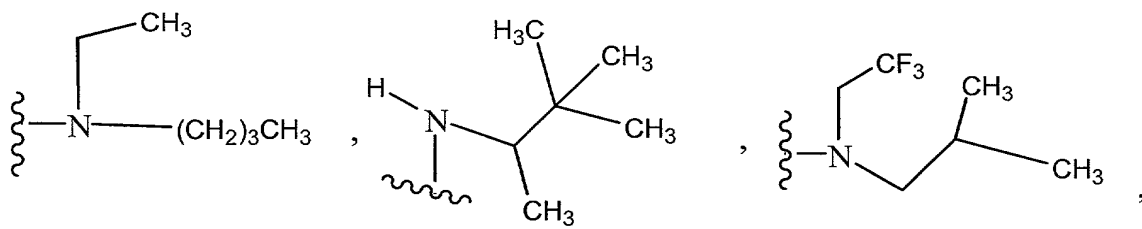





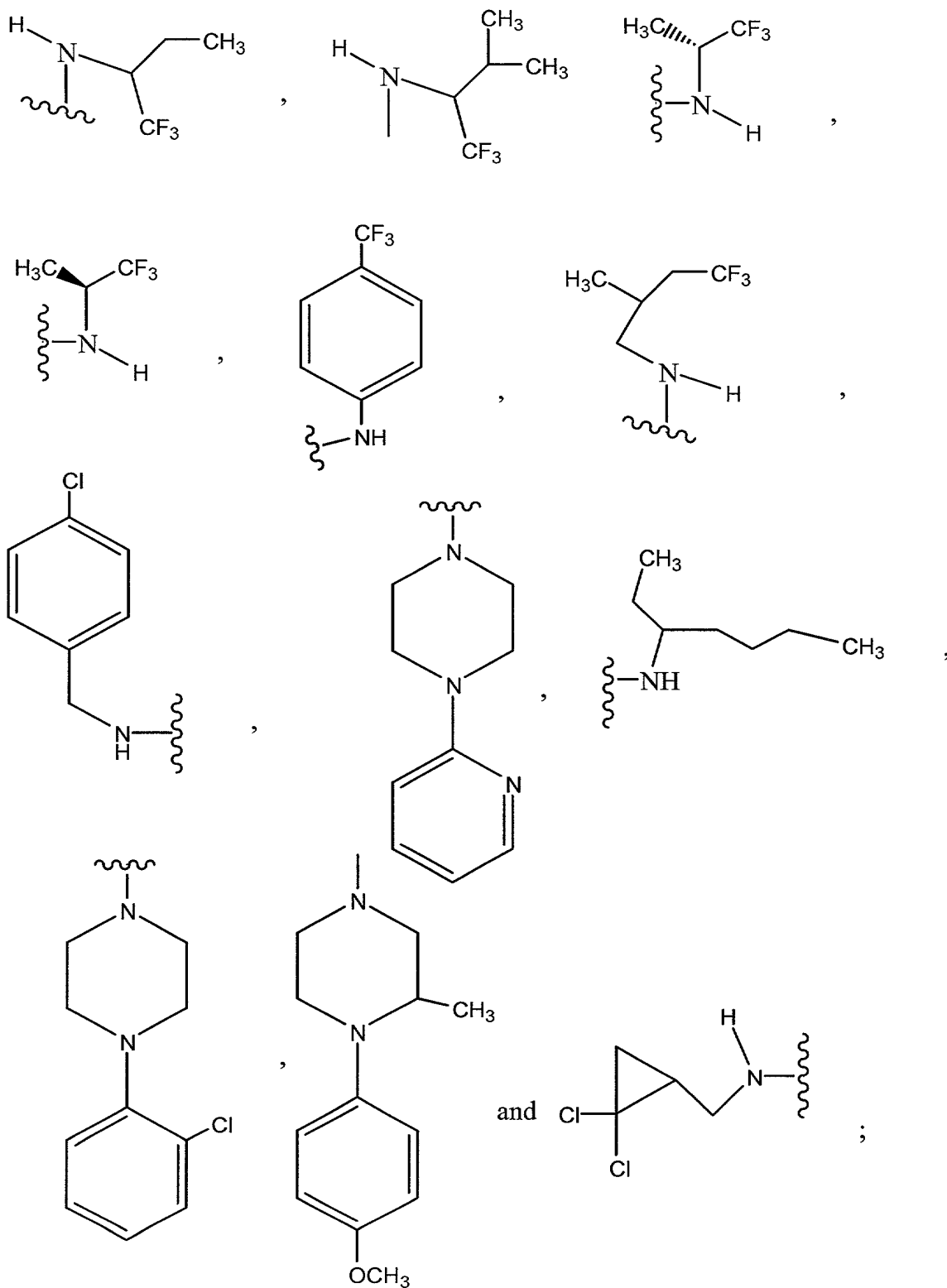










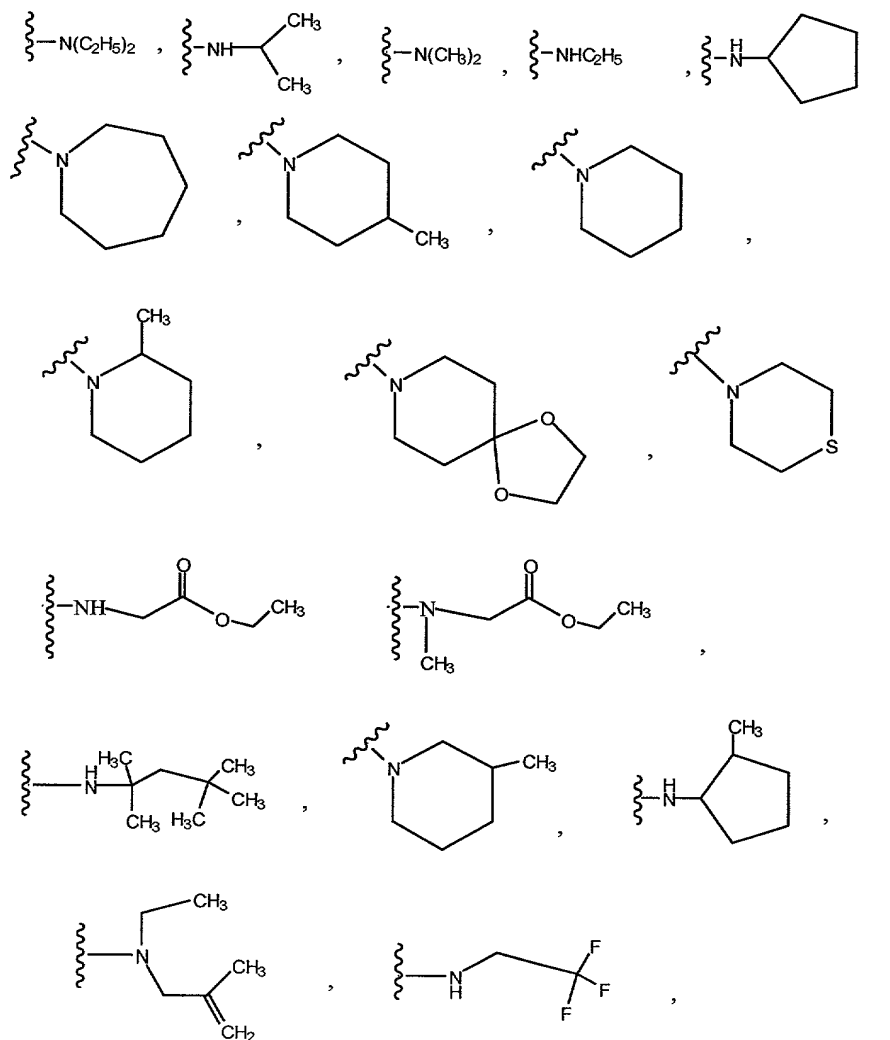
R² is optionally substituted phenyl;

R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;

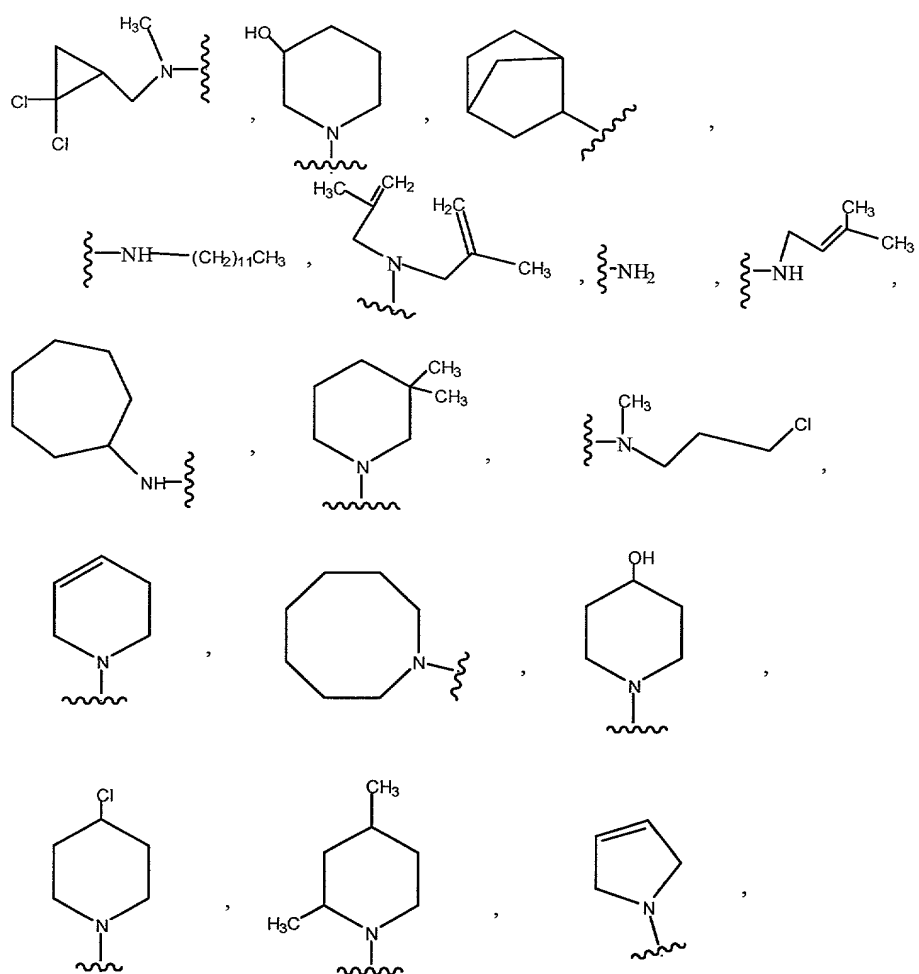
R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

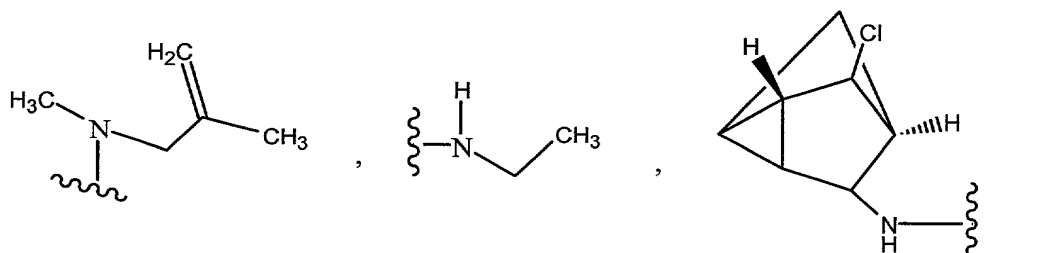
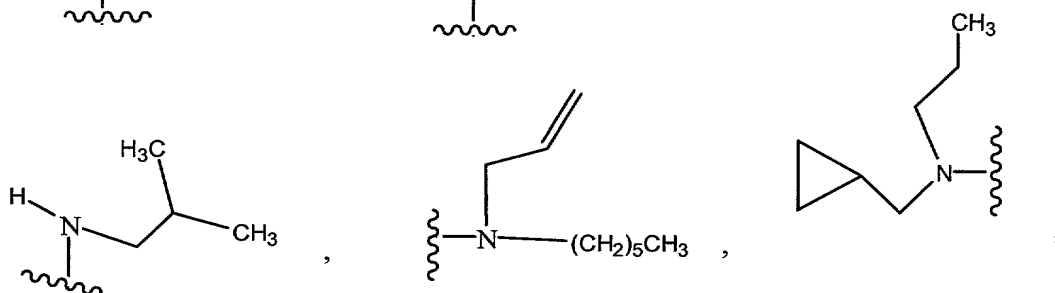
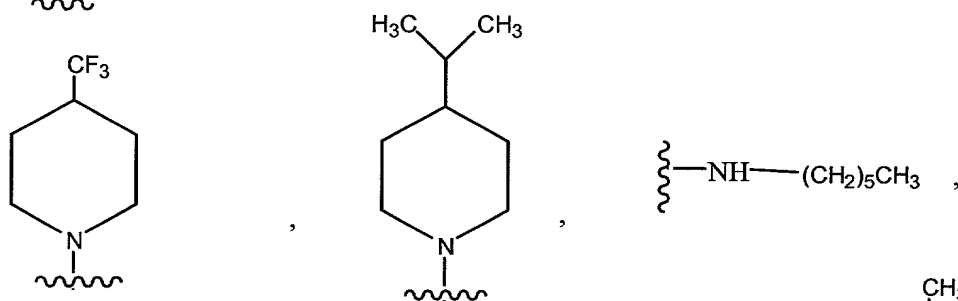
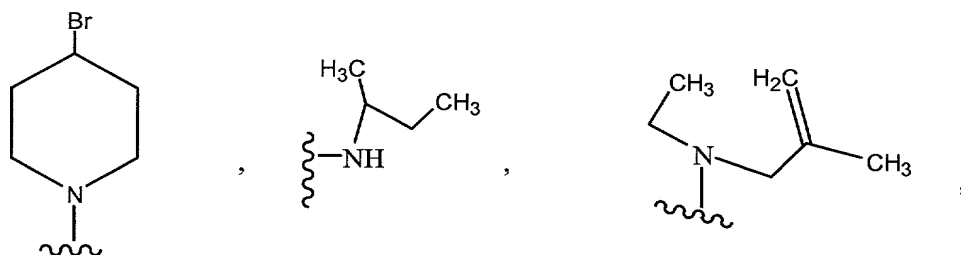
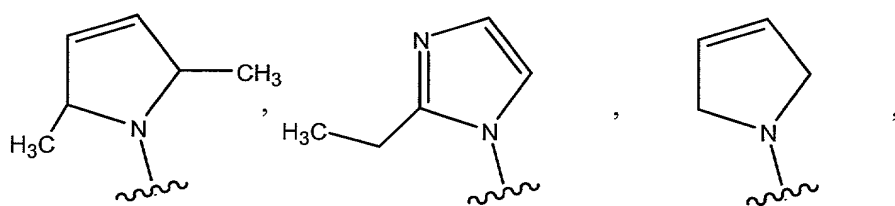
5

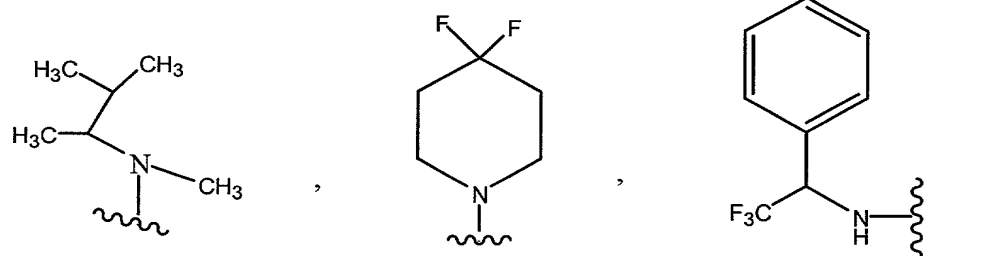
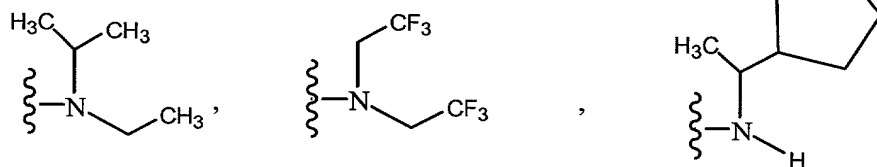
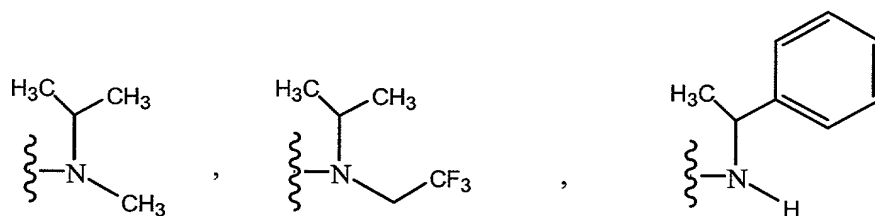
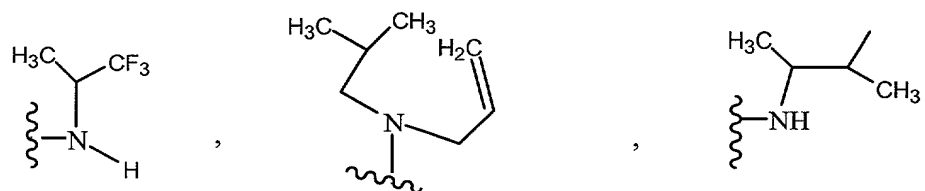
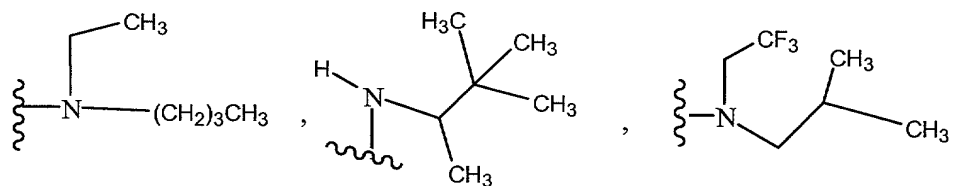
94. The method according to claim 75 wherein R¹ is the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R¹ is selected from

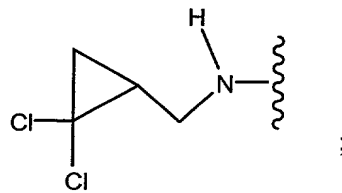
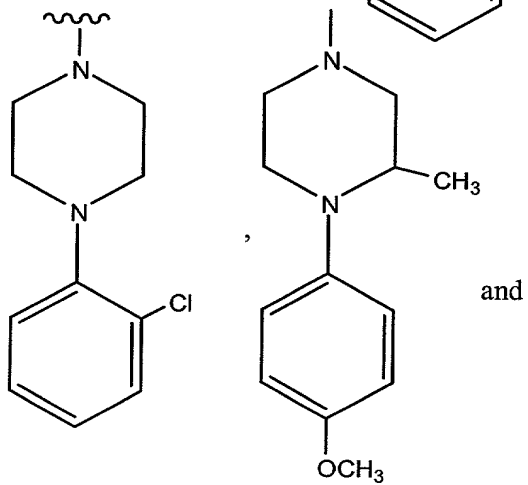
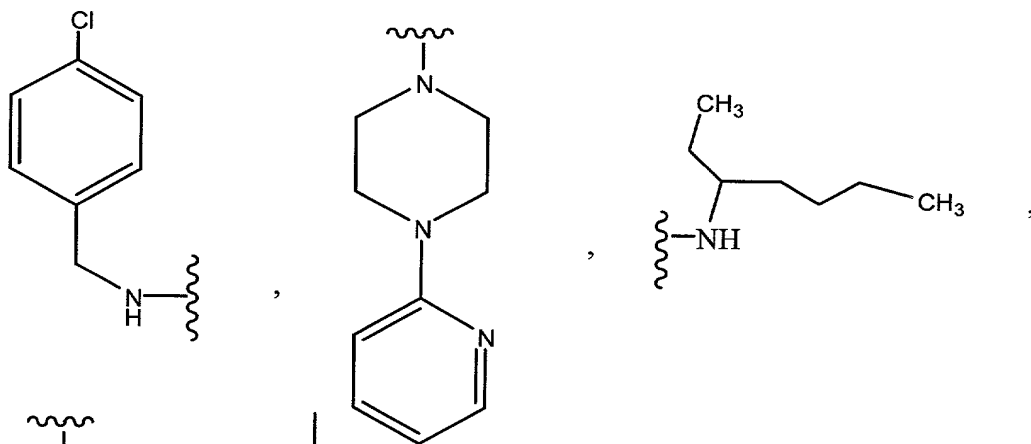
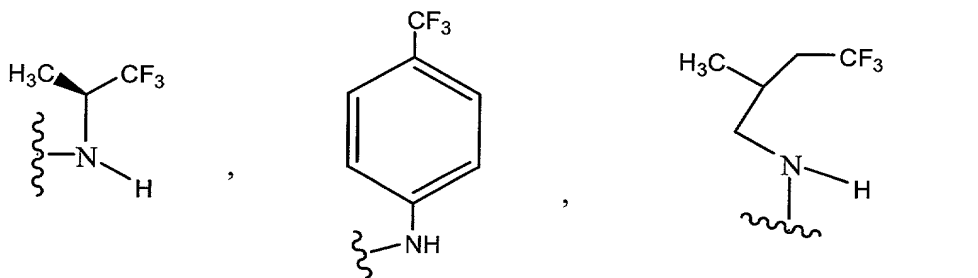
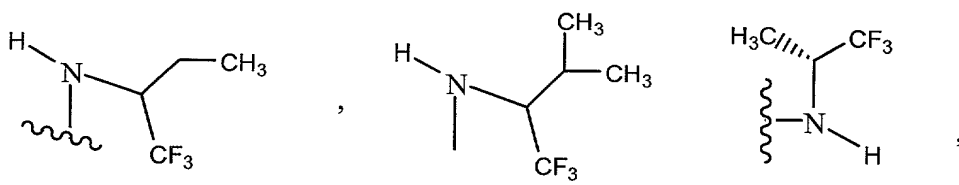


10









R² is optionally substituted thienyl;

R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;

R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

5

95. The method according to claim 75 wherein said compound selected from:

7-(1-azepanyl)-5-chloro-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2,6-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-thiomorpholiny)[1,2,4]triazolo[1,5-a]pyrimidine;

methyl [[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl](methyl)amino]acetate;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3-tetramethylbutyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azepanyl)-5-chloro-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5

7-(1-azepanyl)-6-(4-bromophenyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidine;
5-chloro-7-(1-piperidiny)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

10 6-(4-tert-butylphenyl)-5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

15

5-chloro-6-(4-methoxyphenyl)-7-(3-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

20 6-(4-bromophenyl)-5-chloro-7-(3-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2,6-dichlorophenyl)-7-(2-methyl-1-pyrrolidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chlorophenyl)-7-(2-methyl-1-pyrrolidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

30

7-(1-azepanyl)-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(2-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

10 6-(4-tert-butylphenyl)-5-chloro-7-(2-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-7-(2-methyl-1-piperidiny)-6-[3-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

Diethyl 2-[6-(2,6-difluorophenyl)-5-ethoxy[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

20 7-(azepanyl)-5-chloro-6-{2-chloro-6-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-3-piperidinol;

- N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5 5-chloro-6-(2,5-difluorophenyl)-N-dodecyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-(4-methyl-1-piperidiny)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 N-[5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-isopropylamine;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(3,3-dimethyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 7-(1-azocanyl)-5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(3,6-dihydro-1(2H)-
pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azocanyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5

5-methoxy-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-
piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-
yl]methanol;

10

1-[5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-4-
piperidinol;

15

5-chloro-7-(4-chloro-1-piperidinyl)-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-
a]pyrimidine;

5-chloro-7-(4-thiomorpholinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-
a]pyrimidine;

20

5-chloro-6-(2,6-difluorophenyl)-7-(2,4-dimethyl-1-
piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-methyl-1-piperidinyl)-5-amino-6-(2-chloro-6-
fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25

5-chloro-6-(2,6-difluorophenyl)-7-(2,5-dihydro-1H-pyrrol-1-
yl)[1,2,4]triazolo[1,5-a]pyrimidine;

30

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2,5-dimethyl-2,5-dihydro-1H-pyrrol-1-
yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 7-(4-bromo-1-piperidiny)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-methylphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 6-(2-bromophenyl)-N-(sec-butyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-7-(4-chloro-1-piperidiny)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(trifluoromethyl)-1-piperidiny][1,2,4]triazolo[1,5-a]pyrimidine;

25 7-(4-bromo-1-piperidiny)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 7-(4-bromo-1-piperidiny)-5-chloro-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-N-isopropyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-thiomorpholinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 7-(1-azepanyl)-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclopenten-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-7-(4-isopropyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-7-(2,4-dimethyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-[ethyl(2-methyl-2-propenyl)amino]-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

25 7-(1-azepanyl)-5-chloro-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-6-(2-chloro-6-fluorobenzyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;

7-(allylsulfanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-N-ethyl-6-mesityl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-7-(4-methyl-1-piperidiny)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 N-(sec-butyl)-5-chloro-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-6-[4-(methylsulfanyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2,2,2-trifluoroethyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-dimethyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-thiomorpholiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3,5-difluorophenyl)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 4-[5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]aniline;
- N-{4-[5-chloro-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]phenyl}acetamide;

[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methyl acetate;

5 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(chloromethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

diethyl 2-[6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]malonate;

10 7-(1-azepanylmethyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(trifluoromethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
5-chloro-7-(4-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N-propyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-7-(2-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-chloro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-[5-chloro-2-methyl-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-N,N-dimethylaniline;

5 6-(2-chloro-6-fluorophenyl)-5-methyl-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidiny)-1-cyclohexen-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(methoxymethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-chloro-4-nitrophenyl}-7-[ethyl(2-methyl-2-propenyl)amino][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfany)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-tetrafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 4-bromo-1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]butyl acetate;

diethyl 2-allyl-2-{{5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}oxy}malonate;

30

- 6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin- 7-amine;
- 5 N-butyl-5-chloro-N-ethyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(2-chloro-6-fluorophenyl)-5-(difluoromethoxy)-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(4-chlorophenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2-methoxyphenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,3,4,5,6-pentafluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(4-fluorophenyl)-N-(1,2,2- trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5,7-bis(4-methyl-1-piperidiny)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30

5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-isobutyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-isobutyl-6-(2-methylphenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 N-allyl-5-chloro-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-N-isopropyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-butyl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-N-(1-phenylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-ethyl-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-hexyl[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-methylphenyl)-N,N-bis(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-cyclopentyl-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25

7-butyl-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30

5-chloro-6-(2-chloro-6-fluorophenyl)-7-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methylpropanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-pentyl[1,2,4]triazolo[1,5-a]pyrimidine;

5

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

10

5-chloro-6-(2-bromo-5-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20

[5-chloro-6-(2,4,6-trifluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(1-p-tolyl-ethyl)-amine;

5-chloro-6-(2,4,6-trifluoro-phenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

25

5-chloro-7-cyclohexyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-difluoro-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30

7-(bicyclo[2.2.1]hept-2-ylamino)-5-chloro-6-{2-fluoro-4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-6-{2-fluoro-4-nitrophenyl}-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl] (2,2,2-trifluoro-1-phenylethyl)-amine;

5-chloro-N-[1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidin-5-amine;

[5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(2-methyl-1-trifluoromethyl-propyl)amine;

25 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30

5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2,4-difluorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-7-(4-fluorocyclohexyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-dichloro-4-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30

N-(sec-butyl)-5-chloro-6-(2,6-dichloro-4-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,6-difluorophenol;

5-chloro-7-(3-cyclohexen-1-yl)-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-fluorocyclohexyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)hexanoic acid;

2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-6-{2-[(trifluoromethyl)sulfanyl]phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(4,4,4-trifluoro-2-methylbutyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3-methyl-3-butenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;

7-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-thienyl)-N-[(1R)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-(5-chloro-7-(2,2,2-trifluoro-1-methyl-ethylamino)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl)-3,5-difluoro-phenol;

5 {5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoro-ethoxy)-phenyl]-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-(2,2,2-trifluoro-1-methyl-ethyl)amine;

5-chloro-6-{2,6-difluoro-4-(methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 (5-chloro-6-{4-[2-(2-ethoxyethoxy)-ethoxy]-2,6-difluoro-phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;

15 (5-chloro-6-{2,6-difluoro-4-[2-(2-methoxy-ethoxy)ethoxy]-phenyl}-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;

5-chloro-6-[2,6-difluoro-4-(3-furan-3-ylmethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-N-(2,2,2-trifluoro-1-methylethyl)amine;

20 5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

25

5-chloro-6-(2-fluoro-4-methoxy-6-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

2-[2-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)ethoxy]ethanol;

5 5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-{4-(2-fluoroethoxy)-2,6-difluorophenyl}-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-(4-chlorobenzyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-pyridinyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-chlorophenyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-N-cyclopentyl-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-phenoxy-6-(4-methoxy-phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-N-cyclopentyl-6-(4-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-diphenoxy-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-cyclopentyl-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5

5-chloro-N,N-diethyl-6-[4-methoxyphenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N,N-diethyl-6-[2,4-dichlorophenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(1,4-dioxo-8-azaspiro[4.5]dec-8-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-cyano-7-(4-methyl-1-piperidiny)-6-(2-chloro-5-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20

5-(methylsulfanyl)-7-(4-methyl-1-piperidiny)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-7-(4-methyl-1-piperidiny)-6-(2-chloro-5-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25

5-chloro-7-(1,4-dioxo-8-azaspiro[4,5]dec-8-yl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

2-methyl-6,7-di-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2-methyl-6-phenyl-7-(4-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 2-trifluoromethyl-6-phenyl-7-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5,7-diphenoxy-6-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(3,4-difluorophenyl)-N-(isopropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-bromo-6-(4-bromophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-bromo-6-(4-trifluoromethylphenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(4-trifluoromethylphenyl)-N-(ethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azepanyl)-5-chloro-6-(4-tert-butylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 ethyl {[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]amino}acetate;

diethyl 5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-malonate;

30

5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-2-butenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 [5-chloro-6-(2-chloro-6-fluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]acetic acid methyl ester;

5-chloro-6-(2,6-difluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-N,N-diethyl-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

ethyl [6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidiny)- [1,2,4]triazolo[1,5-a]pyrimidin-5-yl]acetate;

15 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 dimethyl 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

diethyl 2-[[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy]-2-isobutylmalonate;

25 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-1,3-cyclohexanedione;

2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]cyclohexanone;

30 5-chloro-7-(3-nitro-4-methylanilino)-6-(2, 4, 6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]5-(2-methoxyethoxy)[1,2,4]triazolo[1,5-a]pyrimidine;

5 7-(3-bromophenyl)-2-ethyl-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(3-bromophenyl)-6-(3-chlorophenyl)-2-ethyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 7-(4-bromophenyl)-2-ethyl-6-[4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(3,4,5-trimethoxybenzyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 7-(2-benzyl-4,5-dihydro-1H-imidazol-1-yl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

N-4-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N,N-1-diethyl-1,4-pentanediamine;

20 5-chloro-N-(3-methyl-2-butenyl)-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-dimethylamino-6-phenyl-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-7-[(2-furylmethyl)sulfanyl]-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 6-[1,1'-biphenyl]-4-yl-5-chloro-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-[4-(benzyloxy)phenyl]-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-cyclopentyl-6-(2-fluorophenyl)-5-hydrazino[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-ethyl-6-(2-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(4-tert-butylphenyl)-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-[2,6-difluoro-4-[(3-methyl-2-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20

5-chloro-N-(3-tricyclo[2.2.1.0^{2,6}]hept-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-azido-7-cyclohexyl-6-(2-fluoro-6-chlorophenyl) [1,2,4]triazolo[1,5-a]pyrimidine;

5-azido-6-[2-chloro-6-fluorophenyl]-7-(4-methyl-1-piperidiny)[1,2,4]triazolo[1,5-a]pyrimidine;

